

fil hcap

FILE 'HCAPLUS' ENTERED AT 16:43:15 ON 08 MAR 2007

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FILE COVERS 1907 - 8 Mar 2007 VOL 146 ISS 11

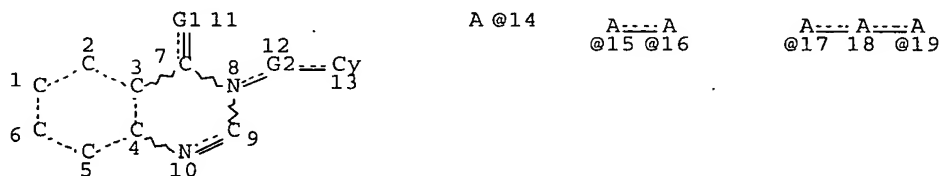
FILE LAST UPDATED: 7 Mar 2007 (20070307/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que 138

L4 STR



VAR G1=O/S/N

VAR G2=14/15-8 16-13/17-8 19-13

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

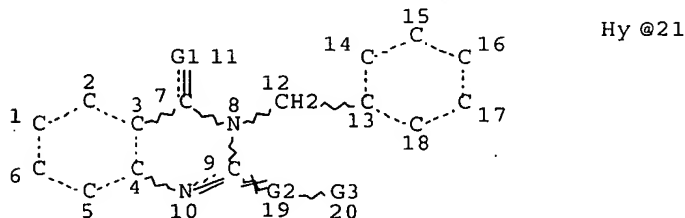
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

L6 26750 SEA FILE=REGISTRY SSS FUL L4

L29 STR



VAR G1=O/S/N  
REP G2=(1-10) A  
VAR G3=N/21

NODE ATTRIBUTES:  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED  
ECOUNT IS M1 N AT 21

GRAPH ATTRIBUTES:  
RSPEC 13  
NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE  
L32 2776 SEA FILE=REGISTRY SUB=L6 SSS FUL L29  
L33 103 SEA FILE=HCAPLUS ABB=ON PLU=ON L32  
L34 54 SEA FILE=HCAPLUS ABB=ON PLU=ON L33 AND P/DT  
L35 49 SEA FILE=HCAPLUS ABB=ON PLU=ON L33 NOT P/DT  
L36 37 SEA FILE=HCAPLUS ABB=ON PLU=ON L35 AND PY<2004  
L37 38 SEA FILE=HCAPLUS ABB=ON PLU=ON L34 AND (PY<2004 OR AY<2004  
OR PRY<2004)  
L38 75 SEA FILE=HCAPLUS ABB=ON PLU=ON L36 OR L37

**NOTE:** Because of the large number of compounds, only one hit structure is displayed per reference.

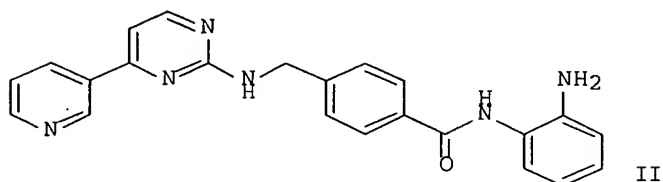
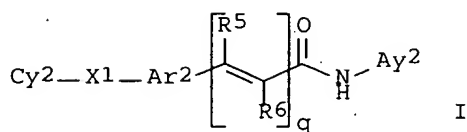
=> d l38 ibib abs fhitstr tot

L38 ANSWER 1 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
ACCESSION NUMBER: 2005:1346218 HCAPLUS Full-text  
DOCUMENT NUMBER: 144:88321  
TITLE: Preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase  
INVENTOR(S): Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii; Moradei, Oscar; Leit, Silvana; Raepfel, Stephane; Frechette, Sylvie; Bouchain, Giliane  
PATENT ASSIGNEE(S): Methylgene, Inc., Can.  
SOURCE: U.S. Pat. Appl. Publ., 324 pp., Cont.-in-part of U.S. Ser. No. 358,556.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005288282	A1	20051229	US 2005-91025	20050325 <--
US 2004106599	A1	20040603	US 2002-242304	20020912 <--
US 2004142953	A1	20040722	US 2003-358556	20030204 <--
US 6897220	B2	20050524		
JP 2005255683	A	20050922	JP 2005-80310	20050318 <--
AU 2006252047	A1	20070111	AU 2006-252047	20061214 <--
PRIORITY APPLN. INFO.:			US 2001-322402P	P 20010914 <--

US	2002-391728P	A2	20020626	<--
US	2002-242304	A2	20020912	<--
US	2003-358556	A2	20030204	<--
AU	2002-327627	A3	20020912	<--
JP	2003-528544	A3	20020912	<--

OTHER SOURCE(S) : MARPAT 144:88321  
GI



AB The invention provides compds. and methods for inhibiting histone deacetylase enzymic activity. Such compds. include carboxamides I [Cy2 = (un)substituted cycloalkyl, aryl, heteroaryl, heterocyclyl (each of which is optionally fused to one or two aryl or heteroaryl rings, or to one or two (un)saturated cycloalkyl or heterocyclic rings); X1 = a bond, M1L2M1, L2M2L2 (wherein L2 = a bond, alkylene, alkenylene, alkynylene; M1 = O, S, SO, NHCO, etc.; M2 = M1, heteroarylene, heterocyclylene); Ar2 = (un)substituted (hetero)arylene; R5, R6 = H, alkyl, aryl, aralkyl; q = 0-1; Ay2 = (un)substituted 5-6 membered cycloalkyl, heterocyclyl or heteroaryl substituted with an amino or hydroxy moiety; with provisos] which were prepared and claimed. E.g., a multi-step synthesis of II, starting from Me 4-(aminomethyl)benzoate.HCl, was given. The invention also provides compns. and methods for treating cell proliferative diseases and conditions. Antineoplastic effects of some I are illustrated for colorectal, pulmonary and pancreatic neoplasms; also the combined antineoplastic effect of histone deacetylase inhibitors and histone deacetylase antisense oligonucleotides on tumor cells in vivo was demonstrated. Although the methods of preparation are not claimed, hundreds of example preps. are included.

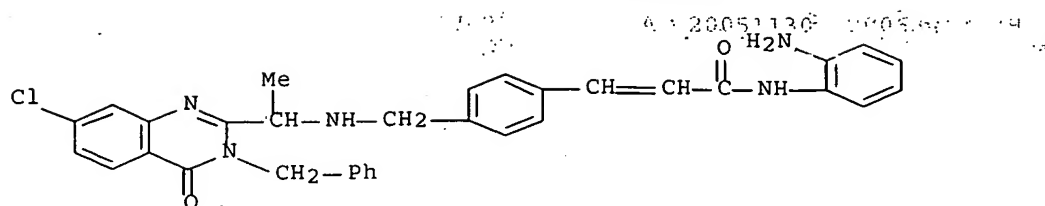
IT 503041-91-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase for treating cell proliferative disorders)

RN 503041-91-6 HCAPLUS

CN 2-Propenamide, N-(2-aminophenyl)-3-[4-[[[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



L38 ANSWER 2 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2005:611678 HCAPLUS Full-text  
 DOCUMENT NUMBER: 143:103378  
 TITLE: Implantable medical devices coated with kinesin  
 spindle protein and biocompatible polymer to treat or  
 inhibit restenosis  
 INVENTOR(S): Hezi-Yamit, Ayala; Singh, Sabeena; Trudel, Julie  
 PATENT ASSIGNEE(S): Medtronic Vascular, Inc., USA  
 SOURCE: U.S. Pat. Appl. Publ., 13 pp., Cont.-in-part of U.S.  
 Provisional Ser. No. 532,358.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005152940	A1	20050714	US 2004-996031	20041123 <--
PRIORITY APPLN. INFO.:			US 2003-532358P	P 20031223 <--

AB Implantable medical devices having coatings of certain antiproliferative agents, particularly a certain kinesin spindle protein (KSP) inhibitor, are disclosed. The anti-restenotic KSP inhibitor is CK-0238273, and pharmaceutically acceptable derivs. thereof. The anti-restenotic medical devices include stents, catheters, micro-particles, probes and vascular grafts. Intravascular stents are preferred medical devices. Moreover, medical devices composed entirely of biocompatible polymer-KSP inhibitor blends are disclosed. For example, a stent was coated with a mixture of 250 mg of CK-0238273 solution and 250 mg of polycaprolactone to achieve a final coating (drug plus polymer) weight of between about 10 µg and 1.0 mg. The ability of kinesin spindle protein inhibitor to reduce neointimal hyperplasia in response to intravascular stent placement in an acutely injured porcine coronary artery was demonstrated.

IT 514820-03-2, CK 0238273  
 RL: DEV (Device component use); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (CK 0238273; implantable medical devices coated with kinesin spindle protein inhibitor and biocompatible polymer to treat or inhibit restenosis)

RN 514820-03-2 HCAPLUS

CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl-, monomethanesulfonate (9CI) (CA INDEX NAME)

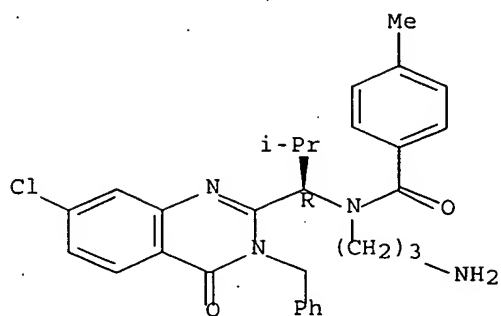
CM 1

CRN 336113-53-2

CMF C30 H33 Cl N4 O2



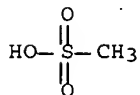
## Absolute Stereochemistry.



CM 2

CRN 75-75-2

CMF C H4 O3 S



L38 ANSWER 3 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:589184 HCAPLUS Full-text

DOCUMENT NUMBER: 143:127882

TITLE: Genes correlated with sensitivity of human cancer cells to thiadiazoline or cysteine derivative mitotic kinesin Eg5 inhibitors identified by expression profiling

INVENTOR(S): Shinohara, Fumikazu; Obayashi, Masaya; Yoshida, Tetsuo; Tsujita, Tetsuya; Nakai, Ryuichiro; Yamashita, Yoshinori

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 118 pp.

CODEN: PIXXD2

DOCUMENT TYPE: *Patent*

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061707	A1	20050707	WO 2004-JP19783	20041224 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,				

TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,  
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
 MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

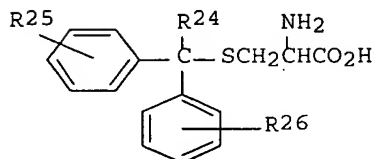
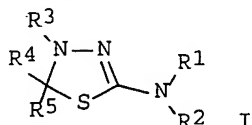
JP 2003-428289

A 20031224 &lt;--

OTHER SOURCE(S):

MARPAT 143:127882

GI



II

AB A method for identifying genes correlated with the sensitivity to of the cancer cells Eg5 inhibitors, use of the genes identified or proteins encoded by the genes for increasing the sensitivity of the cancer cells to the Eg5 inhibitor, or screening compds. having such effects, are disclosed. The method comprises measuring the sensitivities to an Eg5 inhibitor of two or more human cancer cell lines and the expression levels of one or more human genes and identifying genes showing a correlation between its expression level and the sensitivity to the Eg5 inhibitor as genes correlated with the sensitivity to the Eg5 inhibitor. Thiadiazoline derivs. are represented by the general formula (I) and pharmacol. acceptable salts thereof [R1,R4 = H, each (un)substituted lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, aryl, or heterocyclyl; R2 = R1, R4, C(=W)R6, (un)substituted NH2; W = O, S; R6 = R1, R4, (un)substituted NH2, etc.; or -NR1R4; -OR1; -SR1; -NR11R12 (R11 and R12 same or -C(=O)R13 (where R13 = R1, -NR7R8, -OR9A, or -SR10A), or -SO2R1; R5 = each (un)substituted lower alkyl, lower alkenyl, lower alkynyl, cycloalkyl, aryl, or heterocyclyl; or R4 and R5 are joined together to form (CR15AR15B)m1-Q-(CR15cR15D)m2; Q = single bond, each (un)substituted phenylene or cycloalkylene; m1, m2 = 0-4; R15A, R15B, R15c, R15D = H, halo, (un)substituted lower alkyl, -OR16, -CONR7BR8B, -SO2NR7BR8B, -COR17, -NR18R19, -COR20, -SO2R21, -CO2R22, all groups same as R5); R3 = H, C(=W)R6]. Eg5 inhibitors may also be cysteine derivs. II (R24 = (un)substituted aryl, aromatic heterocyclyl; R25, R26 = O, halo, lower alkyl, lower alkoxy, OH, CO2H, CH2OH, or together O, S, or a bond). These compds. inhibit mitotic kinesin Eg5 in G2/M phase of the cell cycle and are useful as antitumor agents for treating malignant tumors.

IT 336113-53-2

RL: BSU (Biological study, unclassified); BIOL (Biological study)

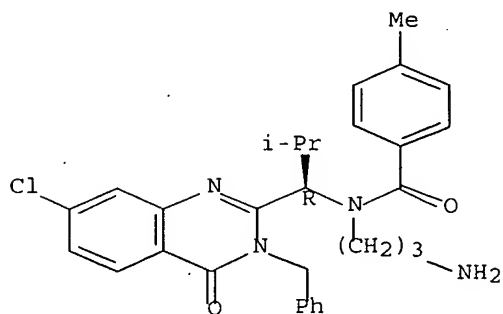
(cysteine derivs.; genes correlated with sensitivity of human cancer

cells to thiadiazoline or cysteine derivative mitotic kinesin Eg5 inhibitors identified by expression profiling)

RN 336113-53-2 HCAPLUS

CN Benzamide, N-(3-aminopropyl)-N-[(1R)-1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-methylpropyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 4 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:490357 HCAPLUS Full-text

DOCUMENT NUMBER: 143:43896

TITLE: Preparation of quinazolinone compounds as anticancer agents

INVENTOR(S): Wang, Weibo; Lagniton, Liana M.; Constantine, Ryan N.; Desai, Manoj C.

PATENT ASSIGNEE(S): Chiron Corporation, USA

SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051922	A1	20050609	WO 2004-US39448	20041124 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004293464	A1	20050609	AU 2004-293464	20041124 <--
CA 2546932	A1	20050609	CA 2004-2546932	20041124 <--
US 2005209254	A1	20050922	US 2004-996814	20041124 <--
EP 1689724	A1	20060816	EP 2004-812051	20041124 <--

10/809,637

March 8, 2007

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS

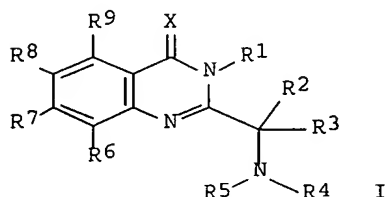
CN 1886384 A 20061227 CN 2004-80034810 20041124 <--

PRIORITY APPLN. INFO.: US 2003-525059P P 20031125 <--

WO 2004-US39448 W 20041124

OTHER SOURCE(S): MARPAT 143:43896

GI



AB Title compds. I [X = O, S; R1 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R2 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R3 = CO2R10, COR10, CONR11R12, etc.; R10, R11, R12 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R4 = H, (un)substituted alkyl, (un)substituted alkenyl, etc.; R5 = H, (un)substituted alkyl, (un)substituted alkoxy, etc.; R6, R7, R8, R9 = H, halo, hydroxy, etc.] and their pharmaceutically acceptable salts were prepared. For example, 4-methylbenzoylation of compound I [X = O; R1 = benzyl; R2 = H; R3 = CONMe2; R4 = 3-(tert-butoxycarbonylamino)propyl; R5 = H; R7 = Cl; R6 = R8 = R9 = H], e.g., prepared from 2-amino-4-chlorobenzoic acid in 4 steps, followed by treatment with trifluoroacetic acid afforded compound I [X = O; R1 = benzyl; R2 = H; R3 = CONMe2; R4 = 3-aminopropyl; R5 = 4-methylbenzoyl; R7 = Cl; R6 = R8 = R9 = H]. Compds. I are claimed useful as KSP (kinesin spindle protein) inhibitors for the treatment of cancer.

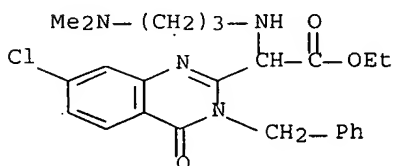
IT 853302-68-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazolinone compds. as KSP inhibitors for treatment of cancer)

RN 853302-68-8 HCAPLUS

CN 2-Quinazolineacetic acid, 7-chloro- $\alpha$ -[[3-(dimethylamino)propyl]amino]-3,4-dihydro-4-oxo-3-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



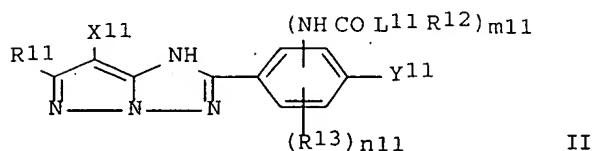
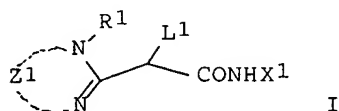
REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2005:302468 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:382086  
 TITLE: Silver halide photographic paper showing improved color reproducibility, storage stability, color fading balance, and fast processability  
 INVENTOR(S): Sugita, Shuichi; Sugino, Motoaki; Iwamoto, Ryohei  
 PATENT ASSIGNEE(S): Konica Minolta Photo Imaging, Inc., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 92 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005091679	A	20050407	JP 2003-324246	20030917 <--
PRIORITY APPLN. INFO.:			JP 2003-324246	20030917 <--
OTHER SOURCE(S):	MARPAT 142:382086			
GI				



AB The title photog. paper comprises at least a red-sensitive Ag halide emulsion layer, a green-sensitive Ag halide emulsion layer, and a red-sensitive Ag halide emulsion layer on a support, wherein the blue-sensitive Ag halide emulsion layer contains a yellow coupler represented by I (R1 = substituent; X1 = aryl, heterocyclyl; Z1 = atoms for forming 6-membered ring) and the green-sensitive Ag halide emulsion layer contains a magenta coupler represented by II (Y11 = H, halo, alkyl, aryl, cycloalkyl, heterocyclyl, alkoxy, aryloxy; R11, R13 = substituent; L11 = -NR14-, -O-; R12, R14 = alkyl, cycloalkyl, alkenyl, heterocyclyl, aryl; m11 = 1, 2; n11 = 0-4; X11 = H, group capable of leaving upon reaction with color development agent oxide). The photog. paper may contain the above yellow coupler in the red-sensitive Ag halide emulsion layer and a specified cyan coupler in the red-sensitive Ag halide layer. The above coupler combinations improved the color reproduction as well as the other photog. properties.

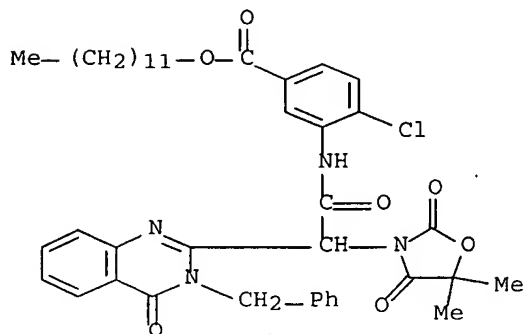
IT 468744-46-9

RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)

(yellow coupler in red-sensitive Ag halide emulsion layer of photog. paper showing improved color reproducibility, storage stability, color fading balance, and fast processability)

RN 468744-46-9 HCAPLUS

2-<sup>00</sup> CN Benzoic acid; 4-chloro-3-[[[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl](5,5-dimethyl-2,4-dioxo-3-oxazolidinyl)acetyl]amino]-, dodecyl ester (9CI) (CA INDEX NAME)



L38 ANSWER 6 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2005:160815 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:233323  
 TITLE: Methods of inhibiting immune responses stimulated by an endogenous factor by administering phosphoinositide 3-kinase  $\delta$  selective inhibitors  
 INVENTOR(S): Douangpanya, Jason; Hayflick, Joel S.; Puri, Kamal D.  
 PATENT ASSIGNEE(S): USA  
 SOURCE: U.S. Pat. Appl. Publ., 27 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005043239	A1	20050224	US 2004-918803	20040813 <--
PRIORITY APPLN. INFO.:			US 2003-495370P	P 20030814 <--
			US 2004-540090P	P 20040128

OTHER SOURCE(S): MARPAT 142:233323

AB The present invention relates generally to phosphoinositide 3-kinases (PI3Ks), and more particularly to methods of inhibiting undesirable immune responses without inhibiting desired immune responses. In one embodiment, the invention provides methods of inhibiting an endogenous immune response stimulated by at least one endogenous factor without substantially inhibiting an exogenous immune response stimulated by at least one exogenous factor comprising administering an amount of a phosphoinositide 3-kinase delta (PI3K $\delta$ ) selective inhibitor effective to inhibit the endogenous immune response stimulated by endogenous factor without substantially inhibiting the exogenous immune response stimulated by the at least one exogenous factor.

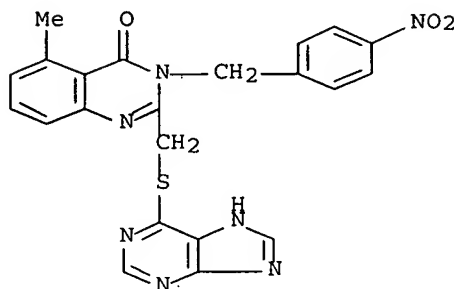
IT 371243-07-1

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(as PI3K $\delta$  selective inhibitor; phosphoinositide 3-kinase  $\delta$  selective inhibitors for inhibiting immune responses stimulated by endogenous factor)

RN 371243-07-1 HCAPLUS

4(3H)-Quinazolinone, 5-methyl-3-[(4-nitrophenyl)methyl]-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)



L38 ANSWER 7 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2005:158543 HCAPLUS Full-text  
 DOCUMENT NUMBER: 142:233321  
 TITLE: Methods of inhibiting leukocyte accumulation  
 INVENTOR(S): Diacovo, Thomas G.; Hayflick, Joel S.; Puri, Kamal D.  
 PATENT ASSIGNEE(S): Icos Corporation, USA; Washington University  
 SOURCE: PCT Int. Appl., 103 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016349	A1	20050224	WO 2004-US26834	20040813 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005054614	A1	20050310	US 2004-918825	20040813 <--
PRIORITY APPLN. INFO.:			US 2003-495370P	P 20030814 <--
			US 2004-540036P	P 20040128

OTHER SOURCE(S): MARPAT 142:233321

AB The invention relates generally to phosphoinositide 3-kinases (PI3Ks), and more particularly to methods of inhibiting leukocyte accumulation comprising selectively inhibiting phosphoinositide 3-kinase delta (PI3Kδ) activity in vascular endothelial cells. The adhesivity induced in these cells can result in temporary adhesion between the leukocytes and the endothelial cells, typically referred to as leukocyte tethering. Leukocyte tethering is generally mediated by interactions between selectin receptors including but not limited to E-selectin and P-selectin on endothelial cells and corresponding ligands present on leukocytes. The disclosed methods may be

used to treat individuals having an inflammatory condition where leukocytes are accumulating at the site of insult or inflamed tissue. The disclosed methods may affect inflammatory conditions mediated by one or more components of the PI3K/Akt signal transduction pathway of endothelial cells.

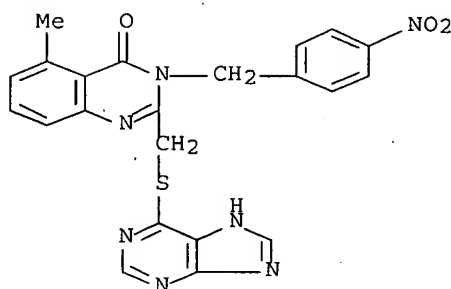
IT 371243-07-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(inhibition of leukocyte accumulation response to inflammatory mediator by inhibiting phosphoinositide 3-kinase and signal transduction of vascular endothelium to treat inflammatory conditions)

RN 371243-07-1 HCAPLUS

CN 4(3H)-Quinazolinone, 5-methyl-3-[(4-nitrophenyl)methyl]-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 8 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:158542 HCAPLUS Full-text

DOCUMENT NUMBER: 142:254586

TITLE: Method using a phosphoinositide 3-kinase  $\delta$  inhibitor for inhibiting immune responses stimulated by an endogenous factor

INVENTOR(S): Douangpanya, Jason; Hayflick, Joel S.; Puri, Kamal D.

PATENT ASSIGNEE(S): Icos Corporation, USA

SOURCE: PCT Int. Appl., 80 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016348	A1	20050224	WO 2004-US26436	20040813 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,				



10/809,637

March 8, 2007

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
SN, TD, TG

PRIORITY APPLN. INFO.:

US 2003-495370P

P 20030814 &lt;--

US 2004-540090P

P 20040128

OTHER SOURCE(S):

MARPAT 142:254586

AB The invention relates generally to phosphoinositide 3-kinases (PI3Ks), and more particularly to methods of inhibiting undesirable immune responses without inhibiting desired immune responses. In one embodiment, the invention provides methods for inhibiting an endogenous immune response stimulated by at least one endogenous factor without substantially inhibiting an exogenous immune response stimulated by at least one exogenous factor comprising administering an amount of a phosphoinositide 3-kinase  $\delta$  (PI3K $\delta$ ) selective inhibitor effective to inhibit the endogenous immune response stimulated by endogenous factor without substantially inhibiting the exogenous immune response stimulated by the at least one exogenous factor.

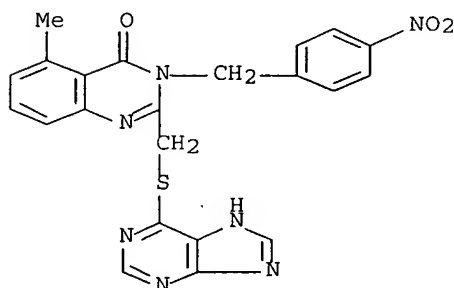
IT 371243-07-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)

(phosphoinositide 3-kinase inhibitor for inhibiting immune responses  
stimulated by endogenous factor)

RN 371243-07-1 HCAPLUS

CN 4(3H)-Quinazolinone, 5-methyl-3-[(4-nitrophenyl)methyl]-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 9 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:140199 HCAPLUS Full-text

DOCUMENT NUMBER: 142:228609

TITLE: Silver halide color photographic material containing  
specific yellow coupler

INVENTOR(S): Muramatsu, Yasuhiko

PATENT ASSIGNEE(S): Konica Minolta Medical & Graphic, Inc., Japan; Konica  
Minolta Photo Imaging, Inc.

SOURCE: Jpn. Kokai Tokkyo Koho, 42 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

10/809,637

March 8, 2007

JPN2005043530

A 2005021781

JP 2003-201442

20030725 &lt;-

JP2005043530

PRIORITY APPLN. INFO..

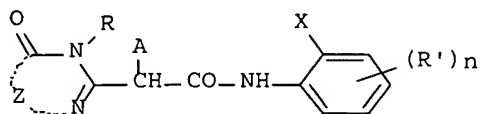
JP 2003-201442

20030725 &lt;-

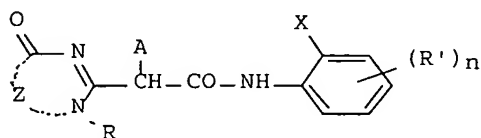
OTHER SOURCE(S):

MARPAT 142:228609

GI



I



II

AB The material with short-side length  $\geq 400$  mm has each  $\geq 1$  yellow, magenta, and cyan color-forming light-sensitive emulsion layer on a reflecting support, in which the yellow color-forming light-sensitive layer contains a coupler I or II (R = substituent; Z = atoms required to form N-containing 6- or 7-membered ring with C:ONC:N; R' = substituent; n = 0-4; X = H, substituent; A = H, group to be released when coupled with color developer oxidation product). The material shows improved storage stability after development, and is useful for color proof.

IT 839711-64-7

RL: TEM (Technical or engineered material use); USES (Uses)

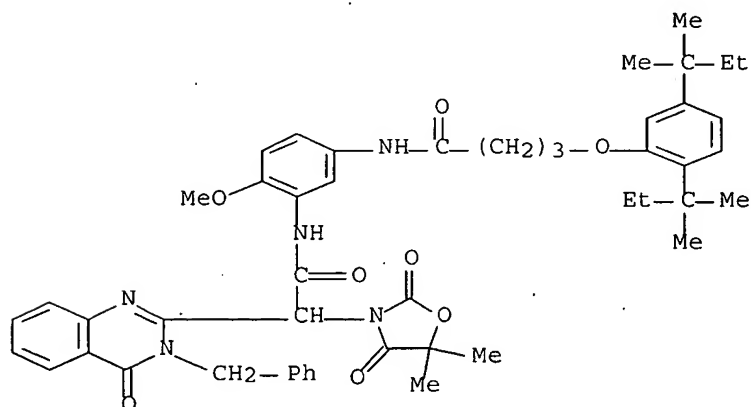
(silver halide color photog. material containing pyrimidinone derivative

yellow

coupler)

RN 839711-64-7 HCAPLUS

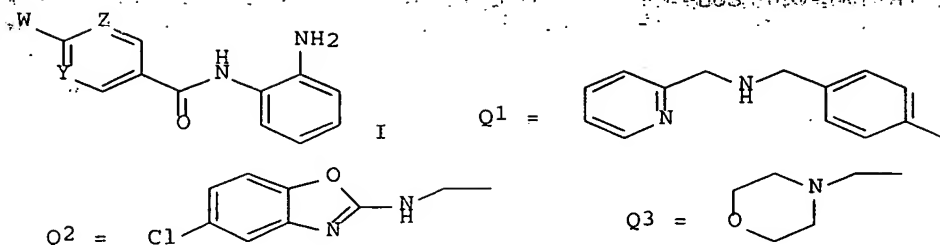
CN 2-Quinazolineacetamide, N-[5-[[4-[2,5-bis(1,1-dimethylpropyl)phenoxy]-1-oxobutyl]amino]-2-methoxyphenyl]- $\alpha$ -(5,5-dimethyl-2,4-dioxo-3-oxazolidinyl)-3,4-dihydro-4-oxo-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



L38 ANSWER 10 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2004:589250 HCAPLUS: Full-text  
 DOCUMENT NUMBER: 141:140470  
 TITLE: Preparation of aminophenylbenzamides as inhibitors of histone deacetylase  
 INVENTOR(S): Delorme, Daniel; Zhou, Zhihong  
 PATENT ASSIGNEE(S): Methylgene, Inc., Can.  
 SOURCE: U.S. Pat. Appl. Publ., 318 pp., Cont.-in-part of U.S. Ser. No. 242,304.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004142953	A1	20040722	US 2003-358556	20030204 <--
US 6897220	B2	20050524		
US 2004106599	A1	20040603	US 2002-242304	20020912 <--
AU 2004210016	A1	20040819	AU 2004-210016	20040204 <--
CA 2515338	A1	20040819	CA 2004-2515338	20040204 <--
WO 2004069823	A1	20040819	WO 2004-CA139	20040204 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1590340	A1	20051102	EP 2004-707852	20040204 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1723207	A	20060118	CN 2004-80001769	20040204 <--
BR 2004007195	A	20060214	BR 2004-7195	20040204 <--
JP 2006514998	T	20060518	JP 2005-518241	20040204 <--
US 2006058298	A1	20060316	US 2005-81095	20050315 <--
JP 2005255683	A	20050922	JP 2005-80310	20050318 <--
US 2005288282	A1	20051229	US 2005-91025	20050325 <--
AU 2006252047	A1	20070111	AU 2006-252047	20061214 <--
PRIORITY APPLN. INFO.:				
			US 2001-322402P	P 20010914 <--
			US 2002-391728P	P 20020626 <--
			US 2002-242304	A2 20020912 <--
			AU 2002-327627	A3 20020912 <--
			JP 2003-528544	A3 20020912 <--
			US 2003-358556	A 20030204 <--
			WO 2004-CA139	W 20040204

OTHER SOURCE(S): MARPAT 141:140470  
 GI



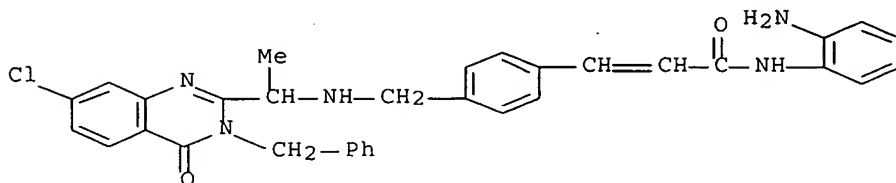
AB Title compds. e.g. (I; Y, Z = N, CH; W = Q1, Q2, Q3, etc.), were prepared Thus, 4-[[[(4-Amino-6-(2-indanylamino)-[1,3,5]triazin-2-yl)amino]methyl]benzoic acid (preparation given) in DMF was stirred with Et<sub>3</sub>N, BOP, and 1,2-phenylenediamine to give 63% 4-[[[(4-Amino-6-(2-indanylamino)-[1,3,5]triazin-2-yl)amino]methyl]-N-(2-aminophenyl)benzamide. The latter inhibited human histone deacetylase HDAC-1 with IC<sub>50</sub> = 0.4 μM.

IT 503041-91-6P, N-(2-Aminophenyl)-3-(4-(((1-(3-benzyl-7-chloro-3,4-dihydro-4-oxoquinazolin-2-yl)ethyl)amino)methyl)phenyl)acrylamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aminophenylbenzamides as inhibitors of histone deacetylase for treating cell proliferative disorders)

RN 503041-91-6 HCAPLUS

CN 2-Propenamide, N-(2-aminophenyl)-3-[4-[[[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 11 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:534196 HCAPLUS Full-text

DOCUMENT NUMBER: 141:89125

TITLE: Preparation of oxodiazepanylquinazolinones as modulators of KSP kinesin activity for treatment of proliferative disease.

INVENTOR(S): Bergnes, Gustave; Dhanak, Dashyant; Knight, Steven David; Lu, Pu Ping; Morgans, David J., Jr.; Newlander, Kenneth Allen

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA; Cytokinetics

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

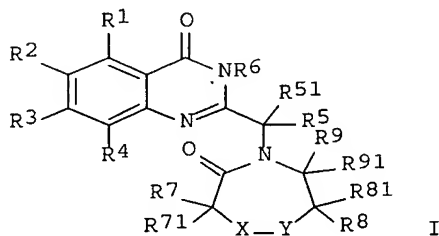
LANGUAGE: English

TOTAL FAMILY ACC.#NUMFOCOUNT: [redacted] [redacted] [redacted] [redacted]

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004055008	A1	20040701	WO 2003-US39708	20031212 <--
W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, EG, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, OM, PH, PL, RO, SC, SG, TN, TT, UA, US, UZ, VN, YU, ZA				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003299612	A1	20040709	AU 2003-299612	20031212 <--
EP 1581520	A1	20051005	EP 2003-799901	20031212 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2006052360	A1	20060309	US 2005-538228	20050608 <--
PRIORITY APPLN. INFO.:			US 2002-433494P	P 20021213 <--
			US 2002-435001P	P 20021219 <--
			WO 2003-US39708	W 20031212 <--

OTHER SOURCE(S) : MARPAT 141:89125  
GI



AB Title compds. [1; R1-R4 = H, halo, OH, NO<sub>2</sub>, cyano, (substituted) alkyl, alkoxy, aryl, heteroaryl, etc.; R5, R51 = H, (substituted) alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl; R5R51C = 3-7 membered carbocyclyl; R6 = H, (substituted) alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl; R7, R71, R8, R81, R9, R91 = H, (substituted) alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl; X, Y = CR10R11, NR12, O, S; R10, R11 = H, (substituted) alkyl, aryl, heteroaryl; R12 = H, (substituted) alkyl, aralkyl, heteroaralkyl, alkylcarbonyl, arylcarbonyl, heteroarylcarbonyl, aralkylcarbonyl, heteroaralkylcarbonyl, alkoxy carbonyl, etc.], were prepared Thus, N-(2-aminoethyl)-N-[1-(3-benzyl-7-chloro-4-oxo-3,4-dihydroquinazolin-2-yl)-2-methylpropyl]acrylamide (preparation given) was refluxed overnight in MeOH to give 3-benzyl-7-chloro-2-[2-methyl-1-(7-oxo-1,4-diazepan-1-yl)propyl]-3H-quinazolin-4-one. Some I inhibited cell proliferation with GI50 <10 nM.

IT 713526-19-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(claimed compound; preparation of oxodiazepanylquinazolinones as modulators

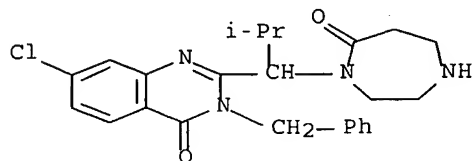
10/809,637

March 8, 2007

KSP kinesin activity)

RN 713526-19-3 HCAPLUS

CN 4(3H)-Quinazolinone, 7-chloro-2-[1-(hexahydro-7-oxo-1H-1,4-diazepin-1-yl)-2-methylpropyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 12 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:354730 HCAPLUS Full-text

DOCUMENT NUMBER: 140:350546

TITLE: Heterocyclic-substituted quinazolinones preparation for treating cellular proliferative diseases

INVENTOR(S): Bergnes, Gustave; Morgans, David J., Jr.

PATENT ASSIGNEE(S): Cytokinetics, Inc., USA

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: *Patent*

LANGUAGE: English

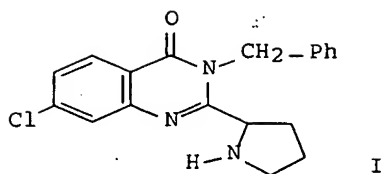
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004034972	A2	20040429	WO 2003-US30788	20030930 <--
WO 2004034972	A3	20041125		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003277079	A1	20040504	AU 2003-277079	20030930 <--
EP 1558083	A2	20050803	EP 2003-808978	20030930 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006501306	T	20060112	JP 2004-544787	20030930 <--
US 2006264449	A1	20061123	US 2005-529745	20051114 <--
PRIORITY APPLN. INFO.:			US 2002-414756P	P 20020930 <--
			WO 2003-US30788	W 20030930 <--

OTHER SOURCE(S): MARPAT 140:350546

GI



AB Heterocyclic-substituted quinazolinones were prepared for treating cellular proliferative diseases and disorders, for example, by modulating the activity of KSP. I and other similar compds. were prepared and examples were given, e.g., induction of mitotic arrest in cell populations treated with a KSP inhibitor, monopolar spindle formation following application of a KSP inhibitor, and inhibition of cellular proliferation in tumor cells lines with the inhibitors.

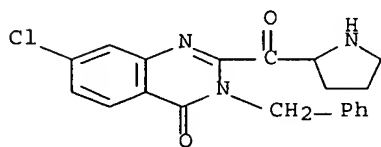
IT 681827-44-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(heterocyclic-substituted quinazolinones preparation for treating cellular proliferative diseases)

RN 681827-44-1 HCAPLUS

CN 4(3H)-Quinazolinone, 7-chloro-3-(phenylmethyl)-2-(2-pyrrolidinylcarbonyl)-(9CI) (CA INDEX NAME)



L38 ANSWER 13 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:203551 HCAPLUS Full-text

DOCUMENT NUMBER: 140:253579

TITLE: Preparation of 2-(piperazin-1-ylmethyl)-3H-quinazolin-4-one derivatives as inhibitors of mitotic kinesin KSP

INVENTOR(S): Bergnes, Gustave

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 24 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

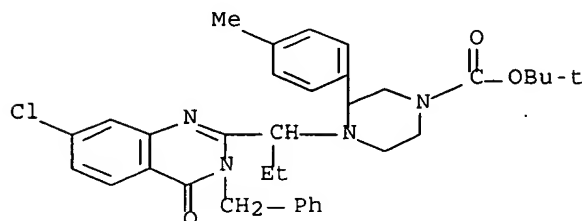
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004048853	A1	20040311	US 2003-644244	20030820 <--
WO 2004018058	A2	20040304	WO 2003-US26093	20030820 <--

March 8, 2007

20



1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L38 ANSWER 14 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2004:80465 HCAPLUS Full-text  
 DOCUMENT NUMBER: 140:139471  
 TITLE: Preparation of of quinazolinone-like derivatives to  
 treat cellular proliferative diseases  
 INVENTOR(S): Bergnes, Gustave; Smith, Whitney W.; Yao, Bing;  
 Morgans, David J., Jr.; MacDonald, Andrew  
 PATENT ASSIGNEE(S): Cytokinetics, Inc., USA  
 SOURCE: PCT Int. Appl., 64 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009036	A2	20040129	WO 2003-US23319	20030723 <--
WO 2004009036	A3	20040819		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003256805	A1	20040209	AU 2003-256805	20030723 <--
US 2004142949	A1	20040722	US 2003-626012	20030723 <--
EP 1537089	A2	20050608	EP 2003-766028	20030723 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006501201	T	20060112	JP 2004-523405	20030723 <--
PRIORITY APPLN. INFO.:			US 2002-398224P	P 20020723 <--
			WO 2003-US23319	W 20030723 <--

OTHER SOURCE(S): MARPAT 140:139471

AB The invention relates to quinazolinone-like derivs. that are inhibitors of the mitotic kinesin KSP and are useful in the treatment of cellular proliferative diseases, for example cancer, hyperplasias, restenosis, cardiac hypertrophy,

immune disorders and inflammation. Preparation of 3-Benzyl-7-chloro-2-(3-benzyl-2-oxohexahydropyrimidin-4-yl)-3H-quinazolin-4-one is included.

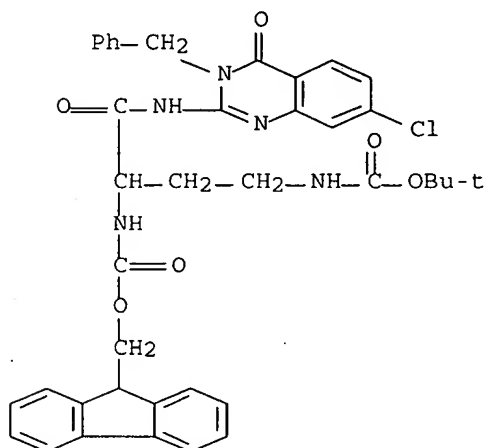
IT 651323-45-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of quinazolinone derivs. to treat cellular proliferative diseases)

RN 651323-45-4 HCAPLUS

CN Carbamic acid, [1-[[[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]amino]carbonyl]-3-[[[(1,1-dimethylethoxy)carbonyl]amino]propyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)



L38 ANSWER 15 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:931177 HCAPLUS Full-text

DOCUMENT NUMBER: 140:5063

TITLE: 2-[1-(Imidazol-1-yl)alkyl]-3H-quinazolin-4-one derivatives, pharmaceutical compositions containing them, and methods of their use as KSP kinesin inhibitors for the treatment of cellular proliferative diseases

INVENTOR(S): Feng, Bainian; Bergnes, Gustave; Morgans, David J. C., Jr.; Dhanak, Dashyant; Knight, Steven David; Darcy, Michael Gerard

PATENT ASSIGNEE(S): Cytokinetics, Inc., USA; Smithkline Beecham Corporation

SOURCE: PCT Int. Appl., 97 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003097053	A1	20031127	WO 2003-US14787	20030508

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

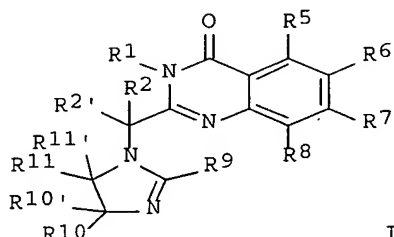
AU 2003270015 A1 20031202 AU 2003-270015 20030508 <--  
 US 2004077668 A1 20040422 US 2003-435069 20030508 <--  
 EP 1553931 A1 20050720 EP 2003-753011 20030508 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

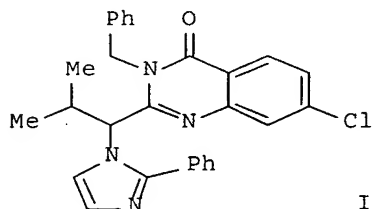
JP 2005530785 T 20051013 JP 2004-505052 20030508 <--  
 US 2006094735 A1 20060504 US 2005-262506 20051027 <--

PRIORITY APPLN. INFO.: US 2002-379531P P 20020509 <--  
 US 2003-435069 A1 20030508 <--  
 WO 2003-US14787 W 20030508 <--

OTHER SOURCE(S): MARPAT 140:5063  
 GI



I



II

AB Compds. useful for treating cellular proliferative diseases and disorders by modulating the activity of KSP (kinesin-like spindle protein), and especially human KSP, are disclosed (no data). In particular, compds. I are claimed [wherein: R1 = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; R2, R2' = H, (un)substituted alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl; or R2R2' = (un)substituted 3- to 7-membered ring; R5, R6, R7, R8 = H, (un)substituted alkyl or alkoxy, halo, OH, NO<sub>2</sub>, cyano, dialkylamino, alkylsulfonyl, alkylsulfonamido, alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, (un)substituted aryl, aryloxy, heteroaryl, or heteroaryloxy; R9 = H, (un)substituted alkyl, aryl, aralkyl, or heteroaryl; R10, R10', R11, R11' = H, (un)substituted alkyl, aryl, or aralkyl; or R10'R11' = pi bond; including single and mixed stereoisomers and pharmaceutically acceptable salts and/or solvates]. Approx. 60 compds. I are described in examples. Compds. I having (R)-configuration at the stereogenic center bearing R2 are preferred for reasons of greater potency than the (S)-isomers. For instance, 2-(1-amino-2-methylpropyl)-3-benzyl-7-chloro-3H-quinazolin-4-one underwent a sequence of N-alkylation at amino with BrCH<sub>2</sub>CH(OMe)<sub>2</sub> and K<sub>2</sub>CO<sub>3</sub> (59%), amidation of the resultant secondary amine with PhCOCl and Et<sub>3</sub>N (54%), and deprotection/cyclocondensation with NH<sub>4</sub>OAc in refluxing AcOH (23%) to give invention compound II. Compds. I are said to be active against human ovarian cancer cells SKOV3 in vitro. Visual inspection revealed that the compds. caused cell cycle arrest in the prometaphase stage of mitosis; DNA was condensed and spindle formation had initiated, but arrested cells uniformly displayed monopolar spindles, indicating that there was an inhibition of spindle pole body separation

10/809,637

March 8, 2007

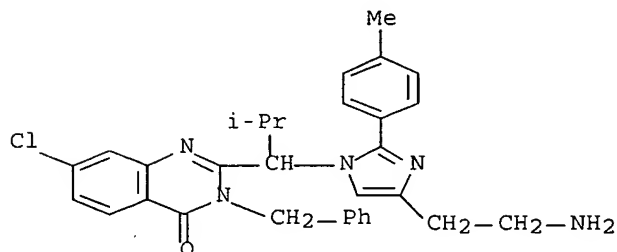
627891-22-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of (imidazolylalkyl)quinazolinone derivs. as KSP kinesin inhibitors for the treatment of cellular proliferative diseases)

RN 627891-22-9 HCAPLUS

CN 4(3H)-Quinazolinone, 2-[1-[4-(2-aminoethyl)-2-(4-methylphenyl)-1H-imidazol-1-yl]-2-methylpropyl]-7-chloro-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 16 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:678784 HCAPLUS Full-text

DOCUMENT NUMBER: 139:214481

TITLE: Syntheses of enantiomerically pure quinazolinones

INVENTOR(S): Bergnes, Gustav; Ha, Edward; Yiannikourous, George; Kalaritis, Panos; Yonce, Brandon E.; Weldon, Kurt Alan, Jr.

PATENT ASSIGNEE(S): Cytokinetics, Inc., USA; SmithKline Beecham Corp.

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070701	A2	20030828	WO 2003-US4713	20030214 <--
WO 2003070701	A3	20031016		
WO 2003070701	B1	20031218		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2475879	A1	20030828	CA 2003-2475879	20030214 <--

10/809,637

March 8, 2007

AU 2003213092	A1 20030909	AU 2003-213092	20030214 <--
US 2004067969	A1 20040408	US 2003-366828	20030214 <--
US 7009049	B2 20060307		
EP 1480980	A2 20041201	EP 2003-709135	20030214 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005529076	T 20050929	JP 2003-569608	20030214 <--
US 2006041130	A1 20060223	US 2005-254211	20051020 <--
US 7161002	B2 20070109		

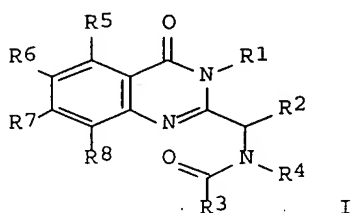
PRIORITY APPLN. INFO.:

US 2002-357244P	P 20020215 <--
US 2002-380746P	P 20020514 <--
US 2003-366828	A3 20030214 <--
WO 2003-US4713	W 20030214 <--

OTHER SOURCE(S):

MARPAT 139:214481

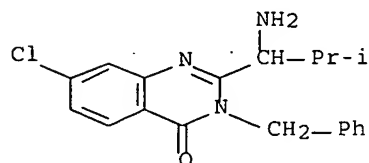
GI



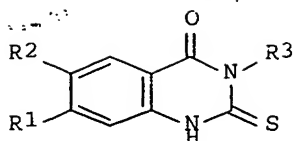
AB The present invention provides intermediates, synthetic methods and novel quinazolinone (shown as I; e.g. (R)-N-(3-aminopropyl)-N-[1-(3-benzyl-7-chloro-4-oxo-3,4-dihydroquinazolin-2-yl)-2-methylpropyl]-4-methylbenzamide) compns. of matter, which are inhibitors of the mitotic kinesin KSP (no data) and are useful in the treatment of cellular proliferative diseases, for example cancer, hyperplasias, restenosis, cardiac hypertrophy, immune disorders and inflammation (no data); only the compds., compns. of matter and synthetic methods are claimed. The method comprises contacting HO<sub>2</sub>CCH(R<sub>2</sub>)NHX (R<sub>2</sub> = oxaalkyl or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl; X = H, protecting group (e.g. Boc, CBZ, phthalide, allyloxycarbonyl, 2,2,2-trichloroethoxycarbonyl); e.g. valine) with iso-Bu chloroformate followed by contacting the resulting product with (un)substituted 2-aminobenzoic acids to give I. Eight example preps. of I are included. For example, (S)-[1-(3-benzyl-7-chloro-4-oxo-3,4-dihydroquinazolin-2-yl)-2-methylpropyl]carbamic acid tert-Bu ester was prepared starting from N-Boc-L-valine and involving intermediates 2-[[2-[(tert-butoxycarbonyl)amino]-L-3-methylbutyryl]amino]-4-chlorobenzoic acid, (S)-[1-(7-chloro-4-oxo-4H-benzo[d][1,3]oxazin-2-yl)-2-methylpropyl]carbamic acid tert-Bu ester, (S)-[1-[[[(2-benzylcarbamoyle)-5-chlorophenyl]imino]methyl]-2-methylpropyl]carbamic acid tert-Bu ester (in mixture with the final product). In the key step, to 2-[[2-[(tert-butoxycarbonyl)amino]-L-3-methylbutyryl]amino]-4-chlorobenzoic acid was added 13.2 mL (0.1 mol) of iso-Bu chloroformate over 15 min (internal temperature 5°) followed by the addition of 11.1 mL (0.1 mol) of anhydrous N-methylmorpholine over 15 min at 0°; the mixture was stirred for an addnl. hour at 0° to give (S)-[1-(7-chloro-4-oxo-4H-benzo[d][1,3]oxazin-2-yl)-2-methylpropyl]carbamic acid tert-Bu ester. For I: R<sub>1</sub> is H or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl; R<sub>3</sub> is H, oxaalkyl, R<sub>9</sub>O-, R<sub>9</sub>NH- or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, alkylheteroaryl, or oxaalkylaryl; R<sub>4</sub> is H or (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl; R<sub>5</sub>,

R6, R7 and R8 = H, hydroxy, (un)substituted alkyl, alkoxy, halogen, fluoroalkyl, nitro, cyano, amino, alkylamino, dialkylamino, alkylsulfonyl, alkylsulfonamido, sulfonamidoalkyl, sulfonamidoaryl, alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, aryl or heteroaryl; and R9 is (un)substituted alkyl, aryl, alkylaryl, heteroaryl, or alkylheteroaryl. The compns. of matter comprise I and detectable amts. of  $\geq 1$  unreacted starting materials and/or a cyclo-dehydration reagent; they are claimed, presumably because it is important to monitor the purity of pharmaceutical compds. for the presence of such materials, which presence comprises a way of detecting use of a process of the invention.

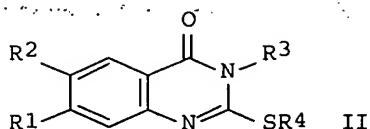
IT 336119-88-1P, 2-(1-Amino-2-methylpropyl)-3-benzyl-7-chloro-3H-quinazolin-4-one  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (resolution; syntheses of enantiomerically pure quinazolinones)  
 RN 336119-88-1 HCAPLUS  
 CN 4(3H)-Quinazolinone, 2-(1-amino-2-methylpropyl)-7-chloro-3-(phenylmethyl)-(9CI) (CA INDEX NAME)



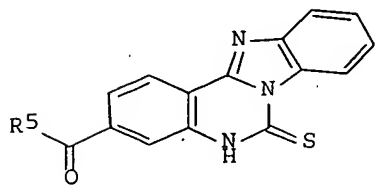
L38 ANSWER 17 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2003:639606 HCAPLUS Full-text  
 DOCUMENT NUMBER: 139:292223  
 TITLE: Synthesis of Substituted 4-Oxo-2-thioxo-1,2,3,4-tetrahydroquinazolines and 4-Oxo-3,4-dihydroquinazoline-2-thiols  
 AUTHOR(S): Ivachtchenko, Alexandre V.; Kovalenko, Sergiy M.; Drushlyak, Oleksandr G.  
 CORPORATE SOURCE: Chemical Diversity Labs Inc., San Diego, CA, 92121, USA  
 SOURCE: Journal of Combinatorial Chemistry (2003), 5(6), 775-788  
 CODEN: JCCHFF; ISSN: 1520-4766  
 PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 139:292223  
 GI



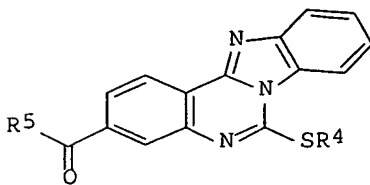
I.



II



III



IV

AB A liquid-phase synthesis of combinatorial libraries of new disubstituted 4-oxo-2-thioxo-1,2,3,4-tetrahydroquinazolines I ( $R_1 = H, Cl, MeO_2C$ , etc.;  $R_2 = H, Br, F$ , etc.;  $R_3 = Et_2NCH_2CH_2$ , cyclohexyl,  $PhCH_2$ , 2- $H_2NC_6H_4$ , etc.) and trisubstituted 4-oxo-3,4-dihydroquinazoline-2-thiols II [ $R_4 = 4$ -pyridylmethyl,  $(PhCH_2NHCO)_2CH$ , etc.] was developed. I were prepared using two general procedures: (i) cyclization of substituted Me anthranilates with isothiocyanates, or (ii) cyclization of substituted 2-(methoxycarbonyl)phenyl isothiocyanates with primary amines or hydrazines. II were prepared by S-alkylation of I with alkyl or aryl halides. The hydrolysis of Me benzimidazo[1,2-c]quinazoline-6(5H)-thione-3-carboxylate III ( $R_5 = MeO$ ) led to the corresponding acid, which was utilized in the synthesis of new benzimidazo[1,2-c]quinazoline-6(5H)-thione-3-carboxamide ( $R_5 = BuNH$ , cyclohexylamino, 4-methyl-1-piperazinyl, etc.) and S-substituted 6-mercaptobenzimidazo[1,2-c]quinazoline-3-carboxamide IV libraries.

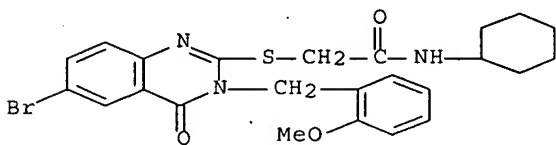
IT 443348-40-1P

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(liquid-phase combinatorial synthesis of oxo(thioxo)tetrahydroquinazoline s and mercapto(oxo)dihydroquinazolines)

RN 443348-40-1 HCAPLUS

CN Acetamide, 2-[[6-bromo-3,4-dihydro-3-[(2-methoxyphenyl)methyl]-4-oxo-2-quinazolinyl]thio]-N-cyclohexyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 18 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:461213 HCAPLUS Full-text

DOCUMENT NUMBER: 139:245972

TITLE: A convenient catch and release synthesis of fused 2-alkylthio-pyrimidinones mediated by polymer-bound

10/809,637

March 8, 2007

REVISION: 01/01/07

AUTHOR(S): BEMP (2-alkylthio-3-substituted-quinazolin-4(1H)-one) Adams, Gregory L.; Graybill, Todd L.; Sanchez, Robert M.; Magaard, Victoria W.; Burton, George; Rivero, Ralph A.

CORPORATE SOURCE: Discovery Research, GlaxoSmithKline, Collegeville, PA, 19426, USA

SOURCE: Tetrahedron Letters (2003), 44(27), 5041-5045  
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

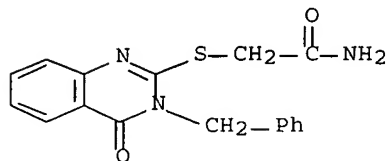
OTHER SOURCE(S): CASREACT 139:245972

AB A robust catch and release synthesis of fused 2-alkylthio-3-substituted-pyrimidinones mediated by the polymer-bound base P-BEMP is described. This reengineered synthesis combines the efficiency of the classical synthesis (three steps, three diversity points) with the practical benefits of resin-bound reagents. The solution-phase strategy, reagent compatibility, and the results of a representative 48-member combinatorial library are described and presented herein.

IT 309735-02-2P  
RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)  
(convenient catch and release synthesis of fused 2-alkylthio-pyrimidinones mediated by polymer-bound BEMP)

RN 309735-02-2 HCAPLUS

CN Acetamide, 2-[[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]thio]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 19 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:417728 HCAPLUS Full-text

DOCUMENT NUMBER: 139:6884

TITLE: Process for the racemization of chiral quinazolinones

INVENTOR(S): Yao, Bing; Smith, Whitney W.; Bergnes, Gustave; Morgans, David, Jr.

PATENT ASSIGNEE(S): Cytokinetics, Inc., USA

SOURCE: PCT Int. Appl., 31 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003043995

A1

20030530

WO 2002-US37410

20021120 &lt;--

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002346471

A1

20030610

AU 2002-346471

20021120 &lt;--

US 2003166933

A1

20030904

US 2002-300967

20021120 &lt;--

US 6753428

B2

20040622

US 2004192913

A1

20040930

US 2004-773602

20040206 &lt;--

PRIORITY APPLN. INFO.:

US 2001-332148P

P 20011120 &lt;--

US 2002-300967

A1 20021120 &lt;--

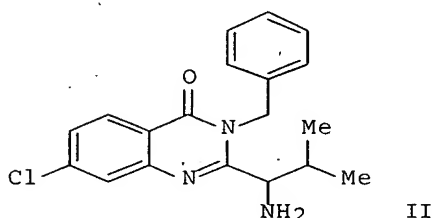
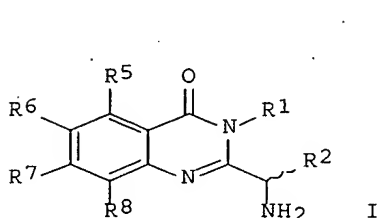
WO 2002-US37410

W 20021120 &lt;--

OTHER SOURCE(S):

MARPAT 139:6884

GI



AB Racemates were obtained from one of the enantiomers, or an enantiomerically enriched mixture, of an optically active quinazolinone derivative I [wherein R1 = H or (un)substituted alkyl, (hetero)aryl, or (hetero)aralkyl; R2 = oxaalkyl or (un)substituted alkyl, (hetero)aryl, or (hetero)aralkyl; R5-R8 = independently H, (fluoro)alkyl, alkoxy, halo, NO<sub>2</sub>, dialkylamino, alkylsulfonyl, alkylsulfamido(alkyl), sulfonamidoaryl, alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, or (hetero)aryl] by reaction of the compound with an alkali alkoxide of a primary alc. and isolation of the racemate. For example, treatment of (S)-II with NaOEt (21% by weight solution in denatured alc. containing 5% toluene) in absolute EtOH and heating at reflux for 36 h, followed by crystallization gave (+)-II in a 1:1.1 mixture of (R)- and (S)-isomers. The invention also provides for the subsequent resolution of the racemate and use of the other enantiomer in the synthesis of pharmacol. active therapeutic agents. Thus, an efficient method of converting an inactive or undesirable enantiomer into the other usable, desirable enantiomer is disclosed.

IT 336113-50-9P

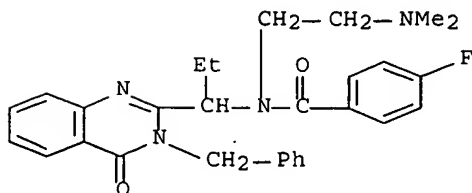
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation and racemization of chiral quinazolinones)

RN 336113-50-9 HCAPLUS

CN Benzamide, N-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]propyl]-

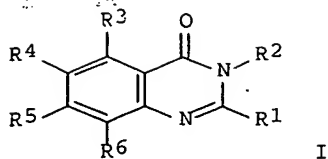
N-[2-(dimethylamino)ethyl]-4-fluorobenzamide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 20 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2003:417699 HCAPLUS Full-text  
 DOCUMENT NUMBER: 139:6883  
 TITLE: Preparation of substituted quinazolines as modulators of Rho C activity  
 INVENTOR(S): Sun, Dongxu; Perkins, Edward L.; Tugendreich, Stuart  
 PATENT ASSIGNEE(S): Iconix Pharmaceuticals, Inc., USA  
 SOURCE: PCT Int. Appl., 26 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003043961	A2	20030530	WO 2002-US37292	20021119 <--
WO 2003043961	A3	20031218		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002366103	A1	20030610	AU 2002-366103	20021119 <--
US 2003171387	A1	20030911	US 2002-300651	20021119 <--
US 7053216	B2	20060530		
PRIORITY APPLN. INFO.:			US 2001-331755P	P 20011119 <--
			WO 2002-US37292	W 20021119 <--
OTHER SOURCE(S):				
MARPAT 139:6883				
GI				



AB Title compds. I. [R1 = H, alkyl, aralkyl, aryl-alkenyl, etc.; R2 = alkyl, aryl, aralkyl, etc.; R3-6 = H, alkyl, halo, NO<sub>2</sub>, OH, alkoxy, etc.] are claimed. Several examples were said to have excellent potency in a Rho C enzyme assay [no data]. I are able to modulate the activity of a Rho C enzyme.

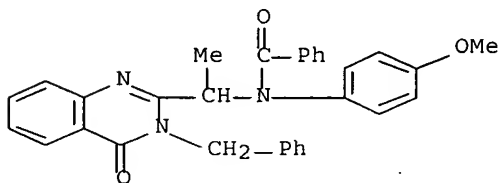
IT 531525-74-3P, 2-[1-[N-Benzoyl-N-[4-methoxyphenyl]amino]ethyl]-3-benzylquinazolin-4-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-sulfanyl benzothiazolyl modulators of Rho C activity)

RN 531525-74-3 HCAPLUS

CN Benzamide, N-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



L38 ANSWER 21 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:376563 HCAPLUS Full-text

DOCUMENT NUMBER: 138:385439

TITLE: Preparation of quinazolinone mitotic kinesin inhibitors for treating cancer

INVENTOR(S): Fraley, Mark E.; Hoffman, William F.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 101 pp.  
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

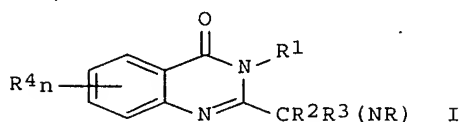
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003039460	A2	20030515	WO 2002-US35111	20021101 <--
WO 2003039460	A3	20030731		

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CA 2465491 A1 20030515 CA 2002-2465491 20021101 <--  
 EP 1444209 A2 20040811 EP 2002-799174 20021101 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK  
 JP 2005511581 T 20050428 JP 2003-541752 20021101 <--  
 US 2004259826 A1 20041223 US 2004-494899 20040507 <--  
 US 7060705 B2 20060613  
 PRIORITY APPLN. INFO.: US 2001-344453P P 20011107 <--  
 WO 2002-US35111 W 20021101 <--  
 OTHER SOURCE(S): MARPAT 138:385439  
 GI



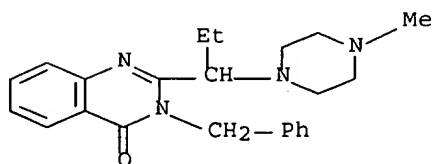
AB The present invention relates to quinazolinones (shown as I; variables defined below; e.g. 3-benzyl-2-[1-(4-methylpiperazin-1-yl)propyl]quinazolin-4(3H)-one) that are useful for treating cellular proliferative diseases, for treating disorders associated with KSP kinesin activity, and for inhibiting KSP kinesin. The invention also related to compns. which comprise these compds., and methods of using them to treat cancer in mammals. Twelve examples of I were found in a kinesin ATPase in vitro assay to have IC50 ≤50 μM. Although the methods of preparation are not claimed, 1 example preparation of I and characterization data for another 10 examples of I are included. For I: NR = 5-12 membered N-containing heterocycle, which is optionally substituted with 1-6 R5 groups and which optionally incorporates 1-2 addnl. heteroatoms = N, O and S in the heterocycle; a = 0, 1; b = 0, 1; m = 0-2; n = 0-4; R1 = H, C1-C10 alkyl, aryl, C2-C10 alkenyl, C2-C10 alkynyl, C1-C6 perfluoroalkyl, C3-C8 cycloalkyl, and heterocyclyl. R2 and R3 = H, (C:O)aObC1-C10 alkyl, (C:O)aObaryl, (C:O)aObC2-C10 alkenyl, (C:O)aObC2-C10 alkynyl, CO2H, C1-C6 perfluoroalkyl, (C:O)aObC3-C8 cycloalkyl, (C:O)aObheterocyclyl, SO2NR7R8, and SO2C1-C10 alkyl; R4 = (C:O)aObC1-C10 alkyl, (C:O)aObaryl, (C:O)aObC2-C10 alkenyl, (C:O)aObC2-C10 alkynyl, CO2H, halo, OH, ObC1-C6 perfluoroalkyl, (C:O)aNR7R8, CN, (C:O)aObC3-C8 cycloalkyl, (C:O)aObheterocyclyl, SO2NR7R8, and SO2C1-C10 alkyl; R5 is (C:O)aObC1-C10 alkyl, (C:O)aObaryl, C2-C10 alkenyl, C2-C10 alkynyl, (C:O)aOb heterocyclyl, CO2H, halo, CN, OH, ObC1-C6 perfluoroalkyl, Oa(C:O)bNR7R8, oxo, CHO, N(O)R7R8, or C(O)aObC3-C8 cycloalkyl; addnl. details are given in the claims.

IT 522638-59-1P, 3-Benzyl-2-[1-(4-methylpiperazin-1-yl)propyl]quinazolin-4(3H)-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazolinone mitotic kinesin inhibitors for treating cancer)

RN 522638-59-1 HCAPLUS

CN 4-(3H)-Quinazolinone, 2-[1-(4-methyl-1-piperazinyl)propyl]-3-(phenylmethyl)-  
(9CI) (CA INDEX NAME)

L38 ANSWER 22 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:375555 HCAPLUS Full-text

DOCUMENT NUMBER: 139:190626

TITLE: Substituted quinazolines, Part 2. Synthesis and  
in-vitro anticancer evaluation of new 2-substituted  
mercapto-3H-quinazoline analogsAUTHOR(S): Khalil, Ashraf A.; Abdel Hamide, Sami G.; Al-Obaide,  
Abdulrahman M.; El-Subbagh, Hussein I.CORPORATE SOURCE: Department of Pharmaceutical Chemistry, College of  
Pharmacy, King Saud University, Riyadh, 11451, Saudi  
ArabiaSOURCE: Archiv der Pharmazie (Weinheim, Germany) (2003  
) , 336(2), 95-103

CODEN: ARPMAS; ISSN: 0365-6233

PUBLISHER: Wiley-VCH Verlag GmbH &amp; Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:190626

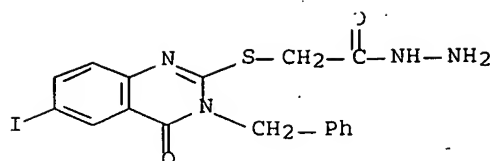
AB A new series of 2-substituted mercapto-3H-quinazolines bearing 6-iodo and 2-heteroarylthio functions was synthesized and screened for their in vitro antitumor activity. Eighteen compds. were identified as active anticancer agents. N'-[(3-Benzyl-4-oxo-6-iodo-3H-quinazoline-2-yl)thioacetyl]-N3-ethylthiosemicarbazide, N-benzoyl-N'-[2-(3-benzyl-4-oxo-6-iodo-3H-quinazolin-2-yl)thioacetyl]hydrazine, and 2-[(3,6-dioxo-pyridazin-4-yl)thio]-3-benzyl-4-oxo-6-iodo-3H-quinazoline proved to be the most active members in this study. They showed MG-MID, GI50 values of 12.8, 11.3, and 13.8  $\mu$ M, resp. The detailed synthesis and biol. screening data are reported.

IT 362662-15-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(synthesis and antitumor activity of 2-substituted mercapto-3H-quinazoline analogs)

RN 362662-15-5 HCAPLUS

CN Acetic acid, [[3,4-dihydro-6-iodo-4-oxo-3-(phenylmethyl)-2-quinazolinyl]thio]-, hydrazide (9CI) (CA INDEX NAME)

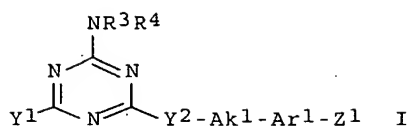


REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 23 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2003:242160 HCAPLUS Full-text  
 DOCUMENT NUMBER: 138:271705  
 TITLE: Preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase  
 INVENTOR(S): Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii; Moradel, Oscar; Leit, Silvana; Raepfel, Stephane; Frechette, Sylvie; Bouchain, Giliane  
 PATENT ASSIGNEE(S): Methylgene, Inc., Can.  
 SOURCE: PCT Int. Appl., 347 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003024448	A2	20030327	WO 2002-US29017	20020912 <--
WO 2003024448	A3	20031113		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2465978	A1	20030327	CA 2002-2465978	20020912 <--
EP 1429765	A2	20040623	EP 2002-763627	20020912 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012510	A	20040824	BR 2002-12510	20020912 <--
CN 1578663	A	20050209	CN 2002-822690	20020912 <--
JP 2005508905	T	20050407	JP 2003-528544	20020912 <--
JP 3795044	B2	20060712		
JP 2005255683	A	20050922	JP 2005-80310	20050318 <--
AU 2006252047	A1	20070111	AU 2006-252047	20061214 <--
PRIORITY APPLN. INFO.:			US 2001-322402P	P 20010914 <--
			US 2002-391728P	P 20020626 <--
			AU 2002-327627	A3 20020912 <--
			JP 2003-528544	A3 20020912 <--
			WO 2002-US29017	W 20020912 <--
OTHER SOURCE(S):		MARPAT 138:271705		

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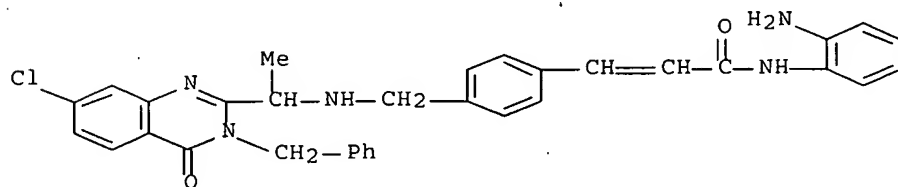
- AB The invention relates to triazines (shown as I; variables defined below; e.g. 4-[[4-amino-6-(2-indanylamino)-[1,3,5]triazin-2-ylamino]methyl]-N-(2-aminophenyl)benzamide) and Cy<sup>3</sup>-X<sup>1</sup>-Ar<sup>2</sup>-(C(R<sup>5</sup>):C(R<sup>6</sup>))qC(O)NH-Ay<sup>2</sup> (II; variables defined below; e.g. ), many of which are N-(o-aminophenyl)carboxamides, as inhibitors of histone deacetylase (data included for many I and II). The invention provides compds. and methods for inhibiting histone deacetylase enzymic activity. The invention also provides compns. and methods for treating cell proliferative diseases and conditions. Antineoplastic effects of some I and II are illustrated for colorectal, pulmonary and pancreatic neoplasms; also the combined antineoplastic effect of histone deacetylase inhibitors and histone deacetylase antisense oligonucleotides on tumor cells in vivo was demonstrated. For I: R<sup>3</sup> and R<sup>4</sup> = H, L<sup>1</sup>, Cy<sup>1</sup> and -L<sup>1</sup>-Cy<sup>1</sup> (L<sup>1</sup> = C<sup>1</sup>-C<sup>6</sup> alkyl, C<sup>2</sup>-C<sup>6</sup> heteroalkyl, or C<sup>3</sup>-C<sup>6</sup> alkenyl; Cy<sup>1</sup> = cycloalkyl, aryl, heteroaryl, or heterocyclyl) or R<sup>3</sup> and R<sup>4</sup> are taken together with the adjacent N atom to form a 5-, 6-, or 7-membered ring, wherein the ring atoms = C, O, S, and N, and wherein the ring is optionally substituted, and optionally forms part of a bicyclic ring system, or is optionally fused to one or two aryl or heteroaryl rings, or to one or two saturated or partially unsatd. cycloalkyl or heterocyclic rings, each of which rings and ring systems is optionally substituted. Y<sup>1</sup> = -N(R<sup>1</sup>)(R<sup>2</sup>), -CH<sub>2</sub>-C(O)-N(R<sup>1</sup>)(R<sup>2</sup>), halogen, and H (R<sup>1</sup> and R<sup>2</sup> = H, L<sup>1</sup>, Cy<sup>1</sup>, and -L<sup>1</sup>-Cy<sup>1</sup>). Y<sup>2</sup> = chemical bond or N(R<sup>0</sup>) (R<sup>0</sup> = H, alkyl, aryl, aralkyl, and acyl); Ak<sup>1</sup> = C<sup>1</sup>-C<sup>6</sup> alkylene, C<sup>1</sup>-C<sup>6</sup>-heteroalkylene (preferably, in which one -CH<sub>2</sub>- is replaced with -NH-, and more preferably -NH-CH<sub>2</sub>), C<sup>2</sup>-C<sup>6</sup> alkenylene or C<sup>2</sup>-C<sup>6</sup> alkynylene; Ar<sup>1</sup> = arylene or heteroarylene, either of which is optionally substituted; and Z<sup>1</sup> = C(O)NH-Ay<sup>1</sup> and CH:CHC(O)NH-Ay<sup>1</sup> (Ay<sup>1</sup> = aryl or heteroaryl, each of which is optionally substituted). For II: Cy<sup>2</sup> = cycloalkyl, aryl, heteroaryl, or heterocyclyl; X<sup>1</sup> = covalent bond, M<sup>1</sup>-L<sup>2</sup>-M<sup>1</sup>, and L<sup>2</sup>-M<sup>2</sup>-L<sup>2</sup> (L<sup>2</sup> = chemical bond, C<sup>1</sup>-C<sup>4</sup> alkylene, C<sup>2</sup>-C<sup>4</sup> alkenylene, and C<sup>2</sup>-C<sup>4</sup> alkynylene, provided that L<sup>2</sup> is not a chemical bond when X<sup>1</sup> is M<sup>1</sup>-L<sup>2</sup>-M<sup>1</sup>; M<sup>1</sup> = -O-, -N(R<sup>7</sup>)-, -S-, -S(O)-, S(O)<sub>2</sub>-, -S(O)2N(R<sup>7</sup>)-, -N(R<sup>7</sup>)S(O)<sub>2</sub>-, -C(O)-, -C(O)NH-, -NHC(O)-, -NHC(O)-O- and -OC(O)NH- (R<sup>7</sup> = H, alkyl, aryl, aralkyl, acyl, heterocyclyl, and heteroaryl); and M<sup>2</sup> = M<sup>1</sup>, heteroarylene, and heterocyclylene, either of which rings is optionally substituted). Ar<sup>2</sup> = arylene or heteroarylene, each of which is optionally substituted; R<sup>5</sup> and R<sup>6</sup> = H, alkyl, aryl, and aralkyl; q is 0 or 1; and Ay<sup>2</sup> is a 5-6 membered cycloalkyl, heterocyclyl, or heteroaryl substituted with an amino or hydroxy moiety (preferably these groups are ortho to the amide N to which Ay<sup>2</sup> is attached) and further optionally substituted; provided that when Cy<sup>2</sup> is naphthyl, X<sup>1</sup> is -CH<sub>2</sub>-, Ar<sup>2</sup> is Ph, R<sup>5</sup> and R<sup>6</sup> are H, and q is 0 or 1, Ay<sup>2</sup> is not Ph or o-hydroxyphenyl. Although the methods of preparation are not claimed, hundreds of example preps. are included.
- IT 503041-91-6P, N-(2-Aminophenyl)-3-(4-(((1-(3-benzyl-7-chloro-3,4-dihydro-4-oxoquinazolin-2-yl)ethyl)amino)methyl)phenyl)acrylamide  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of triazinyl and other carboxamides as inhibitors of histone deacetylase for treating cell proliferative

10/809,637

March 8, 2007

disorders)  
 RN 503041-91-6 HCAPLUS  
 CN 2-Propenamide, N-(2-aminophenyl)-3-[4-[[[1-[7-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

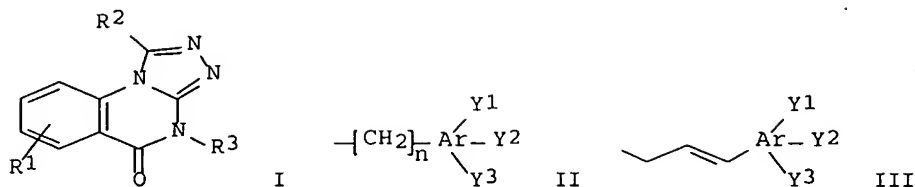


L38 ANSWER 24 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2003:150617 HCAPLUS Full-text  
 DOCUMENT NUMBER: 138:187785  
 TITLE: Preparation of 1-alkyl or 1-cycloalkyltriazolo[4,3-a]quinazolin-5-ones as phosphodiesterase inhibitors  
 INVENTOR(S): Lavalette, Remi; Gaudilliere, Bernard  
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA  
 SOURCE: Eur. Pat. Appl., 29 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1285922	A1	20030226	EP 2001-402166	20010813 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA 2453647	A1	20030227	CA 2002-2453647	20020626 <--
WO 2003016314	A1	20030227	WO 2002-EP7061	20020626 <--
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1419159	A1	20040519	EP 2002-747440	20020626 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002011863	A	20040921	BR 2002-11863	20020626 <--
JP 2005502662	T	20050127	JP 2003-521236	20020626 <--
US 2003069260	A1	20030410	US 2002-211134	20020802 <--
US 6747035	B2	20040608		
PRIORITY APPLN. INFO.:			EP 2001-402166	A 20010813 <--
			WO 2002-EP7061	W 20020626 <--
OTHER SOURCE(S):			MARPAT 138:187785	



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AB The title compds. [I; R1 = OH, halo, NO<sub>2</sub>, etc.; R2 = (un)substituted alkyl, X2(cycloalkyl) (wherein X2 = a bond, alkylene); R3 = II, III (n = 1-4; Ar = 5-6 membered aromatic ring containing 0-3 heteroatoms chosen from O, S and N; Y1-Y3 = H, OH, SH, etc.)], useful for the treatment of pathologies in which therapy by a PDE4 inhibitor is relevant, were prepared. Thus, hydrogenation of 4-benzyl-1-cyclopentyl-7-(N-methylacetamido)-4H-[1,2,4]triazolo[4,3-a]quinazolin-5-one (preparation given) over Pd/C followed by alkylation of the intermediate with 4-NCC6H4CH<sub>2</sub>Br afforded I [R1 = 7-(N-methylacetamido); R2 = cyclopentyl; R3 = 4-NCC6H4CH<sub>2</sub>] which showed IC<sub>50</sub> of 1.3 μM against PDE4.

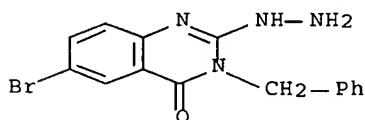
IT 305804-86-8

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 1-alkyl or 1-cycloalkyltriazolo[4,3-a]quinazolin-5-ones as phosphodiesterase inhibitors)

RN 305804-86-8 HCAPLUS

CN 2,4(1H,3H)-Quinazolin-5-one, 6-bromo-3-(phenylmethyl)-, 2-hydrazone (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 25 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:76556 HCAPLUS Full-text

DOCUMENT NUMBER: 138:131125

TITLE: Fat accumulation-modulating compounds

INVENTOR(S): Stevenson, Michael John; Leighton, Harry Jefferson

PATENT ASSIGNEE(S): Adipogenix, Inc., USA

SOURCE: PCT Int. Appl., 96 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

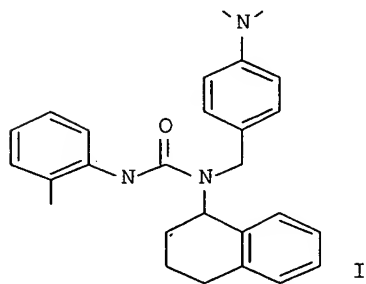
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003007838 A2 20030130 WO 2002-US23295 20020722 <--  
 WO 2003007888 A3 20031127  
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PL,  
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,  
 UG, UZ, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,  
 CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 AU 2002322585 A1 20030303 AU 2002-322585 20020722 <--  
 US 2003144350 A1 20030731 US 2002-201588 20020722 <--  
 PRIORITY APPLN. INFO.: US 2001-306837P P 20010720 <--  
 WO 2002-US23295 W 20020722 <--  
 OTHER SOURCE(S): MARPAT 138:131125  
 GI

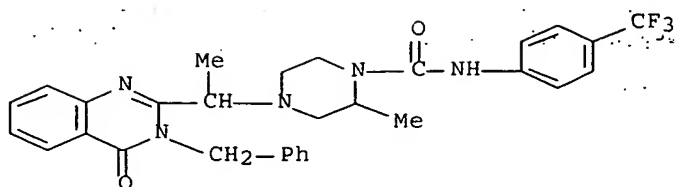


AB The present invention pertains to compds. effective at modulating fatty acid or triglyceride ("fat") accumulation by cells, such compds. having therapeutic potential as regulators of body mass and for the treatment of overweight individuals, obesity, and metabolic disorders. An example compound is I and protocol for high-throughput screening of compound efficacy on human preadipocytes is given. Therapeutic methods and pharmaceutical compns. featuring these compds. are also provided.

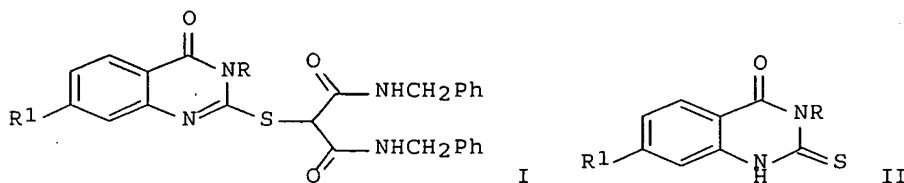
IT 334481-27-5  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (fat accumulation-modulating compds.)

RN 334481-27-5 HCAPLUS

CN 1-Piperazinecarboxamide, 4-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-2-methyl-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)



L38 ANSWER 26 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2002:940425 HCAPLUS Full-text  
 DOCUMENT NUMBER: 138:321225  
 TITLE: Synthesis and anticonvulsant activity of 3-substituted  
 N,N'-dibenzyl-2-[(4-oxo-3,4-dihydroquinazolin-2-yl)thio]malonamides  
 AUTHOR(S): Georgiyants, V. A.; Kovalenko, S. M.; Sich, I. A.;  
 Drushlyak, O. G.  
 CORPORATE SOURCE: Nats. Farm. Akad. Ukr., Ukraine  
 SOURCE: Fiziologichno Aktivni Rechovini (2002), (1),  
 26-30  
 CODEN: FARICW  
 PUBLISHER: Natsional'na Farmatsevtichna Akademiya Ukraini  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Ukrainian  
 OTHER SOURCE(S): CASREACT 138:321225  
 GI



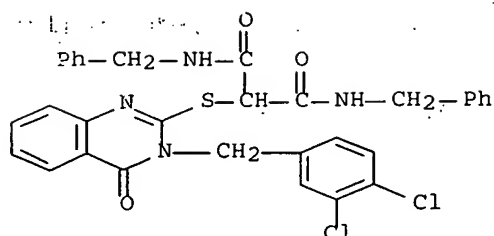
AB Thio-substituted quinazolinones I (R1 = tetrahydrofuran-2-ylmethyl, Ph, pentyl, allyl, benzyl, CH2CH2OMe, etc.; R = H, COOMe, substituted carbamoyl, etc.) were prepared by reaction of thioxoquinazolinones II with 2-bromo-N,N'-dibenzylmalonamide in DMF in the presence of Et3N. Pharmacol. screening, conducted on convulsion models caused by Corazole and elec. current, showed that the presence of two pharmacophores, i.e., quinazolinic and malonamidic, did not enlarge the arithmetic value of the anticonvulsant activity but did increase its spectrum so that nearly all I protected animals from death under both types of convulsive attacks.

IT 422274-77-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and anticonvulsant activity of bis(benzylcarbamoyl)methylthio dihydroquinazolinones)

RN 422274-77-9 HCAPLUS

CN Propanediamide, 2-[[3-[(3,4-dichlorophenyl)methyl]-3,4-dihydro-4-oxo-2-quinazolinyl]thio]-N,N'-bis(phenylmethyl)- (9CI) (CA INDEX NAME)



L38 ANSWER 27 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2002:833514 HCAPLUS Full-text  
 DOCUMENT NUMBER: 137:337912  
 TITLE: Preparation of purinylquinazolinones as inhibitors of human phosphatidylinositol 3-kinase delta  
 INVENTOR(S): Sadhu, Chanchal; Dick, Ken; Treiberg, Jennifer; Sowell, C. Gregory; Kesicki, Edward A.; Oliver, Amy  
 PATENT ASSIGNEE(S): ICOS Corp., USA  
 SOURCE: U.S. Pat. Appl. Publ., '86 pp., Cont.-in-part of U.S. Ser. No. 841,341.  
 CODEN: USXXCO  
 DOCUMENT TYPE: *Patent*  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002161014	A1	20021031	US 2001-27591	20011019 <--
US 6667300	B2	20031223		
US 6518277	B1	20030211	US 2001-841341	20010424 <--
CA 2463294	A1	20030501	CA 2002-2463294	20020827 <--
WO 2003035075	A1	20030501	WO 2002-US27240	20020827 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1438052	A1	20040721	EP 2002-757407	20020827 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
CN 1606444	A	20050413	CN 2002-825687	20020827 <--
JP 2005509635	T	20050414	JP 2003-537642	20020827 <--
NZ 532206	A	20061130	NZ 2002-532206	20020827 <--
ZA 2002008698	A	20031010	ZA 2002-8698	20021028 <--
US 2003195211	A1	20031016	US 2003-337192	20030106 <--
US 6800620	B2	20041005		
US 2004266780	A1	20041230	US 2003-697912	20031030 <--
US 6949535	B2	20050927		
US 2005261317	A1	20051124	US 2005-110204	20050420 <--
PRIORITY APPLN. INFO.:			US 2000-199655P	P 20000425 <--

US 2000-238057B

P 20001005 &lt;--

US 2001-841341

A2 20010424 &lt;--

US 2001-27591

A 20011019 &lt;--

WO 2002-US27240

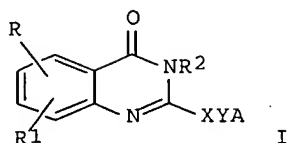
W 20020827 &lt;--

US 2003-697912

A1 20031030 &lt;--

OTHER SOURCE(S):  
GI

MARPAT 137:337912



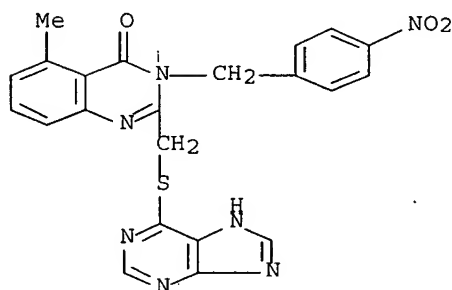
AB A method of disrupting leukocyte function comprises administration of title compds. [I; X = C(Rb)<sub>2</sub>, CH<sub>2</sub>CHRb, CH:CRb; Rb = H, alkyl, heteroalkyl, aryl, heteroaryl, aralkyl, etc.; Y = null, S, SO, SO<sub>2</sub>, NH, O, CO, CO<sub>2</sub>, NHCOCH<sub>2</sub>S; R, R<sub>1</sub> = H, alkyl, aryl, heteroaryl, halo, etc.; RR<sub>1</sub> = atoms to form a 3-4 membered alkylene, alkenylene chain; R<sub>2</sub> = H, (substituted) alkyl, cycloalkyl, heterocycloalkyl, alkylencycloalkyl, alkenyl, alkylenearyl, aryl, heteroaryl, etc.; A = (substituted) mono- or bicyclic ring system containing ≥2 N atoms and in which ≥1 ring is aromatic]. Thus, dose-dependent decrease in histamine release from basophils when stimulated with anti-IgE was 100% at 1,000 nM, with an EC<sub>50</sub> of about 25 nM for I (Y = S, R = 5-Me, R<sub>1</sub> = H, R<sub>2</sub> = 2-ClC<sub>6</sub>H<sub>4</sub>, R<sub>3</sub> = H; S connected to 6-position of purine ring; preparation given).

IT 371243-07-1P, 4(3H)-Quinazolinone, 5-methyl-3-[(4-nitrophenyl)methyl]-2-[(1H-purin-6-ylthio)methyl]-  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of purinylquinazolinones as inhibitors of human phosphatidylinositol 3-kinase delta)

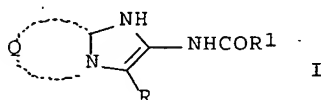
RN 371243-07-1 HCAPLUS

CN 4(3H)-Quinazolinone, 5-methyl-3-[(4-nitrophenyl)methyl]-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)



DOCUMENT NUMBER: 137:343833  
 TITLE: Imidazole derivative photographic yellow coupler and silver halide photographic material  
 INVENTOR(S): Shimada, Yasuhiro  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002318445	A	20021031	JP 2001-125024	20010423 <--
PRIORITY APPLN. INFO.:			JP 2001-125024	20010423 <--
OTHER SOURCE(S):	MARPAT 137:343833			
GI				



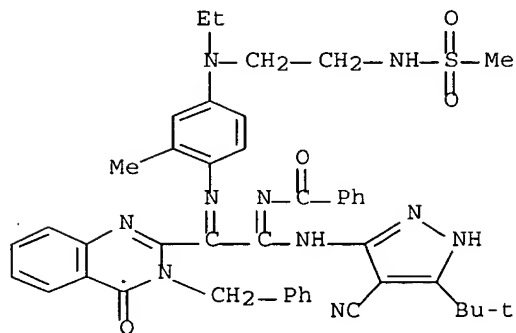
AB Yellow dye-forming coupler I (Q = nonmetal atoms to form N-containing heterocycle; R, R' = substituent) and silver halide photog. material containing I are claimed. The releasing group of the coupler functions as a dye chromophore, and the coupler gives a dye with high mol. extinction coefficient and clear hue.

IT 473912-77-5P

RL: PNU (Preparation, unclassified); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)  
 (dye formed from imidazole derivative photog. yellow coupler)

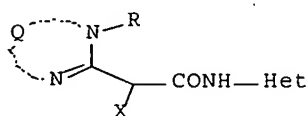
RN 473912-77-5 HCAPLUS

CN Benzamide, N-[1-[[4-cyano-5-(1,1-dimethylethyl)-1H-pyrazol-3-yl]amino]-2-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-2-[[4-[ethyl[2-(methylsulfonyl)amino]ethyl]amino]-2-methylphenyl]imino]ethylidene]-  
 (9CI) (CA INDEX NAME)

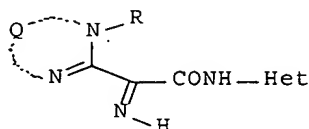


L38 ANSWER 29 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2002:827797 HCAPLUS Full-text  
 DOCUMENT NUMBER: 137:331022  
 TITLE: Coupler for azomethine dye formation and silver halide  
 photographic material using it  
 INVENTOR(S): Ogasawara, Atsushi; Kamihira, Shigeo; Shimada,  
 Yasuhiro  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 28 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002318441	A	20021031	JP 2001-123651	20010420 <--
PRIORITY APPLN. INFO.:			JP 2001-123651	20010420 <--
OTHER SOURCE(S):	MARPAT 137:331022			
GI				



I



II

AB Dye forming coupler I and azomethine dye II (Q = nonmetal atoms to form N-containing heterocycle; R = substituent; Het = heterocycle; X = H, releasing group by coupling reaction with developer oxide; Ar = aryl) are claimed. The azomethine dye shows high mol. extinction coeff, clear hue, and the photog. material gives clear images with good fastness.

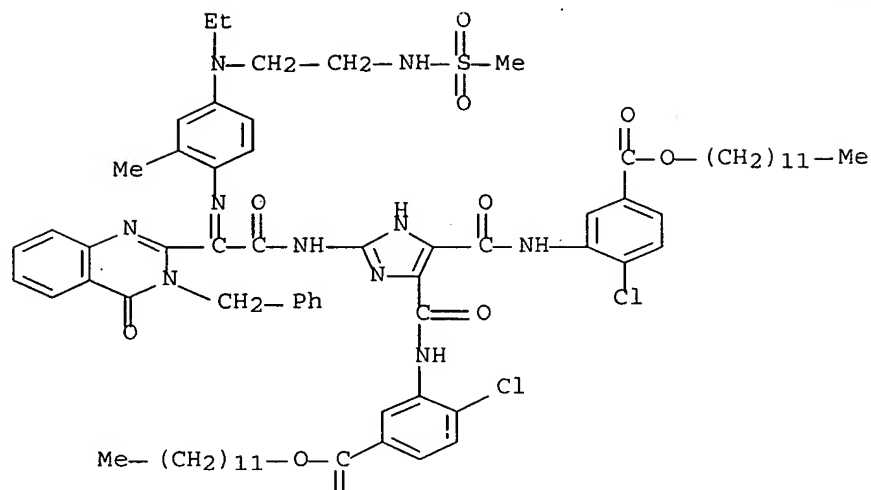
IT 473738-67-9P

RL: PNU (Preparation, unclassified); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)  
 (azomethine dye; photog. coupler for azomethine dye formation)

RN 473738-67-9 HCAPLUS

CN Benzoic acid, 3,3'-[[2-[[[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl][4-[ethyl[2-[(methylsulfonyl)amino]ethyl]amino]-2-methylphenyl]imino]acetyl]amino]-1H-imidazole-4,5-diyl]bis(carbonylimino)]bis[4-chloro-, didodecyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



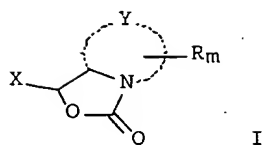
PAGE 2-A

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L38 ANSWER 30 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2002:792277 HCAPLUS Full-text  
 DOCUMENT NUMBER: 137:317823  
 TITLE: Photographic coupler, silver halide photographic material, and manufacture of azomethine dye  
 INVENTOR(S): Uehira, Shigeo; Takeuchi, Kiyoshi; Shimada, Yasuhiro  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 37 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002302492	A	20021018	JP 2001-102014	20010330 <--
PRIORITY APPLN. INFO.:			JP 2001-102014	20010330 <--
OTHER SOURCE(S):	MARPAT 137:317823			
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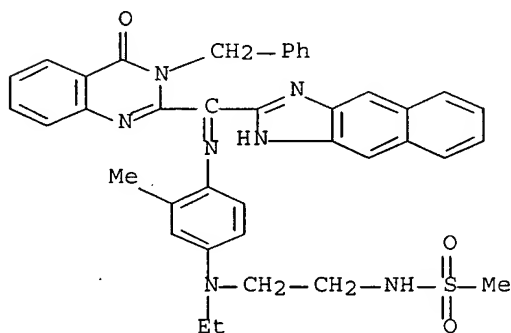


AB The coupler is I (Y = atoms comprising C and/or N atom forming 5- to 6-membered ring; R = substituent; m = 0-4; X = substituent). The photog. material contains  $\geq 1$  above coupler. The dye is manufactured by reacting I with p-phenylenediamine. The coupler showed improved hue and high molar absorption coefficient, the photog. material doing improved color development and light stability and the dye doing improved hue and storage stability.

IT 468726-88-7P  
 RL: PNU (Preparation, unclassified); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)  
 (azomethine dye formed from oxazole coupler and phenylenediamine derivative)

RN 468726-88-7 HCAPLUS

CN Methanesulfonamide, N-[2-[[4-[[[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-1H-naphth[2,3-d]imidazol-2-ylmethylene]amino]-3-methylphenyl]ethylamino]ethyl]- (9CI) (CA INDEX NAME)



L38 ANSWER 31 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:769982 HCAPLUS Full-text

DOCUMENT NUMBER: 137:302092

TITLE: Photographic color coupler, silver halide photographic material, and azomethine dye

INVENTOR(S): Takeuchi, Kiyoshi; Uedaira, Shigeo; Aoki, Mario

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 55 pp.  
 CODEN: JKXXAF

DOCUMENT TYPE: *Patent*

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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10/809,637

March 8, 2007

JP 2002296740	A	20021009	JP 2001-102538	20010330 <--	JP 2002296740
US 2003064332	A1	20030403	US 2002-106192	20020327 <--	
US 6677110	B2	20040113			
US 2004096787	A1	20040520	US 2003-679495	20031007 <--	
PRIORITY APPLN. INFO.:			JP 2001-102538	A 20010330 <--	
			JP 2001-102698	A 20010330 <--	
			US 2002-106192	A3 20020327 <--	
OTHER SOURCE(S):	MARPAT 137:302092				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The invention relates to a photog. color coupler represented by I (Q = atoms for forming N-containing 6-membered ring, preferably 4-pyrimidone ring; R1 = methylene, methine, C; p = 1-30; R4 = substituent except H; m = 1-30; X = aryl; Y = H, group capable of leaving upon coupling reaction with oxidized developing agent) and a photog. material containing the color coupler. The invention also relates to an azomethine dye represented by II (Q = atoms for forming N-containing 6-membered ring, preferably 4-pyrimidone ring; R1 = methylene, methine, C; p = 1-30; R4 = substituent except H; m = 1-30; X = aryl; R5, R6, R7 = H, substituent; n = 0-4) formed by the above color coupler's coupling reaction. The photog. material shows excellent color hue, storage stability, color reproduction, and lightfastness.

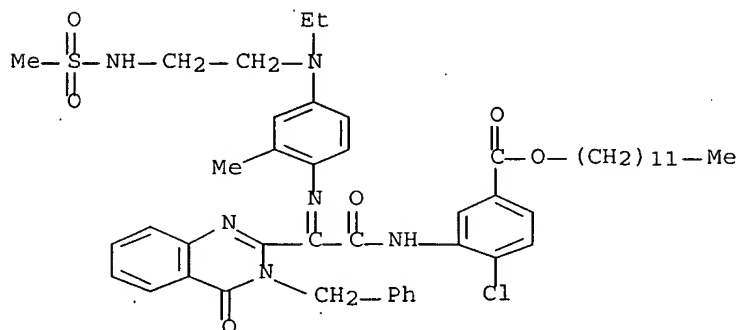
IT 468744-56-1

RL: FMU (Formation, unclassified); PRP (Properties); FORM (Formation, nonpreparative)

(azomethine dye; photog. color coupler forming azomethine dye for color photog. material showing improved color hue, storage stability, color reproduction, and lightfastness)

RN 468744-56-1 HCAPLUS

CN Benzoic acid, 4-chloro-3-[[[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl][[4-[ethyl[2-[(methylsulfonyl)amino]ethyl]amino]-2-methylphenyl]imino]acetyl]amino]-, dodecyl ester (9CI) (CA INDEX NAME)



L38 ANSWER 32 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:768220 HCAPLUS Full-text

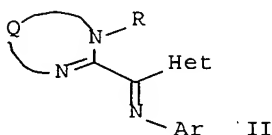
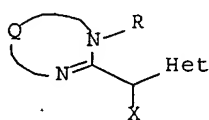
DOCUMENT NUMBER: 137:302077

TITLE: Photographic yellow coupler, silver halide color photographic material, and azomethine dye

INVENTOR(S): Shimada, Yasuhiro; Uehira, Shigeo  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho; 22 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002296739	A	20021009	JP 2001-101085	20010330 <--
PRIORITY APPLN. INFO.:			JP 2001-101085	20010330 <--
OTHER SOURCE(S):	MARPAT 137:302077			

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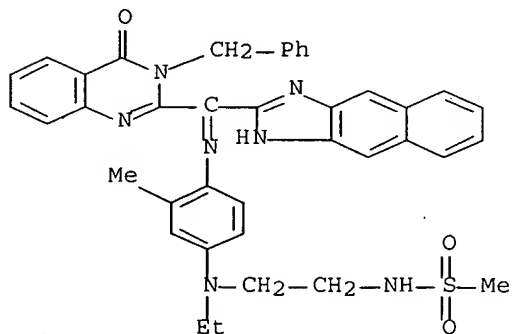
AB The invention relates to a photog. yellow coupler represented by I (Q = nonmetal atoms for completing N-containing ring; R = substituent; Het = heterocycle; X = H, group capable of leaving upon coupling reaction with oxidized development agent) and also to a photog. material containing the yellow coupler. The invention also relates to an azomethine dye represented by II (Q = nonmetal atoms for completing N-containing ring; R = substituent; Het = heterocycle; Ar = aryl) for a photog. material. The photog. material shows excellent color hue, coloring, and lightfastness.

IT 468726-88-7

RL: MOA (Modifier or additive use); USES (Uses)  
 (azomethine dye; photog. yellow coupler and azomethine dye in color photog. material to improve color hue, coloring, and lightfastness)

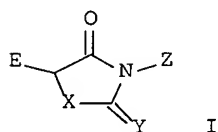
RN 468726-88-7 HCAPLUS

CN Methanesulfonamide, N-[2-[[4-[[[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]-1H-naphth[2,3-d]imidazol-2-ylmethylene]amino]-3-methylphenyl]ethylamino]ethyl]- (9CI) (CA INDEX NAME)



L38 ANSWER 33 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2002:291843 HCAPLUS Full-text  
 DOCUMENT NUMBER: 136:316838  
 TITLE: Color photographic paper comprising azomethine dye forming coupler  
 INVENTOR(S): Uehira, Shigeki; Ogasawara, Jun; Takeuchi, Kiyoshi; Shimada, Yasuhiro; Deguchi, Yasuaki  
 PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 101 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: *Patent*  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1197799	A1	20020417	EP 2001-122626	20010927 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002107880	A	20020410	JP 2000-294964	20000927 <--
JP 2002174884	A	20020621	JP 2001-101418	20010330 <--
PRIORITY APPLN. INFO.:			JP 2000-294964	A 20000927 <--
			JP 2000-297609	A 20000928 <--
			JP 2001-101418	A 20010330 <--
OTHER SOURCE(S):		MARPAT 136:316838		
GI				



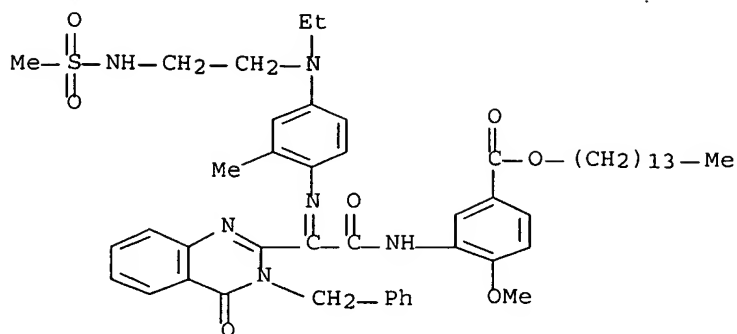
AB Disclosed is a photog. dye-forming coupler of the formula I (E = aryl, heterocyclic, -C(=O)W group, in which W = nitrogen-containing heterocyclic group; Z = aryl, heterocyclic; X, Y = O, S, N-R, in which R is a substituent, with the proviso that when E = aryl or heterocyclic group, X and Y are O, and when E = -C(=O)W group, Z is aryl). Also disclosed are a silver halide photog. paper that contains at least one dye-forming coupler of the formula I and a method for producing an azomethine dye using a compound of the formula I.

IT 411241-87-7P

RL: PNU (Preparation, unclassified); PRP (Properties); TEM (Technical or engineered material use); PREP (Preparation); USES (Uses)  
 (azomethine dye; silver halide photog. light-sensitive material comprising dye-forming coupler and method for producing azomethine dye)

RN 411241-87-7 HCAPLUS

CN Benzoic acid, 3-[[[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl][[4-[ethyl[2-[(methylsulfonyl)amino]ethyl]amino]-2-methylphenyl]imino]acetyl]amino]-4-methoxy-, tetradecyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 34 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:68708 HCAPLUS Full-text

DOCUMENT NUMBER: 137:294921

TITLE: Substituted quinazolines, 1. Synthesis and antitumor activity of certain substituted 2-mercapto-4(3H)-quinazolinone analogs

AUTHOR(S): Abdel Hamid, S. G.; El-Obeid, H. A.; Al-Rashood, K. A.; Khalil, A. A.; El-Subbagh, H. I.

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, College of Pharmacy, King Saud University, Riyadh, 11451, Saudi Arabia

SOURCE: Scientia Pharmaceutica (2001), 69(4), 351-366

CODEN: SCPHA4; ISSN: 0036-8709

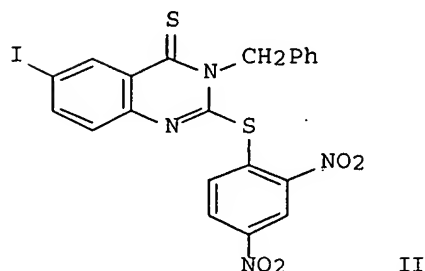
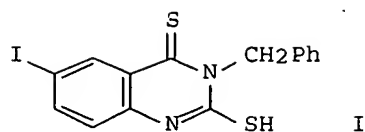
PUBLISHER: Oesterreichische Apotheker-Verlagsgesellschaft

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:294921

GI



AB A new series of 4(3H)-quinazolinone analogs bearing 6-iodo and 2-thioether functions, e.g., I, were synthesized and screened for their in vitro antitumor activity. Eight compds. were identified as active anticancer agents. I and quinazolinone II proved to be the most active compds. in this study. They showed MG-MID GI50, TGI, LC50 values of 3.9, 25.2, 82.3 and 2.7, 12.3, 38.7  $\mu$ M, resp. The detailed synthesis and biol. screening data are reported.

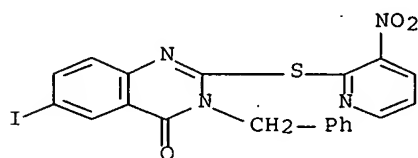
IT 362662-14-4

RL: PAC (Pharmacological activity); BIOL (Biological study)  
(preparation and antitumor activity of mercaptoquinazolinones via derivation

of thiol moiety in mercaptobenzylidoquinazolinone)

RN 362662-14-4 HCAPLUS

CN 4(3H)-Quinazolinone, 6-iodo-2-[(3-nitro-2-pyridinyl)thio]-3-(phenylmethyl)-  
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 35 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:935583 HCAPLUS Full-text

DOCUMENT NUMBER: 136:53759

TITLE: Preparation of N-acylquinazolinonealkylamines as KSP  
kinesin inhibitors

INVENTOR(S): Finer, Jeffrey T.; Bergnes, Gustav; Feng, Bainian;  
Smith, Whitney W.; Chabala, John C.; Morgans, David  
J., Jr.

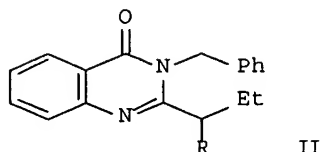
PATENT ASSIGNEE(S): Cytokinetics, Inc., USA

SOURCE: PCT Int'l Appl., 179 pp. 10/809,637  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098278	A1	20011227	WO 2001-US13901	20010427 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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EP 1686120	A2	20060802	EP 2006-75681	20001026 <--
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US 6630479	B1	20031007	US 2000-724713	20001128 <--
US 6831085	B1	20041214	US 2000-724941	20001128 <--
US 7105668	B1	20060912	US 2000-724897	20001128 <--
CA 2413426	A1	20011227	CA 2001-2413426	20010427 <--
EP 1296959	A1	20030402	EP 2001-932769	20010427 <--
EP 1296959	B1	20060419		
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CN 1437585	A	20030820	CN 2001-811582	20010427 <--
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NZ 523233	A	20041029	NZ 2001-523233	20010427 <--
AT 323684	T	20060515	AT 2001-932769	20010427 <--
PT 1296959	T	20060731	PT 2001-932769	20010427 <--
CN 1824656	A	20060830	CN 2005-10119288	20010427 <--
EP 1707563	A2	20061004	EP 2006-75276	20010427 <--
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ZA 2002010133	A	20030617	ZA 2002-10133	20021213 <--
NO 2002006172	A	20030220	NO 2002-6172	20021220 <--
US 2004023996	A1	20040205	US 2003-312323	20030815 <--
HK 1053837	A1	20060623	HK 2003-106128	20030826 <--
US 2004254203	A1	20041216	US 2004-893929	20040720 <--
US 2005187232	A1	20050825	US 2005-84787	20050321 <--
AU 2006236024	A1	20061207	AU 2006-236024	20061116 <--
PRIORITY APPLN. INFO.:				
			US 2000-213104P	P 20000621 <--
			US 2000-699047	A 20001024 <--
			US 1999-198253P	P 19991027 <--
			EP 2000-976656	A3 20001026 <--
			JP 2001-533122	A3 20001026 <--
			US 2000-724778	A3 20001128 <--
			US 2000-724941	A3 20001128 <--
			CN 2001-811582	A3 20010427 <--
			EP 2001-932769	A3 20010427 <--

OTHER SOURCE(S):  
GI

MARPAT 136:53759



AB R1CR2R2'NRR4 [I; R = H, COR3, SO2R3', CH2R3''; R1 = (un)substituted 3,4-dihydro-4-oxoquinazolin-2-yl; R2,R2' = H, (oxa)alkyl, (hetero)aryl, etc.; R3 = H, alkyl, alkoxy, (hetero)aryl, etc.; R3',R4 = H, alkyl, (hetero)aryl, etc.; R3'' = alkyl, (hetero)aryl, etc.] were prepared Thus, 2-(H2N)C6H4CO2H was amidated by PrCOCl and the cyclized product cyclocondensed with PhCH2NH2 to give, after bromination, quinazolinone II (R = Br) which was converted in 2 steps to II [R = N(COC6H4F-4)CH2CH2NMe2]. Data for biol. activity of I were given.

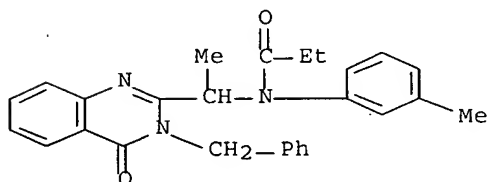
IT 288261-76-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-acylquinazolinonealkylamines as KSP kinesin inhibitors)

RN 288261-76-7 HCAPLUS

CN Propanamide, N-[1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethyl]-N-(3-methylphenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 36 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:798224 HCAPLUS Full-text

DOCUMENT NUMBER: 135:357937

TITLE: Quinazolinone derivatives as inhibitors of human phosphatidylinositol 3-kinase delta

INVENTOR(S): Sadhu, Chanchal; Dick, Ken; Treiberg, Jennifer; Sowell, C. Gregory; Kesicki, Edward A.; Oliver, Amy

PATENT ASSIGNEE(S): Icos Corporation, USA

SOURCE: PCT Int. Appl., 278 pp.

CODEN: PIXXD2

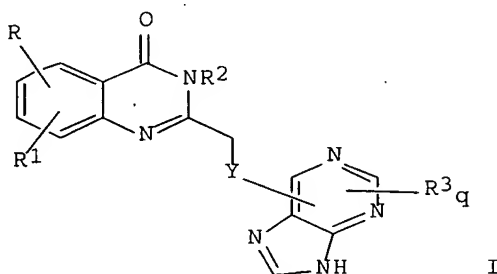
DOCUMENT TYPE:

Patent



ON-TW LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081346	A2	20011101	WO 2001-US13315	20010424 <--
WO 2001081346	A3	20020321		
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AB Methods of inhibiting phosphatidylinositol 3-kinase delta isoform (PI3K $\delta$ ) activity, and methods of treating diseases, such as disorders of immunity and inflammation, in which PI3K $\delta$  plays a role in leukocyte function are claimed. Preferably, the methods employ active agents that selectively inhibit PI3K $\delta$ , while not significantly inhibiting activity of other PI3K isoforms. Compds. are provided that inhibit PI3K $\delta$  activity, including compds. that selectively inhibit PI3K $\delta$  activity. The compds. claimed are all quinazolin-4-one derivs., including I [Y = null, S, NH; R = H, halo, OH, OMe, Me, CF<sub>3</sub>; R1 = H, OMe, halo; RR1 together with C-6 and C-7 of quinazoline ring define a 5- or 6-

membered aromatic ring optionally containing  $\geq 1$  O, N or S; R<sub>2</sub> = C1-6 alkyl, Ph, halophenyl, alkylphenyl, biphenyl, PhCH<sub>2</sub>, pyridinyl, 4-methylpiperazinyl, CO<sub>2</sub>Et, morpholinyl; R<sub>3</sub> = NH<sub>2</sub>, halo, C1-3 alkyl, S(C1-3 alkyl), OH, NH(C1-3 alkyl), N(C1-3 alkyl)<sub>2</sub>, NH(C1-3 alkylenephenyl); q = 1, 2] and pharmaceutically acceptable salts and solvates thereof. Methods of using PI3K $\delta$  inhibitory compds. to inhibit cancer cell growth or proliferation are also provided. Accordingly, the invention provides methods of using PI3K $\delta$  inhibitory compds. to inhibit PI3K $\delta$ -mediated processes in vitro and in vivo. Thus, in an example, dose-dependent decrease in histamine release from basophils when stimulated with anti-IgE was 100% at 1,000 nM, with an EC<sub>50</sub> of about 25 nM for I (Y = S, R = 5-Me, R<sub>1</sub> = H, R<sub>2</sub> = 2-ClC<sub>6</sub>H<sub>4</sub>, R<sub>3</sub> = H; S connected to 6-position of purine ring; preparation given).

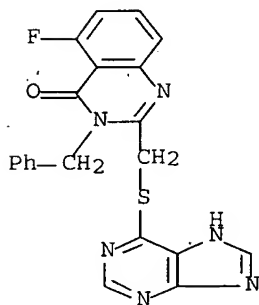
IT 371242-83-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation and inhibition of human phosphatidylinositol kinase by)

RN 371242-83-0 HCAPLUS

CN 4(3H)-Quinazolinone, 5-fluoro-3-(phenylmethyl)-2-[(1H-purin-6-ylthio)methyl]- (9CI) (CA INDEX NAME)



L38 ANSWER 37 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:501539 HCAPLUS Full-text

DOCUMENT NUMBER: 135:272932

TITLE: Synthesis and anticonvulsant activity of some new 4-Oxo-3H-quinazoline analogs

AUTHOR(S): Abdel Hamid, Sami G.; El-Obeid, Humeida A.; Al-Majed, Abdelrahman A.; El-Kashef, Hassan A.; El-Subbagh, Hussein I.

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, College of Pharmacy, King Saud University, Riyadh, 11451, Saudi Arabia

SOURCE: Medicinal Chemistry Research (2001), 10(6), 378-389

CODEN: MCREEB; ISSN: 1054-2523

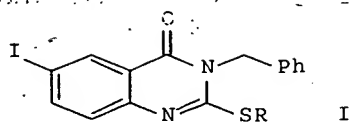
PUBLISHER: Birkhaeuser Boston

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:272932

GI



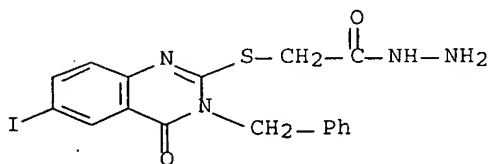
AB A new series of 3-benzyl-4-oxo-6-iodo-3H-quinazoline derivs. was synthesized and evaluated for their anticonvulsant activity adopting various screening models. Quinazoline I (R = CH<sub>2</sub>CO<sub>2</sub>H) (ED<sub>50</sub> 73.1 mg/kg) showed a 100% protection against PTZ-induced clonic convulsions with a wide safety margin compared to valproate (ED<sub>50</sub> 102 mg/kg). Also, compds. I (R = 2-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, CH<sub>2</sub>CONHR<sub>1</sub>, CH<sub>2</sub>CONHCH<sub>2</sub>CH<sub>2</sub>OH, CH<sub>2</sub>CONHR<sub>2</sub>, R<sub>1</sub> = phthalimido, R<sub>2</sub> = 3,4-dichloromaleimido) showed 83.3% protection. Meanwhile, compds. I (R = CH<sub>2</sub>CO<sub>2</sub>H, 2-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>, CH<sub>2</sub>CONHR<sub>1</sub>, R<sub>1</sub> = phthalimido) proved to be GABA-mimetic agents.

IT 362662-15-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and anticonvulsant activity of oxoquinazoline analogs)

RN 362662-15-5 HCAPLUS

CN Acetic acid, [[3,4-dihydro-6-iodo-4-oxo-3-(phenylmethyl)-2-quinazolinyl]thio]-, hydrazide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 38 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:319882 HCAPLUS Full-text

DOCUMENT NUMBER: 134:326543

TITLE: Methods and compositions utilizing quinazolinones as KSP kinesin modulators

INVENTOR(S): Finer, Jeffrey T.; Bergnes, Gustave; Feng, Bainian; Smith, Whitney W.; Chabala, John C.

PATENT ASSIGNEE(S): Cytokinetics, Inc., USA

SOURCE: PCT Int. Appl., 168 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030768	A1	20010503	WO 2000-US29585	20001026 <--

10/809,637

March 8, 2007

WO 2001030768

A9 20020815

W: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, BZ, CA, CH, CN,  
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 HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,  
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,  
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
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CA 2388646	A1	20010503	CA 2000-2388646	20001026 <--
BR 2000015110	A	20020702	BR 2000-15110	20001026 <--
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US 7105668	B1	20060912	US 2000-724897	20001128 <--
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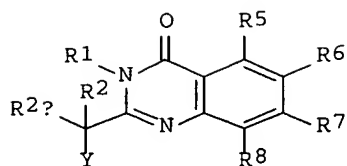
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IN 2002CN00486	A	20050311	IN 2002-CN486	20020418 <--
NO 2002001907	A	20020607	NO 2002-1907	20020423 <--
NO 322825	B1	20061211		
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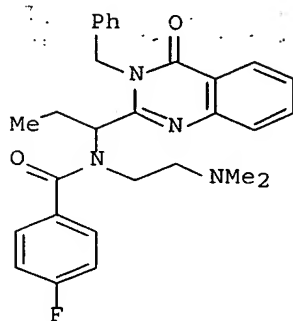
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US 1999-198253P	P	19991027 <--
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US 2000-699047	A1	20001024 <--
EP 2000-976656	A3	20001026 <--
JP 2001-533122	A3	20001026 <--
WO 2000-US29585	W	20001026 <--
US 2000-724778	A3	20001128 <--
US 2000-724941	A3	20001128 <--
CN 2001-811582	A3	20010427 <--
EP 2001-932769	A3	20010427 <--

OTHER SOURCE(S): MARPAT 134:326543  
 GI



I



II

AB Quinazolinones (I) [wherein R1 = H, alkyl, (hetero)aryl, or (un)substituted alkyl(hetero)aryl; R2 and R2a = independently H or (un)substituted (oxa)alkyl, (hetero)aryl, or alkyl(hetero)aryl; Y = NR4COR3, NR4SO2R3a, NR4CH2R3b, or NHR4; R3 = H, oxaalkyl, or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, oxaalkylaryl, ether, or amino; R3a = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or amino; R3b = (un)substituted alkyl, (hetero)aryl, or alkyl(hetero)aryl; R4 = H or (un)substituted alkyl, (hetero)aryl, alkyl(hetero)aryl, or alkylene; R5-R8 = independently H, (fluoro)alkyl, alkoxy, halo, NO2, dialkylamino, alkylsulfonyl, alkylsulfonamido(alkyl or aryl), alkylthio, carboxyalkyl, carboxamido, aminocarbonyl, or (hetero)aryl] were prepared by conventional and solid phase combinatorial synthetic methods as KSP kinesin inhibitors for treatment of cellular proliferative diseases. For example, II was synthesized in a 6-step sequence involving (1) amidation of anthranilic acid with butyryl chloride (65%), (2) cyclization to give 2-propyl-3,1-[4H]benzoxazin-4-one (62%), (3) treatment with PhCH2NH2 to give 2-propyl-3-benzylquinazolin-4-one (67%), bromination (92%), addition of N,N-dimethylethylenediamine (55%), and (6) amidation with p-fluorobenzoyl chloride (65%). I are useful for treating cancer, hyperplasia, restenosis, cardiac hypertrophy, immune disorders, and inflammation (no data). Methods of screening for compds. that will bind to a KSP kinesin or are modulators of KSP kinesin activity are also disclosed.

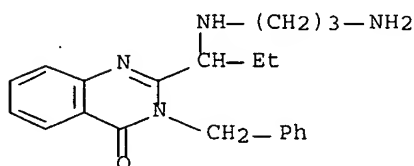
IT 336119-86-9DP, resin-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of quinazolinone KSP kinesin modulators via conventional and solid phase combinatorial synthetic methods)

RN 336119-86-9 HCAPLUS

CN 4(3H)-Quinazolinone, 2-[1-[(3-aminopropyl)amino]propyl]-3-(phenylmethyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/809,637

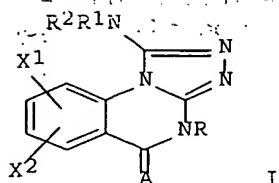
March 8, 2007

138 ANSWER 39 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 2000:790502 HCAPLUS Full-text  
 DOCUMENT NUMBER: 133:350240  
 TITLE: 1-Aminotriazolo[4,3-a]quinazolin-5-ones and -5-thiones  
 inhibiting phosphodiesterase IV  
 INVENTOR(S): Gaudilliere, Bernard; Lavalette, Remi; Andrianjara,  
 Charles; Breuzard, Francine  
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA  
 SOURCE: PCT Int. Appl., 197 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

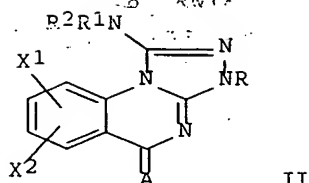
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000066584	A1	20001109	WO 2000-FR1174	20000428 <--
W: AE, AG, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2792938	A1	20001103	FR 1999-5398	19990428 <--
FR 2792938	B1	20010706		
CA 2388658	A1	20001109	CA 2000-2388658	20000428 <--
BR 2000010072	A	20020205	BR 2000-10072	20000428 <--
EP 1177195	A1	20020206	EP 2000-967407	20000428 <--
EP 1177195	B1	20030319		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002543199	T	20021217	JP 2000-615614	20000428 <--
TR 200103099	T2	20021223	TR 2001-3099	20000428 <--
HU 200202656	A2	20021228	HU 2002-2656	20000428 <--
EE 200100566	A	20030217	EE 2001-566	20000428 <--
AT 234840	T	20030415	AT 2000-967407	20000428 <--
PT 1177195	T	20030731	PT 2000-967407	20000428 <--
ES 2194779	T3	20031201	ES 2000-967407	20000428 <--
IN 2001MN01303	A	20050304	IN 2001-MN1303	20011015 <--
BG 106026	A	20020531	BG 2001-106026	20011018 <--
US 6828315	B1	20041207	US 2001-980540	20011025 <--
NO 2001005235	A	20011221	NO 2001-5235	20011026 <--
ZA 2001008847	A	20020910	ZA 2001-8847	20011026 <--
HR 2001000794	A1	20030430	HR 2001-794	20011026 <--
HR 20010794	B1	20040630		
HK 1044938	A1	20031224	HK 2002-105712	20020825 <--
PRIORITY APPLN. INFO.:			FR 1999-5398	A 19990428 <--
			WO 2000-FR1174	W 20000428 <--
OTHER SOURCE(S):	MARPAT 133:350240			
GI				

CTIONS. 1-aminotriazolo[4,3-a]quinazolin-5-ones and -5-thiones

1-aminotriazolo[4,3-a]quinazolin-5-ones and -5-thiones



I



II

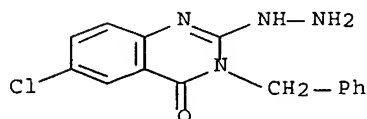
AB Triazolo[4,3-a]quinazolin-5-ones and -5-thiones I and II [A1 = O, S; X1, X2 = H, OH, halogen, amino, NO2, SH, CN, alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, (un)substituted CO2H; R = (un)substituted alkyl, alkenyl, alkynyl, pyridylalkyl; R1, R2 = alkyl, aralkyl, cycloalkyl, cycloalkylalkyl; NR1R2 = heterocyclic] were prepared for use as inhibitors of phosphodiesterase IV. Thus, I [A = O, R = (E)-cinnamyl, X1 = 7-Cl, X2 = H, NR1R2 = perhydroazepino, III] was obtained together with II [A = O, R = (E)-cinnamyl, X1 = 7-Cl, X2 = H, NR1R2 = perhydroazepino] by treating I [A = O, R = H, X1 = 7-Cl, X2 = H, NR1R2 = perhydroazepino] with (E)-cinnamyl bromide. III had an IC50 for PDE-4 inhibition of 0.054  $\mu$ M.

IT 305805-18-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
(1-aminotriazolo[4,3-a]quinazolin-5-ones and -5-thiones inhibiting phosphodiesterase IV)

RN 305805-18-9 HCAPLUS

CN 2,4(1H,3H)-Quinazolin-5-one, 6-chloro-3-(phenylmethyl)-, 2-hydrazone (9CI)  
(CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 40 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:666928 HCAPLUS Full-text

DOCUMENT NUMBER: 133:261508

TITLE: Screening of antiviral compounds targeted to the HIV-1 gp41 core structure

INVENTOR(S): Jiang, Shibo; Debnath, Asim K.

PATENT ASSIGNEE(S): New York Blood Center, Inc., USA

SOURCE: PCT Int. Appl., 79 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055377	A1	20000921	WO 2000-US6771	20000315 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,				

10/809,637

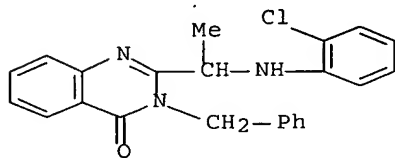
March 8, 2007

CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JF, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG  
 US 6596497 B1 20030722 US 2000-525874 20000314 <--  
 CA 2362532 A1 20000921 CA 2000-2362532 20000315 <--  
 EP 1161564 A1 20011212 EP 2000-917952 20000315 <--  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO  
 PRIORITY APPLN. INFO.: US 1999-124907P P 19990317 <--  
 US 2000-525874 A 20000314 <--  
 WO 2000-US6771 W 20000315 <--

OTHER SOURCE(S): MARPAT 133:261508

AB A method for the screening of antiviral compds. targeted to the HIV-1 gp41 core structure comprises capturing polyclonal antibodies from an animal other than a mouse directed against a trimer of a heterodimer containing an N-peptide and a C-peptide onto a solid-phase, mixing a compound to be tested with an N-peptide and then adding a C-peptide, adding the resultant mixture to the resultant polyclonal antibody-coated solid-phase and then removing unbound peptides and unbound compound, adding a monoclonal antibody directed against the trimer of a heterodimer containing an N-peptide and a C-peptide and measuring the antibody binding of the monoclonal antibody. A method for inhibiting HIV-1 virus replication or infectivity in a patient involves administering to the patient an antiviral compound targeted to the HIV-1 gp41 core structure selected from the group consisting of 7-[6-phenylamino-4-[4-[(3,5-disulfo-8-hydroxynaphthyl)azo]-2-methoxy-5-methyl-phenylamino]-1,3,5-triazine-2-yl]-4-hydroxy-3-[(2-methoxy-5-sulfophenyl)azo]-2-naphthalene sulfonic acid and 5-[(4-chloro-6-phenylamino-1,3,5-triazine-2-yl)-aminol]-4-hydroxy-3-[(4-methyl-5-sulfophenyl)azo]-2,7-naphthalene disulfonic acid.

IT 245764-89-0  
 RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (screening of antiviral compds. targeted to HIV-1 gp41 core structure)  
 RN 245764-89-0 HCAPLUS  
 CN 4(3H)-Quinazolinone, 2-[1-[(2-chlorophenyl)amino]ethyl]-3-(phenylmethyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 41 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1999:499893 HCAPLUS Full-text  
 DOCUMENT NUMBER: 131:266552  
 TITLE: Structure-Based Identification of Small Molecule Antiviral Compounds Targeted to the gp41 Core



AUTHOR(S): Structure of the Human Immunodeficiency Virus Type 1  
Debnath, Asim Kumar; Radigan, Lin; Jiang, Shibo  
CORPORATE SOURCE: Lindsley F. Kimball Research Institute, The New York  
Blood Center, New York, NY, 10021, USA  
SOURCE: Journal of Medicinal Chemistry (1999),  
42(17), 3203-3209  
CODEN: JMCMAR; ISSN: 0022-2623  
PUBLISHER: American Chemical Society  
DOCUMENT TYPE: Journal  
LANGUAGE: English

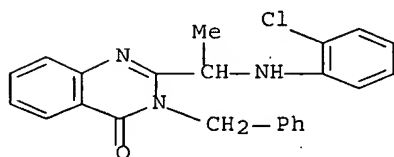
AB Recent X-ray crystallog. determination of the HIV-1 envelope glycoprotein gp41 core structure opened up a new avenue to discover antiviral agents for chemotherapy of HIV-1 infection and AIDS. A systematic study has been undertaken to search for anti-HIV-1 lead compds. targeted to gp41. Using mol. docking techniques to screen a database of 20,000 organic mols., 16 compds. were found with the best fit for docking into the hydrophobic cavity within the gp41 core and with maximum possible interactions with the target site. Further testing of these compds. by an ELISA and virus inhibition assays discerned two compds. (ADS-J1 and ADS-J2) having inhibitory activity at micromolar concns. on the formation of the gp41 core structure and on HIV-1 infection. These two compds. will be used as leads to design more effective HIV-1 inhibitors targeted to the HIV-1 gp41 core structure.

IT 245764-89-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)  
(structure-based identification of small mol. antiviral compds. targeted to gp41 core structure of HIV-1)

RN 245764-89-0 HCAPLUS

CN 4(3H)-Quinazolinone, 2-[1-[(2-chlorophenyl)amino]ethyl]-3-(phenylmethyl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 42 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:410555 HCAPLUS Full-text

DOCUMENT NUMBER: 131:257512

TITLE: Studies on quinazolines. X. Synthesis and pharmacological evaluation of 4(3H)-quinazolinone biphenyl tetrazoles as angiotensin II antagonists  
AUTHOR(S): Chern, Ji-Wang; Lo, Jir-Chun; Lin, Hua-Mei; Cheng, Fong-Chi; Usifoh, Cyril O.

CORPORATE SOURCE: School of Pharmacy, College of Medicine, National Taiwan University, Taipei, 100, Taiwan

SOURCE: Chinese Pharmaceutical Journal (Taipei) (1999), 51(1), 31-48

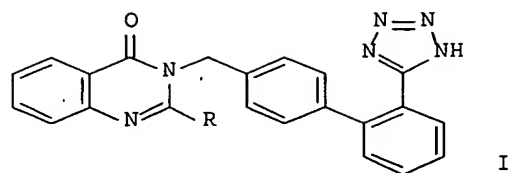
CODEN: CPHJEP; ISSN: 1016-1015

PUBLISHER: Pharmaceutical Society of Republic of China

DOCUMENT TYPE: Journal

LANGUAGE: English

GI.



I

AB [(Tetrazolylbiphenyl)methyl]quinazolinones I [R = CO<sub>2</sub>H, (CH<sub>2</sub>)<sub>3</sub>CO<sub>2</sub>H, CH<sub>2</sub>Ph, etc.] were prepared as potential angiotensin II antagonists. I (R = HO<sub>2</sub>C, EtO<sub>2</sub>C, H<sub>2</sub>NCO, Ph, HO<sub>2</sub>CCH<sub>2</sub>CH<sub>2</sub>, HO<sub>2</sub>CCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, MeCOCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>, PhCH<sub>2</sub>) were selected for study. A preliminary assay against the angiotensin AT<sub>1</sub> receptor revealed weak activity with IC<sub>50</sub> values in the μM range. They also displayed lower affinity for the AT<sub>2</sub> receptor than for the AT<sub>1</sub> receptor. However, compds. with lipophilic or hydrophobic substituents displayed better affinity to AT<sub>1</sub> receptors than compds. with polar or hydrophilic substituents. I (R = EtO<sub>2</sub>C) was most active against the AT<sub>1</sub> receptor with an IC<sub>50</sub> value of 0.56 μM.

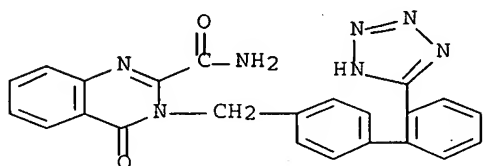
IT 244781-08-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and angiotensin II antagonist activity of (tetrazolylbiphenylmethyl)quinazolinones)

RN 244781-08-6 HCAPLUS

CN 2-Quinazolinecarboxamide, 3,4-dihydro-4-oxo-3-[[2'-(1H-tetrazol-5-yl)[1,1'-biphenyl]-4-yl]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 43 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:517385 HCAPLUS Full-text

DOCUMENT NUMBER: 129:245114

TITLE: A facile synthesis of 3-substituted 2-cyanoquinazolin-4(3H)-ones and 3-alkyl-2-cyanothieno[3,2-d]pyrimidin-4(3H)-ones via 1,2,3-dithiazoles

AUTHOR(S): Lee, Hyi-Seung; Chang, Yong-Goo; Kim, Kyongtae  
CORPORATE SOURCE: Dep. Chem., Seoul National Univ., Seoul, 151-742, S. Korea

SOURCE: Journal of Heterocyclic Chemistry (1998), 35(3), 659-668

PUBLISHER: HeteroCorporation  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 129:245114

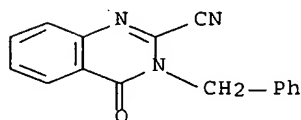
AB The reaction of Me anthranilate with 4,5-dichloro-1,2,3-dithiazolium chloride (Appel's salt) in the presence of pyridine (2 equiv) in dichloromethane at room temperature gave Me N-(4-chloro-5H-1,2,3-dithiazol-5-ylidene)anthranilate (50% yield), which reacted with sterically less hindered primary alkylamines to give directly 3-alkyl-2-cyanoquinazolin-4(3H)-ones in moderate to good yields. With tert-butylamine, N-(2-methoxycarbonylphenyl)iminocyanomethyl N-(tert-butyl) disulfide and Me 2-(N-cyanothioformamido)anthranilate were isolated in 33% and 59% yields, resp. The cyano group of the cyanoquinazolines thus prepared was readily displaced by various nucleophiles to give 2-substituted quinazolines, which indicates that cyanoquinazolines can be utilized as starting materials for the synthesis of new 2-substituted quinazolines. Similarly 3-alkyl-2-cyanothieno[3,2-d]pyrimidin-4(3H)-ones were prepared from Me 3-[N-(4-chloro-5H-1,2,3-dithiazol-5-ylidene)]-2-thiophenecarboxylate in moderate to good yields.

IT 213211-99-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of cyanothienopyrimidinones and cyanoquinazolinones from dithiazoles and amines)

RN 213211-99-5 HCAPLUS

CN 2-Quinazolinecarbonitrile, 3,4-dihydro-4-oxo-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L38 ANSWER 44 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:558912 HCAPLUS Full-text

DOCUMENT NUMBER: 122:327093

TITLE: Two antithrombotic quinazolinone derivatives

AUTHOR(S): Bocskei, Zsolt; Simon, Kalman; Orfi, Laszlo; Kokosi, Jozsef

CORPORATE SOURCE: Dep. Chemical Res., Chinoin Pharmaceuticals, Budapest, 1325, Hung.

SOURCE: Acta Crystallographica, Section C: Crystal Structure Communications (1995), C51(4), 723-6

CODEN: ACSCEE; ISSN: 0108-2701

PUBLISHER: Munksgaard

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The structures of 1,2,3,5-tetrahydro-2-benzylimidazo[5,1-b]quinazolin-5-one (I) and 3-benzyl-2-[1-(2,5-xylidino)ethyl]quinazolin-4(3H)-one (II) were determined. I is monoclinic, space group P2<sub>1</sub>/c, with a 7.423(1), b 20.540(1), c 9.1829(7) Å, and β 101.448(8)°; Z = 4, dc = 1.342; R(F<sub>2</sub>) = 0.0530, R<sub>w</sub>(F<sub>2</sub>) = 0.1454 for 2755 reflections. II is monoclinic, space group C2/c, with a 19.053(6), b 11.451(3), c 19.309(3) Å, and β 96.62(2)°; Z = 8, dc = 1.217;

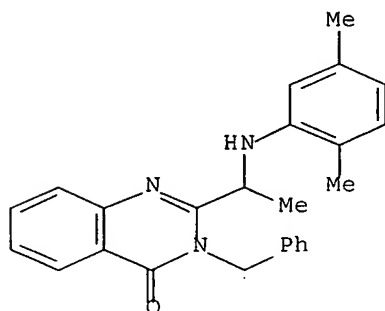
R(F2) = 0.0578, Rw(F2) = 0.1641 for 4124 reflections. Atomic coordinates are given. The 2 structures display significant differences in the bond lengths in one region of the quinazolinone moiety.

IT 163464-40-2

RL: PRP (Properties)  
(crystal structure of)

RN 163464-40-2 HCAPLUS

CN 4(3H)-Quinazolinone, 2-[1-[(2,5-dimethylphenyl)amino]ethyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



L38 ANSWER 45 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:311432 HCAPLUS Full-text

DOCUMENT NUMBER: 122:160579

TITLE: Synthesis and reactions of 2-[1-benzamido-2-(o-chlorophenyl)vinyl]-4H-3,1-benzoxazin-4-one

AUTHOR(S): Saleh, R. M.; Bakeer, H. M.; Mustafa, O. E. A.

CORPORATE SOURCE: Fac. Eng., Suez Canal Univ., Port-Said, Egypt

SOURCE: Revue Roumaine de Chimie (1994), 39(5), 567-76

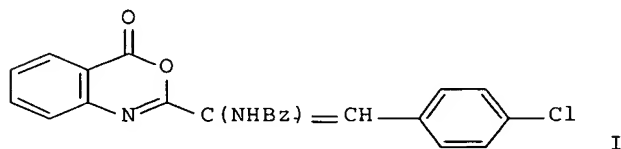
CODEN: RRCHAX; ISSN: 0035-3930

PUBLISHER: Editura Academiei Romane

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

AB The title compound (I) was prepared, and its behavior toward primary amines, amino acids, secondary amines, hydrazines, hydroxylamine hydrochloride, sodium azide, and thiosemicarbazide under different reactions conditions was studied. I also reacted with phosphorus pentasulfide and then anilines to give the corresponding 3-arylquinazoline-4-thiones. Arylation of I under Friedel-Crafts conditions gave diaryl ketones, while its reactions with Grignard

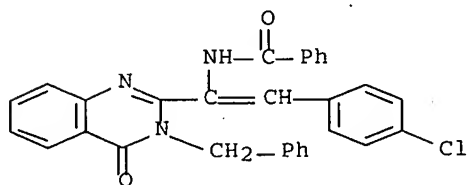
reagents afforded an (o-aminoaryl)carbinol and/or an o-amidophenyl benzyl ketone.

IT 141264-71-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 141264-71-3 HCAPLUS

CN Benzamide, N-[2-(4-chlorophenyl)-1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethenyl]- (9CI) (CA INDEX NAME)



L38 ANSWER 46 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:435482 HCAPLUS Full-text

DOCUMENT NUMBER: 121:35482

TITLE: Synthesis and reactions of substituted benzoxazinones bearing a bulky group at position 2

AUTHOR(S): Soliman, F. M. A.; Souka, L. M.; Eslam, I. E.; Dawood, N. T. A.

CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt

SOURCE: Revue Roumaine de Chimie (1992), 37(10), 1153-8

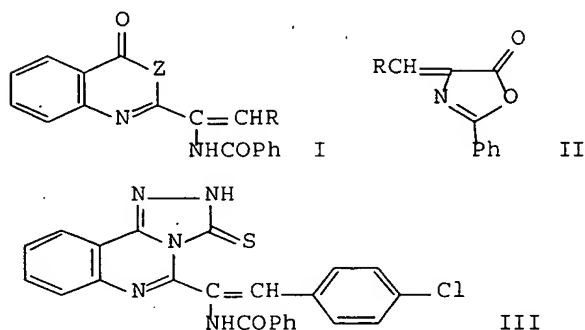
CODEN: RRCHAX; ISSN: 0035-3930

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 121:35482

GI



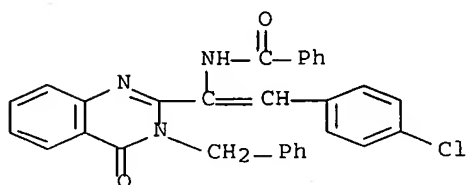
AB 2-Substituted 3,1-benzoxazin-4-ones I (Z = O, R = Ph or substituted phenyl) were prepared by reaction of oxazolones II with anthranilic acid. Reactions of I with amines and sodium azides were carried out. Thus, treatment of I (Z = O, R = p-ClC6H4) with H2NOH.HCl or semicarbazide gave quinazolinone I (Z = N, R = p-ClC6H4) and triazole III, resp.

IT 141264-71-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 141264-71-3 HCAPLUS

CN Benzamide, N-[2-(4-chlorophenyl)-1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethenyl]- (9CI) (CA INDEX NAME)



L38 ANSWER 47 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1992:448448 HCAPLUS Full-text

DOCUMENT NUMBER: 117:48448

TITLE: Synthesis and some reactions of 2-( $\alpha$ -benzamido-p-chlorostyryl)-3,1-benzoxazin-4-one

AUTHOR(S): Saleh, R. M.; Bakeer, H. M.; Mustafa, O. E. A.

CORPORATE SOURCE: Fac. Eng., Suez Canal Univ., Port-Said, Egypt

SOURCE: Pakistan Journal of Scientific and Industrial Research  
(1991), 34(11), 417-21

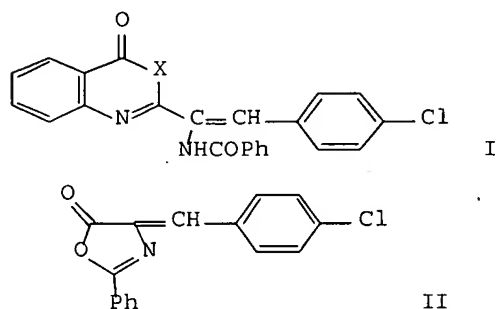
CODEN: PSIRAA; ISSN: 0030-9885

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 117:48448

GI

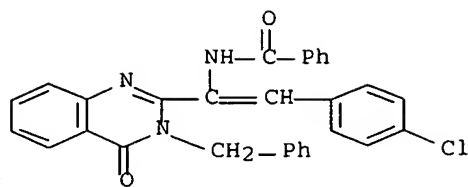
AB The title compound I (X = O) was prepared in 85% yield by recycling oxazolone II with o-H<sub>2</sub>NCH<sub>2</sub>CO<sub>2</sub>H, and its reactions were studied. Thus, refluxing I (X = O) with MeNH<sub>2</sub> in AcOH gave 70% I (X = NMe).

IT 141264-71-3P

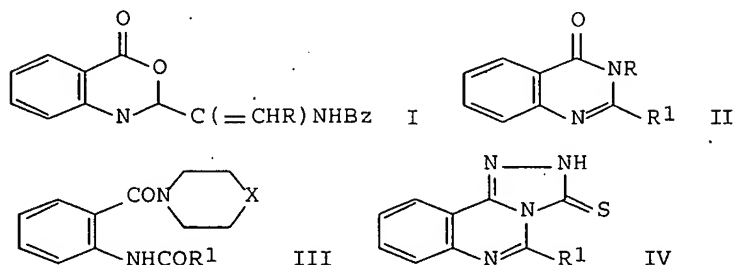
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 141264-71-3 HCAPLUS

CN Benzamide, N-[2-(4-chlorophenyl)-1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethenyl]- (9CI) (CA INDEX NAME)



L38 ANSWER 48 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1992:255567 HCAPLUS Full-text  
 DOCUMENT NUMBER: 116:255567  
 TITLE: Synthesis and reactions of substituted benzoxazinones bearing a bulky group at position 2  
 AUTHOR(S): Soliman, F. M. A.; Islam, I. E.; Souka, I. M.; Dawood, N. T. A.  
 CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Cairo, Egypt  
 SOURCE: Delta Journal of Science (1990), 14(1), 166-80  
 CODEN: DJSCES; ISSN: 1012-5965  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



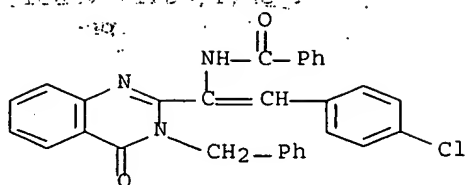
AB 2-Substituted 3,1-benzoxazin-4-ones I (R = Ph, substituted Ph) were obtained from 4-arylidene-2-phenyl-5(4H)-oxazolones and o-H<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CO<sub>2</sub>H. Aminolysis of I (R = Ph) with primary amines gave o-BzNHC(:CHC<sub>6</sub>H<sub>4</sub>Cl- p)CONHC<sub>6</sub>H<sub>4</sub>CONHR (R = Ph, CH<sub>2</sub>CO<sub>2</sub>H) and quinazolones II (R = Me, PhCH<sub>2</sub>, Ph, m-MeOC<sub>6</sub>H<sub>4</sub>, 2-thiazolyl, p-HOC<sub>6</sub>H<sub>4</sub>, R<sub>1</sub> = p-ClC<sub>6</sub>H<sub>4</sub>CH:CNHBz); aminolysis with secondary amines gave amides III (X = CH<sub>2</sub>, O). Addnl. obtained were quinazolinone derivs. of hydrazides, hydrazines, and hydroxylamine and triazoloquinazolinethione IV.

IT 141264-71-3P

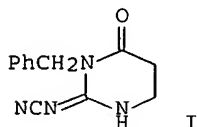
RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 141264-71-3 HCAPLUS

CN Benzamide, N-[2-(4-chlorophenyl)-1-[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]ethenyl]- (9CI) (CA INDEX NAME)



L38 ANSWER 49 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1989:114796 HCAPLUS Full-text  
 DOCUMENT NUMBER: 110:114796  
 TITLE: One-carbon compounds as synthetic intermediates. The synthesis of hydropyrimidines and hydroquinazolines by sequential nucleophilic addition to diphenyl cyanocarbonimide with concomitant cyclization  
 AUTHOR(S): Garratt, Peter J.; Hobbs, Christopher J.; Wrigglesworth, Roger  
 CORPORATE SOURCE: Dep. Chem., Univ. Coll., London, WC1 0AJ, UK  
 SOURCE: Journal of Organic Chemistry (1989), 54(5), 1062-9  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 110:114796  
 GI

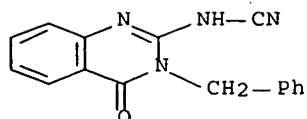


AB Di-Ph cyanocarbonimide (PhO)2C:NCN, undergoes nucleophilic addition with ω-amino esters and amines in a sequential manner to give guanidine derivs. that, for the most part, spontaneously cyclize to give hydropyrimidines, e.g. I, or hydroquinazolines. The hydropyrimidines could be dehydrogenated to pyrimidines, and the NCN group could be hydrolyzed to a carbonyl or amine group in the pyrimidine and to an amine group in the quinazoline series. The regiospecificity of the cyclization was determined by a combination of spectroscopic methods and comparison of compds. synthesized by standard routes. The scope of the synthetic route is indicated. Some of the acyclic N-cyano-O-phenylisoureas formed by the first nucleophilic addition exist as mixts. of isomers, and the barriers to interconversion have been determined by NMR spectroscopy.

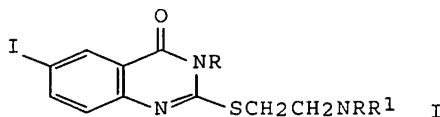
IT 118438-64-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation, hydrolysis, and carbon-13 NMR of)

RN 118438-64-5 HCAPLUS  
 CN Cyanamide, [3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]- (9CI) (CA INDEX NAME)

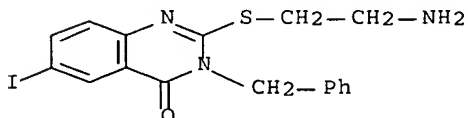




L38 ANSWER 50 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1987:628515 HCAPLUS Full-text  
 DOCUMENT NUMBER: 107:228515  
 TITLE: Studies of 4(3H)-quinazolinone derivatives as antimalarials  
 AUTHOR(S): Lakhan, Ram; Singh, Om Prakash; Singh, R. L.  
 CORPORATE SOURCE: Fac. Sci., Banaras Hindu Univ., Varanasi, 221 005, India  
 SOURCE: Journal of the Indian Chemical Society (1987), 64(5), 316-18  
 CODEN: JICSAH; ISSN: 0019-4522  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 107:228515  
 GI

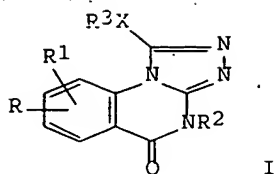


AB 4(3H)-Quinazolinones [I, R = Me, Et or benzyl, R1 = H, Et, iso-Pr, or Ph; R2 = H, Et, iso-Pr or Me and R1R2 = (CH2)5] were prepared by the alkylation of Na salts of the corresponding 2-thio-3-alkyl(aryl)-6-iodo-4(3H)-quinazolinones with the appropriate 2-(N-substituted or N,N-disubstituted amino)ethyl bromide-HBr salts. I were screened for antimalarial activity in mice infected with Plasmodium berghei, and found inactive at 1 quinine equivalent of the dosage.  
 IT 111631-21-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as antimalarial)  
 RN 111631-21-1 HCAPLUS  
 CN 4(3H)-Quinazolinone, 2-[(2-aminoethyl)thio]-6-iodo-3-(phenylmethyl)- (9CI)  
 (CA INDEX NAME)



L38 ANSWER 51 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1983:594989 HCAPLUS Full-text  
 DOCUMENT NUMBER: 99:194989  
 TITLE: Triazoloquinazolones and their salts, intermediates  
 for preparing them, their use as medicines and  
 compositions containing them  
 INVENTOR(S): Tully, Wilfred Roger; Westwood, Robert; Rowlands,  
 David Alun; Clements-Jewery, Stephen  
 PATENT ASSIGNEE(S): Roussel-UCLAF, Fr.  
 SOURCE: Eur. Pat. Appl., 39 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 76199	A2	19830406	EP 1982-401697	19820920 <--
EP 76199	A3	19840321		
EP 76199	B1	19861230		
R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
IL 66835	A	19880531	IL 1982-66835	19820917 <--
ZA 8206891	A	19831026	ZA 1982-6891	19820920 <--
AT 24509	T	19870115	AT 1982-401697	19820920 <--
US 4472400	A	19840918	US 1982-420798	19820921 <--
DK 8204206	A	19830325	DK 1982-4206	19820922 <--
DK 160308	B	19910225		
DK 160308	C	19910729		
AU 8288623	A	19830331	AU 1982-88623	19820922 <--
AU 554959	B2	19860911		
FI 8203278	A	19830325	FI 1982-3278	19820923 <--
FI 73435	B	19870630		
FI 73435	C	19871009		
GB 2108495	A	19830518	GB 1982-27126	19820923 <--
GB 2108495	B	19850724		
ES 515904	A1	19831016	ES 1982-515904	19820923 <--
CA 1193597	A1	19850917	CA 1982-412016	19820923 <--
JP 58065292	A	19830418	JP 1982-165197	19820924 <--
JP 03022389	B	19910326		
HU 26739	A2	19830928	HU 1982-3090	19820924 <--
HU 186975	B	19851028		
PRIORITY APPLN. INFO.:			GB 1981-28875	A 19810924 <--
			EP 1982-401697	A 19820920 <--
OTHER SOURCE(S):			CASREACT 99:194989	
GI				



AB Triazoloquinazolones I [R, R1 = H, halo, alkyl, alkoxy, NO2; R2 = alkyl, cycloalkyl, aryl, aralkyl; R3 = amino; X = (CH2)1-31, CHMe] were prepared. Thus, 2-H2NC6H4CO2Me was treated with PrNCO to give 2-MeO2CC6H4NHCONHPr which was cyclized to 3-propyl-2,4-quinazolinone. Enol chlorination of the dione and reaction with N2H4 gave 2-hydrazino-3-propyl-4-quinazolinone which was cyclized with ClCH2COCl to give I (R = R1 = H, R2 = Pr, R3 = Cl, X = CH2). Amination of the latter compound gave I (R = R1 = H, R2 = Pr, R3 = piperidino, X = CH2) which had a ED50 of 0.12 mg/kg i.v. against histamine-induced bronchial spasms in guinea pigs.

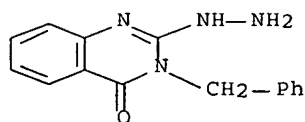
IT 74395-78-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and cyclization of, with chloroacetyl chloride)

RN 74395-78-1 HCAPLUS

CN 2,4(1H,3H)-Quinazolinone, 3-(phenylmethyl)-, 2-hydrazone (9CI) (CA INDEX NAME)



L38 ANSWER 52 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1983:4512 HCAPLUS Full-text

DOCUMENT NUMBER: 98:4512

TITLE: Thin-layer chromatographic studies of some biologically active thioquinazolinone derivatives

AUTHOR(S): Chaurasia, M. R.; Sharma, Ajay K.

CORPORATE SOURCE: Dep. Chem., D.A.V. Coll., Dehra Dun, 248 001, India

SOURCE: Indian Journal of Physical and Natural Sciences (1982), 2(A), 51-3

CODEN: IPNSDB; ISSN: 0254-2943

DOCUMENT TYPE: Journal

LANGUAGE: English

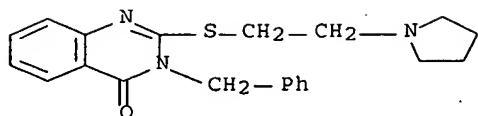
AB Thin-layer chromatog. RF values with benzene and benzene-AcOEt mixts. were determined for 26 2-(β-substituted ethylthio)-3-alkyl(or aryl)-4(3H)-quinazolinones.

IT 52160-34-6

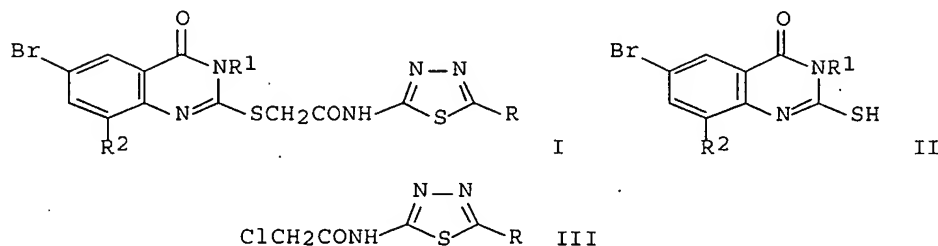
RL: ANT (Analyte); ANST (Analytical study)  
(chromatog. of, thin-layer)

RN 52160-34-6 HCAPLUS

CN 4(3H)-Quinazolinone, 3-(phenylmethyl)-2-[[2-(1-pyrrolidinyl)ethyl]thio]- (9CI) (CA INDEX NAME)



L38 ANSWER 53 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1982:582338 HCAPLUS Full-text  
 DOCUMENT NUMBER: 97:182338  
 TITLE: Synthesis and antimicrobial activity of substituted  
 4(3H)-quinazolones: (II)  
 AUTHOR(S): Misra, Hemant K.; Sen Gupta, Anil K.  
 CORPORATE SOURCE: Chem. Dep., Lucknow Univ., Lucknow, 226 007, India  
 SOURCE: European Journal of Medicinal Chemistry (1982  
 ), 17(3), 216-18  
 CODEN: EJMCA5; ISSN: 0009-4374  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 97:182338  
 GI



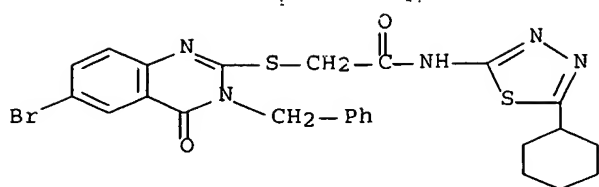
AB The quinazolinones I [R = cyclohexyl, 2-cyclohexylethyl; R1 = (un)substituted Ph, PhCH2, cyclohexyl; R2 = H, Br] were prepared by treating the mercaptoquinazolines II with the thiadiazolylchloroacetamides III. The bactericidal and fungicidal activity of I was evaluated against several test organisms. The presence of R1 = p-MeOC6H4 and PhCH2 enhanced the fungicidal activity of I.

IT 83390-32-3P

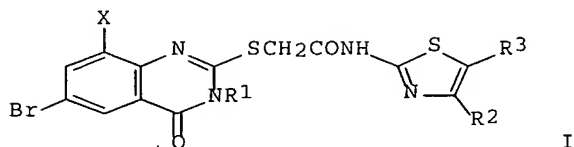
RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation, bactericidal, and fungicidal activity of)

RN 83390-32-3 HCAPLUS

CN Acetamide, 2-[[6-bromo-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]thio]-N-(5-cyclohexyl-1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)



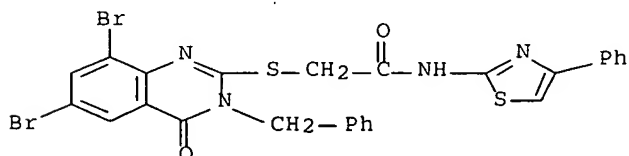
L38 ANSWER 54 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1982:467748 HCAPLUS Full-text  
 DOCUMENT NUMBER: 97:67748  
 TITLE: Synthesis and pesticidal activities of some new substituted 3H-quinazolin-4-one derivatives. Part XVIII  
 AUTHOR(S): Misra, Hemant K.; Sen Gupta, Anil K.  
 CORPORATE SOURCE: Chem. Dep., Lucknow Univ., Lucknow, 226007, India  
 SOURCE: Pesticide Science (1982), 13(2), 177-82  
 CODEN: PSSCBG; ISSN: 0031-613X  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 97:67748  
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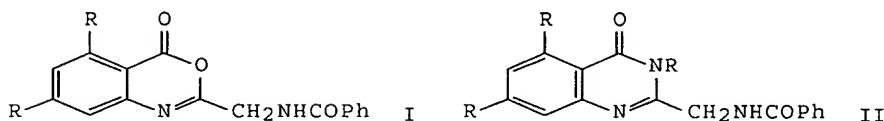
AB The synthesis of 20 substituted 3H-quinazolin-4-one derivs. (I; X = H or Br; R1 = benzyl, cyclohexyl, 4-methoxyphenyl, o-tolyl, or p-tolyl; R2 = Ph or 4-chlorophenyl; and R3 = H or Me) is described, and their antibacterial, anti-acetylcholinesterase [9000-81-1], and insecticidal activities were determined and related to their structure.

IT 82632-68-6P  
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation and pesticidal activities of, structure-activity in relation to)

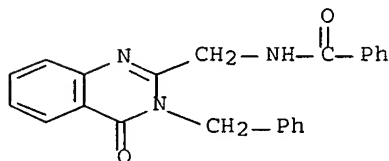
RN 82632-68-6 HCAPLUS  
 CN Acetamide, 2-[[6,8-dibromo-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]thio]-N-(4-phenyl-2-thiazolyl)- (9CI) (CA INDEX NAME)



L38 ANSWER 55 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1982:142790 HCAPLUS Full-text  
 DOCUMENT NUMBER: 96:142790  
 TITLE: Possible antifertility compounds-Part III: Synthesis  
 of 2-hippuryl-3-arylquinazolinones  
 AUTHOR(S): Tiwari, S. S.; Upreti, Amrapali; Satsangi, R. K.  
 CORPORATE SOURCE: Dep. Chem., Univ. Lucknow, Lucknow, India  
 SOURCE: Journal of the Chemical Society of Pakistan (1981), 3(4), 215-17  
 CODEN: JCSPDF; ISSN: 0253-5106  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI

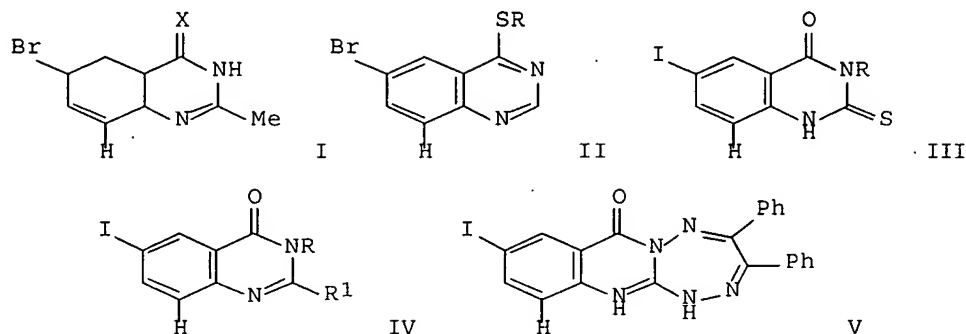


AB PhCONHCH<sub>2</sub>COCl was treated with 2,4,6-H<sub>2</sub>NR<sub>2</sub>C<sub>6</sub>H<sub>2</sub>CO<sub>2</sub>H (R = H, Br) to give the benzoxazines I, which were treated with amines to give the title compds. II [R = (un)substituted Ph, PhCH<sub>2</sub>, cyclohexyl]. No significant antifertility activity was observed in male rats.  
 IT 81190-48-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and antifertility activity of, inactive)  
 RN 81190-48-9 HCAPLUS  
 CN Benzamide, N-[[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]methyl]- (9CI) (CA INDEX NAME)



L38 ANSWER 56 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1981:407238 HCAPLUS Full-text  
 DOCUMENT NUMBER: 95:7238  
 TITLE: Studies on thioquinazolinones and synthesis of  
 9-iodo-3,4-diphenyl [1,2,4,5]tetrazepino[3,2-

AUTHCR(S): Chaurasia, M. R.; Sharma, Surendra K.  
 CORPORATE SOURCE: Dep. Chem., D.A.V. Coll., Dehra Dun, India  
 SOURCE: Heterocycles (1981), 16(4), 621-9  
 CODEN: HTCYAM; ISSN: 0385-5414  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 95:7238  
 GI



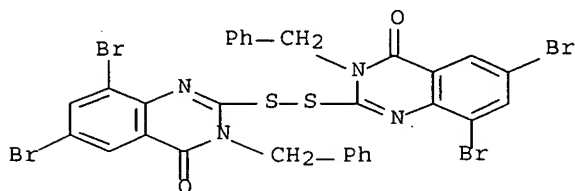
AB Sulfuration of quinazolinone I (X = O) by P2S5 gave 81% I (X = S), which was treated with 1-(chloroacetyl)piperidine and Br(CH2)2NEt2 to give 85% II (R = piperidinocarbonylmethyl) and 76% II [R = (CH2)2NEt2], resp. Hydrolysis of II gave I (X = O). Treating III (R = PhCH2) with MeI in alc. NaOH gave 61% IV (R = Me, R1 = MeS) which was refluxed with N2H4 to give 78% IV (R = NH2, R1 = NHNH2). The latter was cyclocondensed with benzil to give 81% V.

IT 77931-05-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 77931-05-6 HCAPLUS

CN 4(3H)-Quinazolinone, 2,2'-dithiobis[6,8-dibromo-3-(phenylmethyl)- (9CI)  
(CA INDEX NAME)



L38 ANSWER 57 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

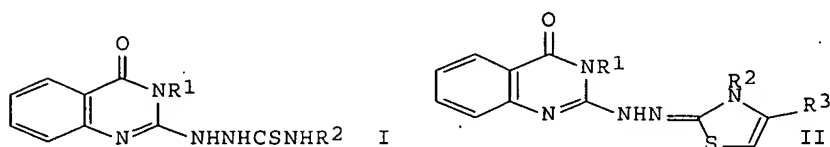
ACCESSION NUMBER: 1981:175041 HCAPLUS Full-text

DOCUMENT NUMBER: 94:175041

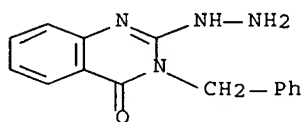
TITLE: Synthesis of some novel quinazolone thiosemicarbazide

and thiazoline derivatives for potential antimicrobial activity

AUTHOR(S): Omar, A. Mohsen M. E.; El-Dine, S. A. Shams; Ghobashy, A. A.; Khalil, M. A.  
 CORPORATE SOURCE: Fac. Pharm., Univ. Alexandria, Alexandria, Egypt  
 SOURCE: European Journal of Medicinal Chemistry (1981), 16(1), 77-80  
 CODEN: EJMCAS; ISSN: 0009-4374  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 94:175041  
 GI



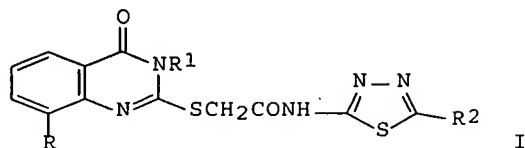
AB Thiosemicarbazides I (R1 = allyl, optionally substituted Ph, PhCH2, R2 = optionally substituted Ph, PhCH2, allyl, Bu), possessing significant gram-pos. bactericidal activity, were prepared in 60-92% yields from 4-oxoquinazoline-2-thiones by reaction with N2H4.H2O, followed by addition of R2NCS. Cyclocondensation of I with R3COCH2Br (R3 = Ph, 4-ClC6H4) gave 63-85% II (R1,R2 as above).  
 IT 74395-78-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and addition of, with isothiocyanates)  
 RN 74395-78-1 HCAPLUS  
 CN 2,4(1H,3H)-Quinazolinedione, 3-(phenylmethyl)-, 2-hydrazone (9CI) (CA INDEX NAME)



L38 ANSWER 58 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1981:139743 HCAPLUS Full-text  
 DOCUMENT NUMBER: 94:139743  
 TITLE: Synthesis and evaluation of substituted quinazalone derivatives for antibacterial, antifungal, and antiacetylcholinesterase activities  
 AUTHOR(S): Gupta, Anil K. Sen; Misra, Hemant K.  
 CORPORATE SOURCE: Dep. Chem., Univ. Lucknow, Lucknow, 226007, India  
 SOURCE: Journal of Pharmaceutical Sciences (1980), 69(11), 1313-17  
 CODEN: JPMSAE; ISSN: 0022-3549  
 DOCUMENT TYPE: Journal



LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 94:139743  
 GI



AB The thiadiazolylcarbamoylmethylthioquinazolones I (R = H, Br; R1 = PhCH2, o-EtC6H4, cyclohexyl, p-MeOC6H4; R2 = Me, Et, Pr) were prepared by reaction of the corresponding quinazoline with the (chloroacetamido)thiadiazole. I were screened for antibacterial, antifungal, and antiacetylcholinesterase activities in vitro. Most of the compds. exhibited significant biol. activity. The relation between their biol. activity and chemical structure was studied.

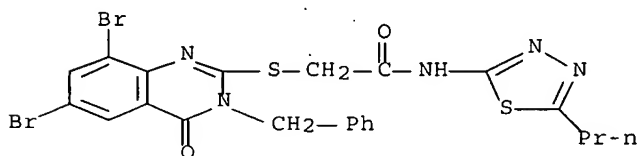
IT 77094-56-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and bactericidal and fungicidal activity of)

RN 77094-56-5 HCAPLUS

CN Acetamide, 2-[[6,8-dibromo-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]thio]-N-(5-propyl-1,3,4-thiadiazol-2-yl)- (9CI) (CA INDEX NAME)



L38 ANSWER 59 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1980:472071 HCAPLUS Full-text

DOCUMENT NUMBER: 93:72071

TITLE: Steroidal derivatives. Part 3: Synthesis of some novel steroidal hydrazones containing theophylline and quinazolinone moieties

AUTHOR(S): Omar, A. Mohsen M. E.; Ashour, F. A.

CORPORATE SOURCE: Fac. Pharm., Univ. Alexandria, Alexandria, Egypt

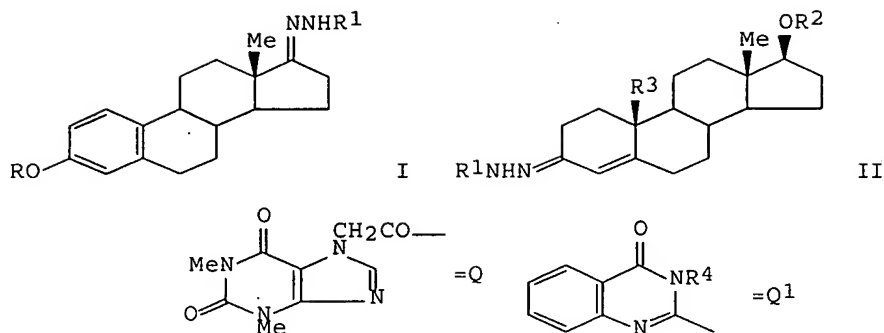
SOURCE: Pharmazie (1979), 34(11), 747-8

CODEN: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



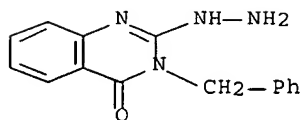
AB Steroidal hydrazones I and II [R = H, Me; R<sup>1</sup> = Q, Q<sup>1</sup> (R<sup>4</sup> = Bu, PhCH<sub>2</sub>, MeC<sub>6</sub>H<sub>4</sub>, ClC<sub>6</sub>H<sub>4</sub>, BrC<sub>6</sub>H<sub>4</sub>); R<sup>2</sup> = H, Ac, EtCO; R<sup>3</sup> = H, Me] were prepared by condensation of theophylline-7-acetohydrazide and 2-hydrazinoquinazolones with estrone, estrone Me ether, 19-nortestosterone propionate, testosterone, and testosterone acetate.

IT 74395-78-1

RL: RCT (Reactant); RACT (Reactant or reagent)  
(condensation reaction of, with oxo steroids)

RN 74395-78-1 HCAPLUS

CN 2,4(1H,3H)-Quinazolin-5-one, 3-(phenylmethyl)-, 2-hydrazone (9CI) (CA INDEX NAME)



L38 ANSWER 60 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1978:597451 HCAPLUS Full-text

DOCUMENT NUMBER: 89:197451

TITLE: Studies on 2-N-isobutyl/isopropyl/carbamoylmethylthio-3-aryl-4(3H)-quinazolinones

AUTHOR(S): Bhargava, P. N.; Prakash, Shree

CORPORATE SOURCE: Dep. Chem., Banaras Hindu Univ., Varanasi, India

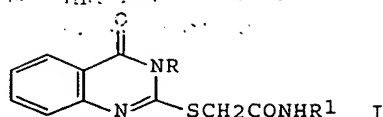
SOURCE: Journal of the Indian Chemical Society (1977), 54(9), 881-5

CODEN: JICSAH; ISSN: 0019-4522

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



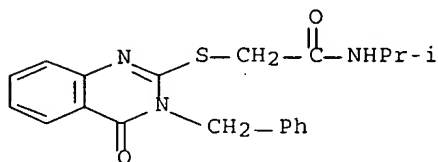
AB The quinazolinones I (R = o-MeC<sub>6</sub>H<sub>4</sub>, Ph, p-ClC<sub>6</sub>H<sub>4</sub>, PhCH<sub>2</sub>; R<sub>1</sub> = Me<sub>2</sub>CHCH<sub>2</sub>, Me<sub>2</sub>CH) were prepared by the reaction of 2-mercapto-3-aryl-4(3H)-quinazolinones and N-isobutyl(or isopropyl)-2-chloroacetamide in EtOH at room temperature. I were tested as bactericides and fungicides but were inactive.

IT 68250-58-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 68250-58-8 HCAPLUS

CN Acetamide, 2-[[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]thio]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



L38 ANSWER 61 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1978:563539 HCAPLUS Full-text

DOCUMENT NUMBER: 89:163539

TITLE: Some 6:8-dichloro-S-substituted-2-mercapto-3-aryl(or alkyl)-4-quinazolones

AUTHOR(S): Bhargava, P. N.; Bahadur, Fateh

CORPORATE SOURCE: Fac. Sci., Banaras Hindu Univ., Varanasi, India

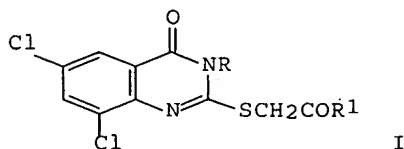
SOURCE: Journal of the Indian Chemical Society (1978), 55(3), 293-5

CODEN: JICSAH; ISSN: 0019-4522

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



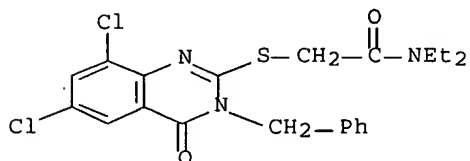
AB The title compds. I (R = Ph, p-tolyl, m-ClC<sub>6</sub>H<sub>4</sub>, Et, R<sub>1</sub> = PhBzN) were prepared in 50-70% yields by amidation of the corresponding 2-mercaptoquinazolinone with ClCH<sub>2</sub>CONBzPh. Analogously obtained were 40-60% I (R = o-tolyl, m-ClC<sub>6</sub>H<sub>4</sub>, o-MeOC<sub>6</sub>H<sub>4</sub>, p-EtOC<sub>6</sub>H<sub>4</sub>, Et, Bu, PhCH<sub>2</sub>, R<sub>1</sub> = NEt<sub>2</sub>) from ClCH<sub>2</sub>CONEt<sub>2</sub>.

IT 67867-61-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 67867-61-2 HCAPLUS

CN Acetamide, 2-[[6,8-dichloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]thio]-N,N-diethyl- (9CI) (CA INDEX NAME)



L38 ANSWER 62 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1977:439401 HCAPLUS Full-text

DOCUMENT NUMBER: 87:39401

TITLE: Synthesis of S-substituted-2-mercapto-3-aryl (or aralkyl)-4 (3H) quinazolinones: their CNS and antimicrobial activity

AUTHOR(S): Bhargava, P. N.; Prakash, Shree

CORPORATE SOURCE: Dep. Chem., Banaras Hindu Univ., Banaras, India

SOURCE: Indian Journal of Pharmacy (1977), 39(1), 18-20

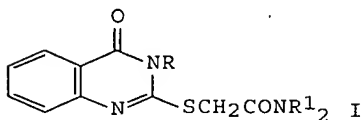
CODEN: IJPAAO; ISSN: 0019-5472

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 87:39401

GI



AB Quinazolinylthioacetamides I (R = Ph, 2-MeC<sub>6</sub>H<sub>4</sub>, 4-ClC<sub>6</sub>H<sub>4</sub>, 4-MeOC<sub>6</sub>H<sub>4</sub>, 4-EtOC<sub>6</sub>H<sub>4</sub>, R<sub>1</sub> = CH<sub>2</sub>CHMe<sub>2</sub>, CH<sub>2</sub>Ph; R = 4-MeC<sub>6</sub>H<sub>4</sub>, R<sub>1</sub> = CH<sub>2</sub>CHMe<sub>2</sub>; R = 3-MeC<sub>6</sub>H<sub>4</sub>, PhCH<sub>2</sub>, R<sub>1</sub> = CH<sub>2</sub>Ph) were obtained by treating quinazolinethiols with ClCH<sub>2</sub>CONR<sub>1</sub>2. I increased spontaneous motor activity in mice at 600 mg/kg but had no bactericidal or fungicidal activity.

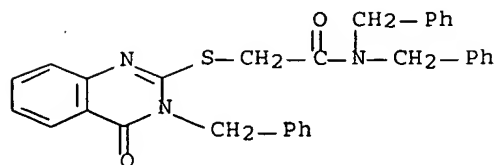
IT 63305-55-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 63305-55-5 HCAPLUS

CN Acetamide, 2-[[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]thio]-N,N-

bis(phenylmethyl)- (9CI) (CA INDEX NAME)



L38 ANSWER 63 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1976:446733 HCAPLUS Full-text  
 DOCUMENT NUMBER: 85:46733  
 TITLE: 2-Cyanomethyl-4(3R)-quinazolinones  
 INVENTOR(S): Enomoto, Shigeharu; Sato, Katsunobu; Sugihara, Mikio  
 PATENT ASSIGNEE(S): Sumitomo Chemical Co., Ltd., Japan  
 SOURCE: Jpn. Tokkyo Koho, 14 pp.  
 CODEN: JAXXAD  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 50033076	B	19751027	JP 1970-114518	19701219 <--
PRIORITY APPLN. INFO.:			JP 1970-114518	A 19701219 <--

GI For diagram(s), see printed CA Issue.

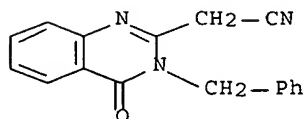
AB I (R = alkyl, Ph, A = benzo or naphtho) (II) were prepared by alkylating (or phenylating I (R = H; A as above), by treating III (A as above) with NCCH<sub>2</sub>CONHR (R = alkyl, Ph), and by cyclizing IV (R and A as above) with NCCH<sub>2</sub>COR1 R1 = OH, alkoxy, phenoxy, NH<sub>2</sub>). Thus, 18.5 g 2-cyanomethyl-4(3H)-quinazoline was treated with K<sub>2</sub>CO<sub>3</sub>, Me cellosolve, and 22.3 g p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>3</sub>Me 1 hr at 90°, 2 hr up to 110°, and 2 hr at 110° to give 18 g 3-Me derivative. Among 60 I similarly prepared were (A = benzo, R = CH<sub>2</sub>CH<sub>2</sub>OMe, CH<sub>2</sub>CH=CH<sub>2</sub>, benzyl, CH<sub>2</sub>CH(OH)CH<sub>2</sub>OMe).

IT 59791-19-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

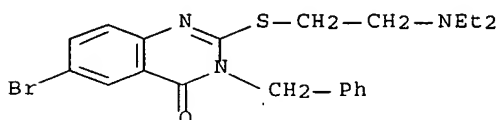
RN 59791-19-4 HCAPLUS

CN 2-Quinazolineacetonitrile, 3,4-dihydro-4-oxo-3-(phenylmethyl)- (9CI) (CA INDEX NAME)

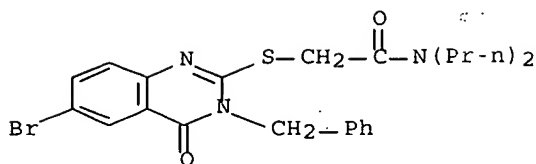


L38 ANSWER 64 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1976:59359 HCAPLUS Full-text

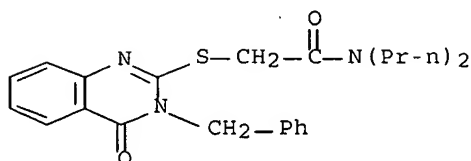
DOCUMENT NUMBER: 84:59359  
 TITLE: Quinazolones derivatives  
 AUTHOR(S): Shyam, Radhey; Tiwari, I. C.  
 CORPORATE SOURCE: Fac. Sci., Banaras Hindu Univ., Banaras, India  
 SOURCE: Current Science (1975), 44(16), 572-4  
 CODEN: CUSCAM; ISSN: 0011-3891  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 84:59359  
 GI For diagram(s), see printed CA Issue.  
 AB Fifteen quinazolones (I; R = Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>, EtO<sub>2</sub>CCH<sub>2</sub>; R<sub>1</sub> = Ph, substituted phenyl, PhCH<sub>2</sub>) were prepared by reaction of I (R = H, R<sub>1</sub> as before) with an equivalent amount of Et<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>Cl or ClCH<sub>2</sub>CO<sub>2</sub>Et in alc. NaOH solution at room temperature  
 IT 58126-06-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 58126-06-0 HCAPLUS  
 CN 4(3H)-Quinazolinone, 6-bromo-2-[[2-(diethylamino)ethyl]thio]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



L38 ANSWER 65 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1975:43326 HCAPLUS Full-text  
 DOCUMENT NUMBER: 82:43326  
 TITLE: Synthesis of 4(3H)-quinazolone derivatives  
 AUTHOR(S): Bhargava, P. N.; Shyam, Radhey  
 CORPORATE SOURCE: Dep. Chem., Banaras Hindu Univ., Varnasi, India  
 SOURCE: Indian Journal of Chemistry (1974), 12(7), 779-80  
 CODEN: IJOCAP; ISSN: 0019-5103  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 82:43326  
 GI For diagram(s), see printed CA Issue.  
 AB Quinazolones (I, R = Ph, substituted Ph; R<sub>1</sub> = Pr, Bu were prepared by the reaction of 6-bromo-2-thio-3-aryl-4(3H)-quinazolones with N,N-dipropyl(or dibutyl)-2-chloroacetamides in the presence of 10% ethanolic NaOH at room temperature. The compds. possess no remarkable pharmacol. or microbiol. activities.  
 IT 54722-26-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 54722-26-8 HCAPLUS  
 CN Acetamide, 2-[[6-bromo-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]thio]-N,N-dipropyl- (9CI) (CA INDEX NAME)



L38 ANSWER 66 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1974:477867 HCAPLUS Full-text  
 DOCUMENT NUMBER: 81:77867  
 TITLE: S-substituted 2-mercapto-3-aryl(or alkyl)-4(3H)-quinazolones  
 AUTHOR(S): Bhargava, P. N.; Tiwari, Ishwar C.  
 CORPORATE SOURCE: Dep. Chem., Banaras Hindu Univ., Banaras, India  
 SOURCE: Indian Journal of Chemistry (1974), 12(2), 223-4  
 CODEN: IJOCAP; ISSN: 0019-5103  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB (R = p-MeC6H4, m-ClC6H4, p-ClC6H4, p-MeOC6H4 p-EtOC6H4, CH2Ph, Et; R1 = Pr, Bu) were pred. for testing as antimalarials and ataractics by treating the mercaptoquinazolones with ClCH2CONR12.  
 IT 53243-47-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
 RN 53243-47-3 HCAPLUS  
 CN Acetamide, 2-[[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]thio]-N,N-dipropyl- (9CI) (CA INDEX NAME)



L38 ANSWER 67 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1974:146101 HCAPLUS Full-text  
 DOCUMENT NUMBER: 80:146101  
 TITLE: New S-substituted-2-thio-3-aryl(or alkyl)-4(3H)quinazolones as antituberculars  
 AUTHOR(S): Bhargava, P. N.; Singh, S. N.  
 CORPORATE SOURCE: Dep. Chem., Banaras Hindu Univ., Varanasi, India  
 SOURCE: Egyptian Journal of Chemistry (1972), 15(5), 495-9  
 CODEN: EGJCA3; ISSN: 0449-2285  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.

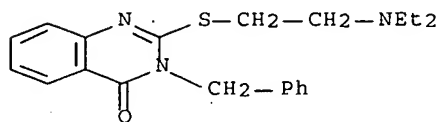
AB The quinazolinones I (R = Ph, o-, m-, and p-MeC<sub>6</sub>H<sub>4</sub>, m- and p-ClC<sub>6</sub>H<sub>4</sub>, p-MeOC<sub>6</sub>H<sub>4</sub>, p-EtOC<sub>6</sub>H<sub>4</sub>, Me, Et, Bu, PhCH<sub>2</sub>; R<sub>1</sub> = Bu, PhCH<sub>2</sub>; R<sub>2</sub> = iodo) were prepared by alkylation of I (R<sub>1</sub> = H). I (R = o-, m-, and p-MeC<sub>6</sub>H<sub>4</sub>, m- and p-ClC<sub>6</sub>H<sub>4</sub>, p-MeOC<sub>6</sub>H<sub>4</sub>, p-EtOC<sub>6</sub>H<sub>4</sub>, PhCH<sub>2</sub>, Et, Bu, Ph; R<sub>1</sub> = Et<sub>2</sub>NCH<sub>2</sub>, 2-pyrrolidinoethyl, 2-piperidinoethyl; R<sub>2</sub> = H) were prepared by treating II (R<sub>2</sub> = H) with chloroethylamines. At 100 µg/ml I (R = p-EtOC<sub>6</sub>H<sub>4</sub>, p-ClC<sub>6</sub>H<sub>4</sub>; R<sub>1</sub> = 2-piperidinoethyl R<sub>2</sub> = H) inhibited Mycobacterium tuberculosis H37Rv.

IT 52160-26-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 52160-26-6 HCAPLUS

CN 4(3H)-Quinazolinone, 2-[[2-(diethylamino)ethyl]thio]-3-(phenylmethyl)-  
(9CI) (CA INDEX NAME)



L38 ANSWER 68 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1972:552171 HCAPLUS Full-text

DOCUMENT NUMBER: 77:152171

TITLE: Penicillanic acid and cephalosporanic acid derivatives  
with heterocyclic side chains

PATENT ASSIGNEE(S): Koninklijke Nederlandsche Gist- en Spiritusfabriek N.  
V.

SOURCE: Neth. Appl., 31 pp.  
CODEN: NAXXAN

DOCUMENT TYPE: Patent

LANGUAGE: Dutch

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 7200486		19720718	NL 1972-486	19720113 <--
GB 1377642			GB	

PRIORITY APPLN. INFO.: GB 1971-1960 19710114 <--

AB Twelve title compds., i.e., ten I (R = R<sub>1</sub> = H, RR<sub>1</sub> = CH:CHCH:CH; R<sub>2</sub> = H, Me, CH<sub>2</sub>Ph, Ph, etc.; R<sub>3</sub> = H, Na) and two II (R = R<sub>1</sub> = H, RR<sub>1</sub> = CH:CHCH:CH; R<sub>2</sub> = Ph, CH:CH<sub>2</sub>; R<sub>4</sub> = H, Ac, bactericides (results of tests against gram-pos. and gram-neg. microorganisms are given), are prepared To a solution of 1-methylimidazole in dry PhMe is added at -60° a solution of BuLi and N,N,N,N-tetramethylethylenediamine in hexane/petroleum ether, followed at -60° by a solution of 6-iso-cyanatopenicillanic acid trimethylsilyl ester in PhMe to yield 6-(1-methyl-2-imidazolyl)carboxamiopenicillanic acid.

IT 38015-32-6P

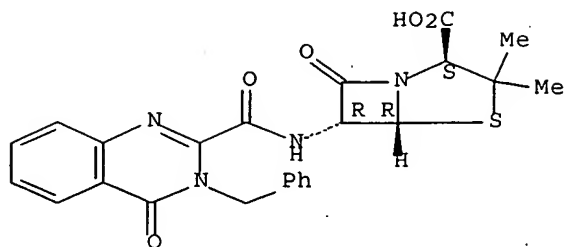
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 38015-32-6 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 6-[[[3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]carbonyl]amino]-3,3-dimethyl-7-oxo-,  
[2S-(2α,5α,6β)]- (9CI) (CA INDEX NAME)



Absolute stereochemistry:



L38 ANSWER 69 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1972:448388 HCAPLUS Full-text

DOCUMENT NUMBER: 77:48388

TITLE: Thioquinazolinones

AUTHOR(S): Bhargava, P. N.; Choubey, V. N.

CORPORATE SOURCE: Dep. Chem., Banaras Hindu Univ., Varanasi, India

SOURCE: Indian Journal of Applied Chemistry (1971),

34(3-4), 113-17

CODEN: IJACAN; ISSN: 0019-5065

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

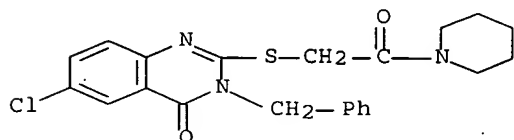
AB 6-Chloro-quinazolinones [I; R = Ph, substituted phenyl, alkyl, PhCH<sub>2</sub>R<sub>1</sub> = o-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, Me<sub>2</sub>CH(CH<sub>2</sub>)<sub>2</sub>, EtNCOCH<sub>2</sub> (piperidinocarbonyl)methyl] were prepared by condensation of the 6-chloro-2-mercaptoquinazolinones with R<sub>1</sub>Cl in NaOH-EtOH. I had no antimalarial activity.

IT 37465-54-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 37465-54-6 HCAPLUS

CN: Piperidine, 1-[[[6-chloro-3,4-dihydro-4-oxo-3-(phenylmethyl)-2-quinazolinyl]thio]acetyl]- (9CI) (CA INDEX NAME)



L38 ANSWER 70 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1970:55393 HCAPLUS Full-text

DOCUMENT NUMBER: 72:55393

TITLE: Synthesis of mercaptoquinazolinone derivatives as potential antimalarials

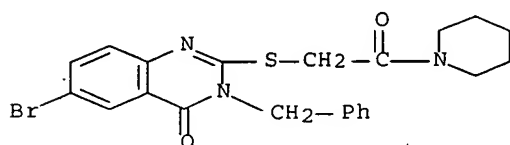
AUTHOR(S): Lakhan, Ram

CORPORATE SOURCE: Banaras Hindu Univ., Varanasi, India

SOURCE: Chemical & Pharmaceutical Bulletin (1969),  
17(11), 2357-61

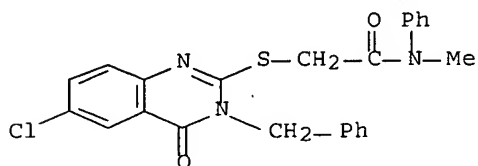
CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI For diagram(s), see printed CA Issue.  
 AB Approx. 61 title derivs. I are prepared from I (R = alkyl or aryl, R1 = H) and R1X (R1 = Pr, iso-Pr, amyl, isoamyl, etc., X = Br or Cl). Hydrolysis of I (R = Me, R1 = Pr) with 6N HCl gave 3-methyl-2,4-(1H,3H)-quinazolinedione.  
 IT 25467-38-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
 RN 25467-38-3 HCAPLUS  
 CN Piperidine, 1-[[[3-benzyl-6-bromo-3,4-dihydro-4-oxo-2-quinazolinyl)thio]acetyl]- (8CI) (CA INDEX NAME)



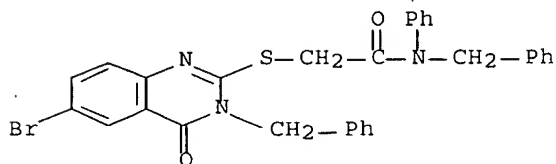
L38 ANSWER 71 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1970:31739 HCAPLUS Full-text  
 DOCUMENT NUMBER: 72:31739  
 TITLE: Synthesis of quinazolone derivatives  
 AUTHOR(S): Choubey, V. N.  
 CORPORATE SOURCE: Banaras Hindu Univ., Varanasi, India  
 SOURCE: Agricultural and Biological Chemistry (1969), 33(8), 1213-16  
 CODEN: ABCHA6; ISSN: 0002-1369

DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB 6-Chloro-2-(N,N-disubstituted-carbamoylmethylthio)-3-aryl(or alkyl)-4(3H)-quinazolones and 6-chloro-2-(p-xylylthio)-3-aryl(or alkyl)-4(3H)-quinazolones were prepared and unsuccessfully tested for microbiol. activities.  
 IT 24677-31-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
 RN 24677-31-4 HCAPLUS  
 CN Acetanilide, 2-[[[3-benzyl-6-chloro-3,4-dihydro-4-oxo-2-quinazolinyl)thio]-N-methyl- (8CI) (CA INDEX NAME)



L38 ANSWER 72 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1969:470559 HCAPLUS Full-text

DOCUMENT NUMBER: 71:70559  
 TITLE: 6-Bromo-2-mercapto-3-substituted 4(3H)-quinazolinones  
 AUTHOR(S): Bhargava, Prithwi N.; Lakhan, R.  
 CORPORATE SOURCE: Banaras Hindu Univ., Varanasi, India  
 SOURCE: Bulletin of the Chemical Society of Japan (1969), 42(5), 1444-6  
 CODEN: BCSJA8; ISSN: 0009-2673  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 71:70559  
 GI For diagram(s), see printed CA Issue.  
 AB Alkylation of 6-bromo-2-mercapto-3-aryl (or alkyl) 4-(3H)-quinazolinones was effected using ClCH<sub>2</sub>CONR<sub>1</sub>R<sub>2</sub> in EtOH/NaOH to give the following I (R<sub>1</sub> = R<sub>2</sub> = Et) (R, m.p., and % yield given): Ph, 201°, 80; o-MeC<sub>6</sub>H<sub>4</sub>, 169°, 57; m-MeC<sub>6</sub>H<sub>4</sub>, 224°, 43; p-MeC<sub>6</sub>H<sub>4</sub>, 192°, 85; m-ClC<sub>6</sub>H<sub>4</sub>, 157°, 45; p-ClC<sub>6</sub>H<sub>4</sub>, 181°, 72; o-MeOC<sub>6</sub>H<sub>4</sub>, 163, 49; p-MeOC<sub>6</sub>H<sub>4</sub>, 171°, 75; p-EtOC<sub>6</sub>H<sub>4</sub>, 165°, 82; Me, 120°, 40; Et, 135°, 50; PhCH<sub>2</sub>, 143, 78. Also the following I (R<sub>1</sub> = Me, R<sub>2</sub> = Ph) (same data given) Ph, 242°, 50; o-MeC<sub>6</sub>H<sub>4</sub>, 209°, 70; m-MeC<sub>6</sub>H<sub>4</sub>, 204°, 78; p-MeC<sub>6</sub>H<sub>4</sub>, 188°, 65; p-ClC<sub>6</sub>H<sub>4</sub>, 237°, 52; o-MeOC<sub>6</sub>H<sub>4</sub>, 214°, 55; p-MeOC<sub>6</sub>H<sub>4</sub>, 106°, 47; p-EtOC<sub>6</sub>H<sub>4</sub>, 234°, 50; Me, 115° 30; Et, 128°, 68; PhCH<sub>2</sub>, 142°, 60. Also the following I (R<sub>1</sub> = Et, R<sub>2</sub> = Ph) (same data given) Ph, 183°, 62; o-MeC<sub>6</sub>H<sub>4</sub>, 192°, 85; m-MeC<sub>6</sub>H<sub>4</sub>, 206°, 90; p-MeC<sub>6</sub>H<sub>4</sub>, 200°, 87; m-ClC<sub>6</sub>H<sub>4</sub>, 232°, 66; p-ClC<sub>6</sub>H<sub>4</sub>, 116°, 43; o-MeOC<sub>6</sub>H<sub>4</sub>, 220°, 55; p-MeOC<sub>6</sub>H<sub>4</sub>, 160°, 50; Me, 146°, 52; Et, 145°, 58; PhCH<sub>2</sub>, 173°, 55. Also the following I (R<sub>1</sub> = PhCH<sub>2</sub>, R<sub>2</sub> = Ph) (same data given) Ph, 203°, 51; o-MeC<sub>6</sub>H<sub>4</sub>, 215°, 65; m-MeC<sub>6</sub>H<sub>4</sub>, 195°, 48; p-MeC<sub>6</sub>H<sub>4</sub>, 244°, 60; m-ClC<sub>6</sub>H<sub>4</sub>, 206°, 62; p-ClC<sub>6</sub>H<sub>4</sub>, 205°, 55; o-MeOC<sub>6</sub>H<sub>4</sub>, 237°, 76; p-MeOC<sub>6</sub>H<sub>4</sub>, 235°, 45; p-EtOC<sub>6</sub>H<sub>4</sub>, 214°, 57; Me, 187°, 35; Et, 190°, 50; PhCH<sub>2</sub>, 185°, 53. Treatment of the title compds. with ClCH<sub>2</sub>CO<sub>2</sub>Na gave the desired I (NR<sub>1</sub>R<sub>2</sub> = OH) provided that acidification was carried out with 5% HCl. I (R = Ph, NR<sub>1</sub>R<sub>2</sub> = OH) m 190° was obtained in 50% yield. With 12N HCl, hydrolysis gave the following II (R, m.p., and % yield given): Ph, 314°, 68; o-MeC<sub>6</sub>H<sub>4</sub>, 259°, 50; m-MeC<sub>6</sub>H<sub>4</sub>, 321°, 70; p-MeC<sub>6</sub>H<sub>4</sub>, 230°, 75; m-ClC<sub>6</sub>H<sub>4</sub>, 233°, 68; p-ClC<sub>6</sub>H<sub>4</sub>, 216°, 55; o-MeOC<sub>6</sub>H<sub>4</sub>, 310°, 60; p-MeOC<sub>6</sub>H<sub>4</sub>, 288°, 62; p-EtOC<sub>6</sub>H<sub>4</sub>, 290°, 90; Me, 291°, 55; PhCH<sub>2</sub>, 264°, 65.  
 IT 23965-13-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
 RN 23965-13-1 HCAPLUS  
 CN Acetanilide, N-benzyl-2-[(3-benzyl-6-bromo-3,4-dihydro-4-oxo-2-quinazolinyl)thio]- (8CI) (CA INDEX NAME)



L38 ANSWER 73 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN  
 ACCESSION NUMBER: 1968:506659 HCAPLUS Full-text  
 DOCUMENT NUMBER: 69:106659  
 TITLE: Synthesis of 6,8-dibromo-3-substituted 2-[N,N-dialkyl (or N-piperidino)carboxamidomethylthio]-4(3H)-quinazolinones as antimalarials

AUTHOR(S) : Bhargava, P. N.; Chaurasia, M. M. R. T. ;  
 CORPORATE SOURCE: Banaras Hindu Univ., Varanasi, India  
 SOURCE: Journal of Medicinal Chemistry (1968),

11(4), 908-9

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

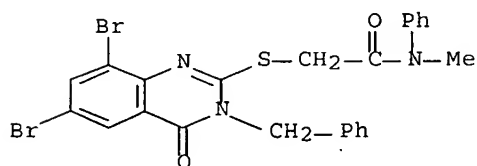
AB 6,8-Dibromo-3-substituted 2-(N,N-dialkyl-(or piperidino-  
 )carboxamidomethylthio)-4(3H)-quinazolinones (I) were prepared and tested as  
 antimalarials. N-Chloroacetyl piperidine (2 ml.) was dissolved in EtOH and  
 added to 4.5 g. 6,8-dibromo-2-thio-3-phenyl-2,4(1H,3H)-quinazolinone in  
 EtOH-NaOH solution, the mixture stirred at 23-5° 2 hrs. and cooled to 0°, and  
 the product filtered off and washed with H<sub>2</sub>O and EtOH to give 60% I [R = Ph,  
 (R<sub>1</sub>R<sub>2</sub>N =) piperidino], m. 240° (EtOH-Me<sub>2</sub>CO). Similarly prepared I were (R<sub>1</sub> =  
 Me, R<sub>2</sub> = Ph; R, m.p., and % yield given): Ph, 87°, 58; o-MeC<sub>6</sub>H<sub>4</sub>, 246°, 40; m-  
 MeC<sub>6</sub>H<sub>4</sub>, 83°, 50; p-MeC<sub>6</sub>H<sub>4</sub>, 98°, 55; p-ClC<sub>6</sub>H<sub>4</sub>, 95°, 50; p-MeOC<sub>6</sub>H<sub>4</sub>, 104°, 55; p-  
 EtOC<sub>6</sub>H<sub>4</sub>, 218°, 60; Bu, 200°, 35; PhCH<sub>2</sub>, 221°, 53. Similarly prepared were I  
 (R<sub>1</sub> = Et, R<sub>2</sub> = Ph; R, m.p., and % yield given): Ph, 106°, 65; o-MeC<sub>6</sub>H<sub>4</sub>, 105°,  
 50; m-MeC<sub>6</sub>H<sub>4</sub>, 295°, 40; p-MeC<sub>6</sub>H<sub>4</sub>, 121°, 75; m-ClC<sub>6</sub>H<sub>4</sub>, 248°, 45; p-ClC<sub>6</sub>H<sub>4</sub>,  
 110°, 65; p-MeOC<sub>6</sub>H<sub>4</sub>, 114°, 55; p-EtOC<sub>6</sub>H<sub>4</sub>, 104°, 70; PhCH<sub>2</sub>, 258°, 35.  
 Similarly were prepared I (R<sub>1</sub> = benzyl, R<sub>2</sub> = Ph; R, m.p., and % yield given):  
 Ph, 113°, 70; o-MeC<sub>6</sub>H<sub>4</sub>, 245°, 45; m-MeC<sub>6</sub>H<sub>4</sub>, 84°, 50; p-MeC<sub>6</sub>H<sub>4</sub>, 88°, 60; m-  
 ClC<sub>6</sub>H<sub>4</sub>, 103°, 65; p-ClC<sub>6</sub>H<sub>4</sub>, 96°, 55; p-MeOC<sub>6</sub>H<sub>4</sub>, 93°, 65; p-EtOC<sub>6</sub>H<sub>4</sub>, 111°, 75;  
 Bu, 219°, 35; PhCH<sub>2</sub>, 238°, 40. Similarly were prepared I (R<sub>1</sub> = R<sub>2</sub> = Et; R,  
 m.p. and % yield given): Ph, 187°, 60; o-MeC<sub>6</sub>H<sub>4</sub>, 162°, 50; m-MeC<sub>6</sub>H<sub>4</sub>, 275°, 30;  
 p-MeC<sub>6</sub>H<sub>4</sub>, 188°, 55; m-ClC<sub>6</sub>H<sub>4</sub>, 270°, 40; p-ClC<sub>6</sub>H<sub>4</sub>, 295°, 35; p-MeOC<sub>6</sub>H<sub>4</sub>, >320°,  
 45; p-EtOC<sub>6</sub>H<sub>4</sub>, 235°, 35; Me, 305°, 25; Et, >320°, 30; Bu, 285°, 45; PhCH<sub>2</sub>,  
 248°, 25. Similarly were prepared I [(R<sub>1</sub>R<sub>2</sub> =) piperidino; R, m.p. and % yield  
 given]: o-MeC<sub>6</sub>H<sub>4</sub>, 238°, 35; m-MeC<sub>6</sub>H<sub>4</sub>, 270°, 40; p-MeC<sub>6</sub>H<sub>4</sub>, 250°, 45; m-ClC<sub>6</sub>H<sub>4</sub>,  
 268°, 50; p-ClC<sub>6</sub>H<sub>4</sub>, 260°, 55; p-MeOC<sub>6</sub>H<sub>4</sub>, 116°, 65; p-EtOC<sub>6</sub>H<sub>4</sub>, 290°, 50; Me,  
 280°, 30; Bu, 305°, 25; PhCH<sub>2</sub>, 275°, 35. 6,8-Dibromo-3-benzyl-2-  
 carboxymethylthio-4(3H)-quinazolinone, m. 237°, 60% yield, and 6,8-dibromo-3-  
 phenyl-1-ethyl-2-thio-2,4(1H,3H)-quinazolinone, m. 242°, 60% yield, were  
 also prepared. Tests on chicks infected with Plasmodium gallinaceum showed no  
 antimalarial activity.

IT 20551-94-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 20551-94-4 HCAPLUS

CN Acetanilide, 2-[(3-benzyl-6,8-dibromo-3,4-dihydro-4-oxo-2-  
 quinazolinyl)thio]-N-methyl- (8CI) (CA INDEX NAME)



L38 ANSWER 74 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1965:91000 HCAPLUS Full-text

DOCUMENT NUMBER: 62:91000

ORIGINAL REFERENCE NO.: 62:16269a-g

TITLE: 4(3H)-Quinazolinones  
 PATENT ASSIGNEE(S): Farbwerke Hoechst A.-G.  
 SOURCE: 18 pp.  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Unavailable  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6405448		19641119	NL 1964-5448	19640515 <--
PRIORITY APPLN. INFO.:			DE	19630518 <--

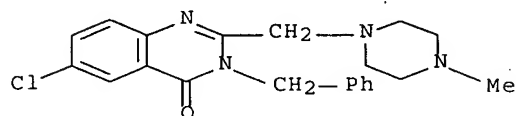
GI For diagram(s), see printed CA Issue.

AB I, analgesics and sedatives, are readily prepared by treatment of an o-chloroalkylamidobenzamide with a secondary amine at high temps. and by the pyrrolic or alkaline condensation of an o-aminoalkylamidobenzamide. Accordingly, I [n = 1 R1 = Me, (R2R3 =) (CH2)2NMe(CH2)2, R4 = 6-Cl] (II), m. 158.5-9.5° (Me2CO), was obtained by heating at 225-30° for 30 min. N-methyl-5-chloro-2-(N-methylpiperazinoacetamido)benzamide, prepared by the treatment of N-methyl-5-chloro-2-chloroacetamidobenzamide with an excess of N-methylpiperazine. II.2HCl, decompose 260°, was prepared by the addition of alc. HCl to II in MeOH. I(n = 1, R1 = R2 = R3 = Me, R4 = 6-Cl), m. 91.5-5.5° (HCl salt decompose 257°), was obtained by refluxing 7 g. N-methyl-5-chloro-2-dimethylaminoacetamidobenzamide in 52 mL. EtOH after the addition of 26 mL. 2N aqueous NaOH for 20 min. Similarly, the tabulated I were also prepared

IT 2857-08-1P, 4(3H)-Quinazolinone, 3-benzyl-6-chloro-2-[(4-methyl-1-piperazinyl)methyl]-  
 RL: PREP (Preparation)  
 (preparation of)

RN 2857-08-1 HCAPLUS

CN 4(3H)-Quinazolinone, 6-chloro-2-[(4-methyl-1-piperazinyl)methyl]-3-(phenylmethyl)- (9CI) (CA INDEX NAME)



L38 ANSWER 75 OF 75 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1961:22796 HCAPLUS Full-text

DOCUMENT NUMBER: 55:22796

ORIGINAL REFERENCE NO.: 55:4523d-g

TITLE: 3-Benzyl-2-methyl-3,4-dihydro-4-oxoquinazoline

AUTHOR(S): Anet, Ragini; Somasekhara, S.

SOURCE: Canadian Journal of Chemistry (1960), 38, 746-8

CODEN: CJCHAG; ISSN: 0008-4042

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

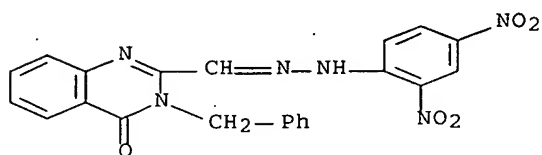
AB Benzoylation of 2-methyl-3,4-dihydro-4-oxoquinazoline (I) yielded the 3-benzyl derivative (II). Refluxing 8.5 g. I, 7 g. PhCH2Cl, 12 g. KOH, and 500 ml. Me2CO 6 hrs. gave 5 g. II, m. 74°; II.HCl m. 233°. The product (m.p. 118°) obtained by heating 0.9 g. acetanthranil and 0.6 g. PhCH2NH2 at 150° 30 min. according to Bogert and Beal (CA 6, 1441) who assigned it structure II, was actually an equimolar complex of II and N-benzyl-o-acetamidobenzamide (III).

The components were separated by treatment with cold C<sub>6</sub>H<sub>6</sub>. A slight excess of PhCH<sub>2</sub>NH<sub>2</sub> gave II exclusively. Refluxing 1 g. II, 0.42 g. SeO<sub>2</sub>, and 25 ml. dioxane 1 hr. yielded 3-benzyl-3,4-dihydro-4-oxo-2-quinazolinecarboxaldehyde, m. 143-4° (C<sub>6</sub>H<sub>6</sub>); 2,4-dinitrophenylhydrazone m. 275-7°. o-Nitrobenzoic acid was successively converted into the following (reagent and m.p. given): chloride, SOCl<sub>2</sub>, -; N-benzyl-o-nitrobenzamide, PhCH<sub>2</sub>NH<sub>2</sub>, 122-3°; N-benzyl-o-aminobenzamide, Zn dust-AcOH, 123°; III, Ac<sub>2</sub>O, 147-8°. Cyclization of III with aqueous PhCH<sub>2</sub>NH<sub>2</sub> at boiling 2-3 min. yielded II. II.HCl underwent debenzylation at 235° for 0.5 hr.

IT 110747-52-9P, 2-Quinazolinecarboxaldehyde, 3-benzyl-3,4-dihydro-4-oxo-, (2,4-dinitrophenyl)hydrazone  
 RL: PREP (Preparation)  
 (preparation of)

RN 110747-52-9 HCAPLUS

CN 2-Quinazolinecarboxaldehyde, 3-benzyl-3,4-dihydro-4-oxo-, (2,4-dinitrophenyl)hydrazone (6CI) (CA INDEX NAME)



## HISTORY

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(FILE 'HOME' ENTERED AT 14:56:33 ON 08 MAR 2007)

FILE 'LREGISTRY' ENTERED AT 14:56:45 ON 08 MAR 2007

L1 STR  
L2 0 SEA SSS SAM L1

FILE 'REGISTRY' ENTERED AT 15:03:52 ON 08 MAR 2007

L3 50 SEA SSS SAM L1

FILE 'LREGISTRY' ENTERED AT 15:06:05 ON 08 MAR 2007

L4 STR L1

FILE 'REGISTRY' ENTERED AT 15:07:58 ON 08 MAR 2007

L5 50 SEA SSS SAM L4  
L6 26750 SEA SSS FUL L4  
SAVE TEMP L6 HABTE/A

FILE 'HCAPLUS' ENTERED AT 15:14:59 ON 08 MAR 2007

L7 3391 SEA ABB=ON PLU=ON L6

FILE 'LREGISTRY' ENTERED AT 15:19:50 ON 08 MAR 2007

L8 STR L4

FILE 'REGISTRY' ENTERED AT 15:23:32 ON 08 MAR 2007

L9 22 SEA SUB=L6 SSS SAM L8  
L10 625 SEA SUB=L6 SSS FUL L8

FILE 'HCAPLUS' ENTERED AT 15:23:56 ON 08 MAR 2007

L11 33 SEA ABB=ON PLU=ON L10

FILE 'HCAPLUS, MEDLINE, EMBASE, BIOSIS, DISSABS, SCISEARCH, WPIX' ENTERED  
AT 15:30:22 ON 08 MAR 2007

E FENG J/AU

L12 7085 SEA ABB=ON PLU=ON FENG J/AU OR FENG J ?/AU OR FENG JUN/AU OR  
FENG JUN ?/AU

E GWALTNEY S/AU

L13 138 SEA ABB=ON PLU=ON ("GWALTNEY S"/AU OR "GWALTNEY S L"/AU OR  
"GWALTNEY S L 2ND"/AU OR "GWALTNEY S L II"/AU OR "GWALTNEY  
SFEPHEN"/AU OR "GWALTNEY STEPHEN L"/AU OR "GWALTNEY STEPHEN L  
2ND"/AU OR "GWALTNEY STEPHEN L II"/AU)

E KALDOR S/AU

L14 286 SEA ABB=ON PLU=ON ("KALDOR S"/AU OR "KALDOR S W"/AU OR  
"KALDOR STEPHEN"/AU OR "KALDOR STEPHEN W"/AU OR "KALDOR  
STEPHEN WARREN"/AU OR "KALDOR STEVEN W"/AU)

E STAFFORD J/AU

L15 495 SEA ABB=ON PLU=ON ("STAFFORD J"/AU OR "STAFFORD J 4TH"/AU OR  
"STAFFORD J A"/AU OR "STAFFORD J A G"/AU OR "STAFFORD JEFFERY  
ALAN"/AU OR "STAFFORD JEFFOREY"/AU OR "STAFFORD JEFFREY"/AU OR  
"STAFFORD JEFFREY A"/AU OR "STAFFORD JEFFREY ALAN"/AU)

E WALLACE M/AU

L\*\*\* DEL 1773 S E3,E6-7,E167-171

L16 1825 SEA ABB=ON PLU=ON ("WALLACE M"/AU OR "WALLACE M B"/AU OR  
"WALLACE M BRIAN"/AU OR "WALLACE MICHAEL B"/AU OR "WALLACE  
MICHAEL BRENNAN"/AU OR "WALLACE MICHAEL BRIAN"/AU OR "WALLACE

10/809,637

March 8, 2007

MICHAEL BRUCE"/AU-OR "WALLACE MICHAEL BRYAN"/AU-OR "WALLACE  
MICHAEL"/AU)

L\*\*\* DEL 80716 S ZHANG Z?/AU OR ZHANG ZHIYUAN/AU OR ZHANG ZHIYUAN ?/AU  
L17 40932 SEA ABB=ON PLU=ON ZHANG Z/AU OR ZHANG Z ?/AU OR ZHANG  
ZHIYUAN/AU OR ZHANG ZHIYUAN ?/AU  
L18 87 SEA ABB=ON PLU=ON (L12 AND (L13 OR L14 OR L15 OR L16 OR  
L17)) OR (L13 AND (L14 OR L15 OR L16 OR L17)) OR (L14 AND (L15  
OR L16 OR L17)) OR (L15 AND (L16 OR L17)) OR (L16 AND L17)  
L19 61 DUP REM L18 (26 DUPLICATES REMOVED)  
ANSWERS '1-22' FROM FILE HCAPLUS  
ANSWERS '23-25' FROM FILE MEDLINE  
ANSWERS '26-30' FROM FILE EMBASE  
ANSWERS '31-33' FROM FILE BIOSIS  
ANSWERS '34-57' FROM FILE SCISEARCH  
ANSWERS '58-61' FROM FILE WPIX

FILE 'HCAPLUS' ENTERED AT 15:38:25 ON 08 MAR 2007

D QUE L11

D L11 IBIB ABS HITSTR TOT

FILE 'HCAPLUS, MEDLINE, EMBASE, BIOSIS, DISSABS, SCISEARCH, WPIX' ENTERED  
AT 15:39:32 ON 08 MAR 2007

D QUE L18

L20 61 DUP REM L18 (26 DUPLICATES REMOVED)  
ANSWERS '1-22' FROM FILE HCAPLUS  
ANSWERS '23-25' FROM FILE MEDLINE  
ANSWERS '26-30' FROM FILE EMBASE  
ANSWERS '31-33' FROM FILE BIOSIS  
ANSWERS '34-57' FROM FILE SCISEARCH  
ANSWERS '58-61' FROM FILE WPIX  
D IBIB AB TOT

FILE 'REGISTRY' ENTERED AT 16:13:24 ON 08 MAR 2007

L21 STR L8  
L22 50 SEA SUB=L6 SSS SAM L21  
L23 5635 SEA SUB=L6 SSS FUL L21

FILE 'HCAPLUS' ENTERED AT 16:16:39 ON 08 MAR 2007

L24 182 SEA ABB=ON PLU=ON L23

FILE 'REGISTRY' ENTERED AT 16:16:47 ON 08 MAR 2007

L25 STR L21  
L26 3682 SEA SUB=L23 SSS FUL L25  
L27 1953 SEA ABB=ON PLU=ON L23 NOT L26

FILE 'HCAPLUS' ENTERED AT 16:17:15 ON 08 MAR 2007

L28 78 SEA ABB=ON PLU=ON L27

FILE 'HCAPLUS' ENTERED AT 16:17:50 ON 08 MAR 2007

D QUE L28

D L28 IBIB ABS FHITSTR TOT

FILE 'REGISTRY' ENTERED AT 16:28:43 ON 08 MAR 2007

L29 STR  
L30 0 SEA SUB=L9 SSS SAM L29  
L31 50 SEA SUB=L6 SSS SAM L29  
L32 2776 SEA SUB=L6 SSS FUL L29

FILE 'HCAPLUS' ENTERED AT 16:31:28 ON 08 MAR 2007

L33 103 SEA ABB=ON PLU=ON L32



10/809,637

March 8, 2007

L34	54	SEA	ABB=ON	PLU=ON	L33 AND P/DT
L35	49	SEA	ABB=ON	PLU=ON	L33 NOT P/DT
L36	37	SEA	ABB=ON	PLU=ON	L35 AND PY<2004
L37	38	SEA	ABB=ON	PLU=ON	L34 AND (PY<2004 OR AY<2004 OR PRY<2004)
L38	75	SEA	ABB=ON	PLU=ON	L36 OR L37

FILE 'HCAPLUS' ENTERED AT 16:43:15 ON 08 MAR 2007

D QUE L38

D L38 IBIB ABS FHITSTR TOT